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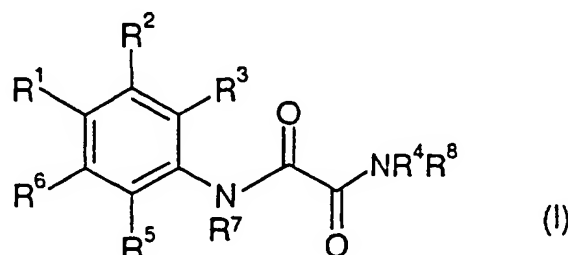
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Remarks:

A request for correction of the claims has been filed pursuant to Rule 88 EPC. A decision on the request will be taken during the proceedings before the Examining Division (Guidelines for Examination in the EPO, A-V, 3.).

(54) **Oxamides as IMPDH inhibitors**

(57) Disclosed are compounds of the general formula



wherein

- R¹ represents heterocyclyl;
R² represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, hydroxy or cyano;
R³ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;
R⁴ represents hydrogen, lower alkyl, lower cycloalkyl, aryl, or heterocyclyl;

- R⁵ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;
- R⁶ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;
- R⁷ represents hydrogen, or unsubstituted lower alkyl;
- R⁸ represents hydrogen, or unsubstituted lower alkyl;

or R⁴ and R⁸ together with the nitrogen atom to which they are attached represent heterocyclyl; and pharmaceutically acceptable salts thereof. The disclosed oxamide derivatives are inhibitors of the enzyme inosine monophosphate dehydrogenase (IMPDH). They can be used as medicaments, especially for treating immune mediated conditions or diseases, viral diseases, bacterial diseases, parasitic diseases, inflammation, inflammatory diseases, hyperproliferative vascular diseases, tumours, and cancer. They can be used alone, or in combination with other therapeutically active agents, for example, an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an antibiotic, an antiparasitic agent, an anti-inflammatory agent, an anti-fungal agent and/or an anti-vascular hyperproliferation agent.

Description

[0001] The present invention relates to novel oxamide derivatives, a process for their manufacture, pharmaceutical preparations containing these derivatives, and the use of these derivatives as medicaments. In particular, the present invention relates to novel oxamide derivatives which are inhibitors of inosine monophosphate dehydrogenase (IMPDH).

[0002] Inosine monophosphate dehydrogenase (IMPDH) is an enzyme involved in the de novo synthesis of guanine nucleotides. The enzyme catalyses the NAD-dependent oxidation of inosine-5'-monophosphate (IMP) to xanthosine-5'-monophosphate which is the rate limiting step in the synthesis of guanine nucleotides. As a result of the key role of the enzyme in guanine nucleotide biosynthesis, the enzyme represents an important target for the development of inhibitors which would have utility as therapeutic agents in the treatment of IMPDH related processes.

[0003] The de novo synthesis of guanine nucleotides is particularly important in B- and T-lymphocytes to provide sufficient levels of nucleotides to support a proliferative response to mitogen or antigen [Wu, J.C., Persp. in Drug Discovery and Design., 2, 185-204, (1994)]. IMPDH inhibition is thus an attractive target for selectively inhibiting the immune system. Inhibitors of IMPDH are known [Pankiewicz, K.W., Exp. Opin. Ther. Patents., 9, 55-65, (1999)], and the uncompetitive inhibitor mycophenolic acid (MPA) has been demonstrated to inhibit the response of B- and T-cells to mitogen or antigen [Allison, A.C. and Eugui, E.M., Transplant. Proc., 25, 8-18, (1993)]. MPA has therefore been utilised as an immunosuppressant.

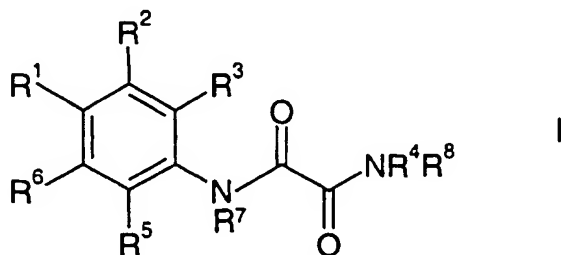
[0004] It is also recognised that IMPDH plays a role in other rapidly proliferating cells such as tumour cell lines, indicating that IMPDH inhibition is a target for anti-cancer chemotherapy [Nagai, M. et al., 51, 3886-3890, (1990)].

[0005] IMPDH inhibition has also been shown to play a role in viral replication in some cell lines which support virus replication [Pankiewicz, K.W., Exp. Opin. Ther. Patents., 9, 55-65, (1999)]. Ribavirin, for example, is a broad spectrum antiviral agent which has been approved by the U.S. Food and Drug Administration for use as an aerosol for infants with serious respiratory infections due to respiratory syncytial virus and is also in use as an agent for the treatment of patients infected with Hepatitis C virus when used in combination with interferon [Patterson, J.L. and Fernandez-Larsson, R., Rev. Infect. Dis., 12, 1139-1146, (1990); McHutchison, J.G. et al., New. Engl. J. Med., 339, 1549-1550, (1998)]. Ribavirin is converted in cells to ribavirin 5' monophosphate which is an inhibitor of IMPDH.

[0006] Additionally, the IMPDH inhibitors ribavirin and MPA have been shown to inhibit the replication of yellow fever virus (a RNA virus) whilst MPA has been demonstrated to inhibit Hepatitis B virus replication (a DNA virus) in vitro supporting the broad range antiviral activity of these inhibitors [Neyts, J. et al., Antiviral Res., 30, 125-132, (1996); Gong, Z.J. et al., J. Viral Hepatitis., 6, 229-236, (1999)]. Furthermore, MPA has also been shown to potentiate the antiviral effects of nucleoside analogues both in vitro and in animal models [Neyts, J. and De Clercq, E., Inter. Antiviral News., 7, 134-136, (1999)]. Together these observations indicate that IMPDH inhibitors have utility as broad spectrum antiviral agents.

[0007] IMPDH inhibitors would therefore have therapeutic potential as immunosuppressants, anti-cancer agents and anti-viral agents. Specifically, such compounds may be used in the treatment of transplant rejection, the treatment of cancer and as antiviral agents in the treatment of viral diseases such as retroviral infections and hepatitis C virus infections (either alone or in combination with other antiviral agents such as interferon or derivatives thereof, such as conjugates with polyethylene glycol).

[0008] The novel oxamide derivatives provided by the present invention are compounds of the general formula (I):



wherein

R¹ represents heterocyclyl;

R² represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, hydroxy or cyano;

R³ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁴ represents hydrogen, lower alkyl, lower cycloalkyl, aryl, or heterocyclyl;

R⁵ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁶ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁷ represents hydrogen, or unsubstituted lower alkyl;

R⁸ represents hydrogen, or unsubstituted lower alkyl;

5 or R⁴ and R⁸ together with the nitrogen atom to which they are attached represent heterocyclyl;
and pharmaceutically acceptable salts thereof.

[0009] The oxamide derivatives provided by the present invention are inhibitors of the enzyme inosine monophosphate dehydrogenase (IMPDH). They can be used as medicaments, especially for treating immune mediated conditions or diseases, viral diseases, bacterial diseases, parasitic diseases, inflammation, inflammatory diseases, hyperproliferative vascular diseases, tumours, and cancer. They can be used alone, or in combination with other therapeutically active agents, for example, an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an antibiotic, an anti-parasitic agent, an anti-inflammatory agent, an anti-fungal agent and/or an anti-vascular hyperproliferation agent.

10 [0010] In particular, compounds of the present invention and compositions containing the same are useful as chemotherapeutic agents, inhibitors of viral replication and modulators of the immune system, and can be used for the treatment of viral diseases such as retroviral infections and hepatitis C virus infections (either alone or in combination with other antiviral agents such as interferon or derivatives thereof, such as conjugates with polyethylene glycol), inflammatory diseases such as osteoarthritis, acute pancreatitis, chronic pancreatitis, asthma, and adult respiratory distress syndrome, hyperproliferative vascular diseases such as restenosis, stenosis and atherosclerosis, cancer, for example lymphoma and leukaemia, and as immunosuppressants in the treatment of autoimmune diseases, graft versus
15 host diseases and transplant rejection

[0011] Compounds of the present invention which have antiviral effects and/or immuno-suppressive properties are particularly useful for treating HCV infection.

[0012] As used herein, the term "lower alkyl", means a straight-chain or branched-chain alkyl group containing up to 10 carbon atoms, preferably from 1 to 8 carbon atoms, more preferably from 1 to 6 carbon atoms, e.g. methyl, ethyl, n-propyl, isopropyl, n-butyl, sec.butyl, tert-butyl, n-pentyl, n-hexyl and 1,1-dimethylethyl; and which is optionally substituted by e.g. one or more of cyano, halo, carboxyl, hydroxyl,
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lower alkoxy, lower cyclo alkoxy, aryloxy, heterocyclyloxy, heterocyclyl -(lower alkoxy)-aryl-amino-oxalyl-oxy,

30 lower alkoxy-carbonyl,

aryl, aryl-carbonyl-amino-aryl, lower alkyl-carbonyl-amino-aryl,

heterocyclyl, lower alkyl-heterocyclyl,

35 lower cycloalkyl, lower alkenyl, lower alkynyl,

amino, mono- or di-(lower alkyl) amino, lower cycloalkyl amino, aryl amino, heterocyclylamino, lower alkyl-aryl-
40 lower alkyl-amino, lower alkoxy-carbonyl-amino, lower alkenyl-carbonyl-amino, lower alkyl-carbonyl-amino, di-(aryl)-lower alkyl-carbonyl-amino, lower alkyl-sulphonyl-lower alkyl-carbonyl-amino, lower cycloalkyl-lower alkyl-carbonyl-amino, heterocyclyl-lower alkyl-carbonyl-amino, lower alkoxy-lower alkyl-carbonyl-amino, di-aryl-lower alkyl-carbonyl-amino, aryl-carbonyl-amino, lower alkyl-aryl-carbonyl-amino, tri-(lower alkyl)-aryl-carbonyl-amino, mono- or di-(lower alkoxy)-aryl-carbonyl-amino, di-(lower alkyl)-amino-aryl-carbonyl-amino, lower alkyl-carbonyl-amino-aryl-carbonylamino, heterocyclyl-aryl-carbonyl-amino, lower cycloalkyl-carbonyl-amino, mono- or tetra-
45 (lower alkyl)-lower cycloalkyl-carbonyl-amino, heterocyclyl-carbonyl-amino, mono- or di-(lower alkyl)-heterocyclyl-carbonyl-amino, tri-(lower alkyl)-aryl-oxalyl-amino,

lower alkyl-carbamoyl, or aryl-carbamoyl,

50 thio, lower alkyl thio, lower cycloalkyl thio, aryl thio, heterocyclyl thio, lower alkyl sulphonyl, lower cycloalkyl sulphonyl, aryl sulphonyl, heterocyclyl sulphonyl.

[0013] Where there is more than one substituent, each substituent may be the same or different, for example trifluoromethyl, triphenylmethyl, 1-[1-methyl-1-[methylformyl]-2-phenyl] ethyl, or 2-[1-hydroxyl-3-cyclohexyl].

55 [0014] The term "unsubstituted lower alkyl" means an alkyl group as defined above where no substituents are present.

[0015] The term "lower alkenyl" means an alkenyl group containing from 2 to 7 carbon atoms, e.g. allyl, vinyl and butenyl.

[0016] The term "lower alkynyl" means an alkynyl group containing from 2 to 7 carbon atoms, e.g. propargyl or butynyl.

[0017] The term "lower cycloalkyl", alone or in combination as in "lower cycloalkyl-lower alkyl", means a cycloalkyl group containing 3 to 10 carbon atoms, preferably 3 to 7 carbon atoms, e.g. cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and adamantyl, and which may be optionally substituted by e.g. one or more of lower alkyl, carboxyl, hydroxyl or aryl or optionally be benz-fused e.g. to aryl. Where there is more than one substituent, each substituent may be the same or different. Cyclopropylmethyl, 2-cyclobutyl-ethyl and 3-cyclohexyl-propyl are examples of lower cycloalkyl-lower alkyl groups.

[0018] The term "halo" denotes fluorine, chlorine, bromine or iodine.

[0019] The term "lower alkoxy" denotes an optionally substituted lower alkyl group as defined hereinbefore, which is bonded via an oxygen atom, e.g. methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, tert.-butoxy and the like. Suitable substituents are those applicable for "lower alkyl".

[0020] The term "aryl", alone or in combination as in "aryl-lower alkyl", means phenyl or naphthyl, optionally benz-fused, for example benz-fused to a lower cycloalkyl ring, and/or optionally substituted by e.g. one or more of halo,

cyano, carboxyl,

lower alkyl-thio, nitro,

oxo, hydroxyl, lower alkoxy, lower cycloalkyloxy, aryloxy, heterocyclyl oxy

lower alkyl-heterocyclyl, heterocyclyl,

lower alkoxy-carbonyl, lower alkyl-carbonyl, heterocyclyl-carbonyl, lower alkyl-heterocyclyl-carbonyl,

sulphamoyl, lower alkyl- sulphamoyl,

thio, lower alkyl thio, lower cycloalkyl thio, aryl thio, heterocyclyl thio,

lower alkyl-sulphonyl, lower cycloalkyl sulphonyl, aryl sulphonyl, heterocyclyl-sulphonyl,

amino, mono- or di-(lower alkyl) amino, lower alkyl-sulphonyl-amino, di-(lower alkyl)-heterocyclyl-amino, lower alkyl-carbonyl-amino, (lower alkyl-carbonyl)(lower alkyl)-amino, lower alkoxy-carbonyl-amino, aryl-carbonyl-amino,

mono- or di-(lower alkyl)-carbamoyl, aryl-carbamoyl,

lower alkyl, aryl-lower alkyl, amino-lower alkyl, heterocyclyl-lower alkyl, lower alkoxy-carbonyl-lower alkyl, lower alkyl- sulphamoyl-lower alkyl, aryl-sulphonyl-amino-lower alkyl, lower alkyl-sulphonyl-amino-lower alkyl, lower alkoxy-carbonyl-amino-lower alkyl, heterocyclyl-oxy-carbonyl-amino-lower alkyl, aryloxy-carbonyl-amino-lower alkyl, lower alkyl-carbonyl-amino-lower alkyl, lower alkoxy-carbonyl-(lower alkyl)-amino-lower alkyl, lower alkyl-carbamoyl-lower alkyl, lower alkyl-aryl-carbonyl-amino-lower alkyl, arylcarbamoyl-lower alkyl, lower cycloalkyl-carbonyl-amino-lower alkyl, heterocyclyl-carbonyl-amino-lower alkyl, or aryl-carbonyl-amino-lower alkyl. Where there is more than one substituent, each substituent may be the same or different, for example 1-(3-methoxy-4-oxazolyl) phenyl, 1-(3-chloro-4-methoxy)phenyl, 1-(3-chloro-4-methyl) phenyl and 1-(3-fluoro-4-methyl)phenyl.

[0021] The same substituents as listed above apply for all terms containing the phrase "optionally substituted phenyl ...".

[0022] The term "aryloxy" denotes an aryl group as defined hereinbefore, which is bonded via an oxygen atom, e.g. phenoxy, and the like.

[0023] As used herein, the term "heterocyclyl", alone or in combination as in "heterocyclyl-lower alkyl", means a saturated, unsaturated or partially saturated monocyclic or bicyclic ring system which contains one or more hetero atoms selected from nitrogen, sulphur and oxygen; and which is attached to the rest of the molecule via a carbon atom (C-linked), or a nitrogen atom (N-linked) in the ring system, and which is optionally substituted in the same manner as the aryl group defined hereinbefore and/or by oxido. Where there is more than one substituent, each substituent may be the same or different.

[0024] Examples of heterocyclyl groups are oxazolyl, isoxazolyl, furyl, tetrahydrofuryl, 1,3-dioxolanyl, dihydropyranyl, thienyl, pyrazinyl, isothiazolyl, isoquinolinyl, indolyl, indazolyl, quinolinyl, dihydrooxazolyl, pyrimidinyl, benzofuranyl, tetrazolyl, pyrrolidinonyl, (N-oxide)-pyridinyl, pyrrolyl, triazolyl e.g. 1,2,4-triazolyl, pyrazolyl, benzotriazolyl, piperidinyl, morpholinyl, thiazolyl, pyridinyl, dihydrothiazolyl, imidazolidinyl, pyrazolinyl, benzothienyl, piperazinyl, imidazolyl, thi-

adiazolyl e.g. 1,2,3-thiadiazolyl, and benzothiazolyl.

[0025] Any functional (i.e. reactive) group present in a side-chain may be protected, with the protecting group being a group which is known per se, for example, as described in "Protective Groups in Organic Synthesis", 2nd Ed., T.W. Greene and P.G.M. Wuts, John Wiley & Sons, New York, NY, 1991. For example, an amino group can be protected by a tert.-butoxycarbonyl, formyl, trityl, benzyloxycarbonyl, 9-fluorenylmethyloxycarbonyl (Fmoc), trifluoroacetyl, 2-(bi-phenyl)isopropoxy-carbonyl or isobornyloxycarbonyl group or in the form of a phthalimido group; or a hydroxyl group can be protected by a tert.-butyldimethylsilyl, tetrahydropyranyl, 4-methoxybenzyl, or benzyl; or a carboxyl group can be protected in the form of an ester, for example as a methyl or tert.butyl ester. The protecting group may be retained in the final compound or optionally removed by techniques known in the art.

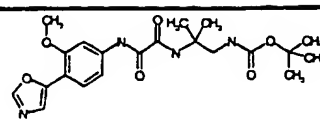
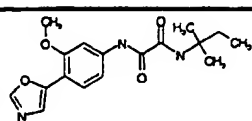
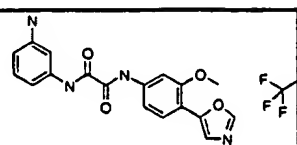
[0026] The compounds of this invention may contain one or more asymmetric carbon atoms and may therefore occur as racemates and racemic mixtures, single enantiomers, diastereomeric mixtures and individual diastereomers. Furthermore, where a compound of the invention contains an olefinic double bond, this can have the (E) or (Z) configuration. Also, each chiral centre may be of the R or S configuration. All such isomeric forms of these compounds are embraced by the present invention.

[0027] Examples of compounds of formula (I) are shown below in Table 1a and 1b:

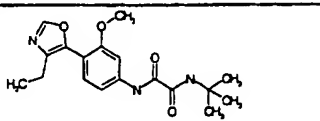
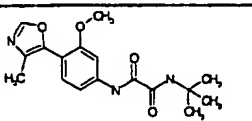
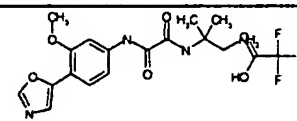
Table 1a

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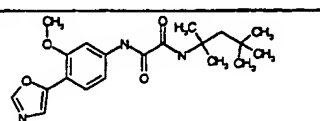
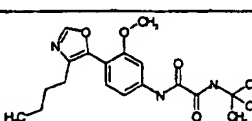
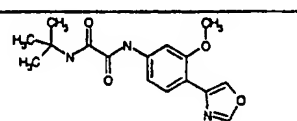
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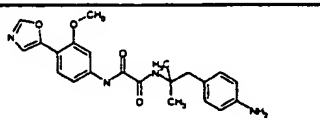
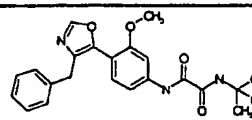
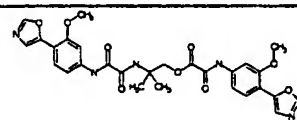
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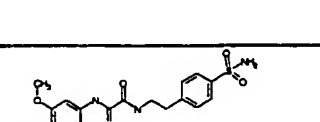
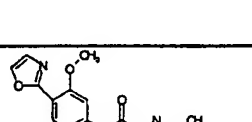
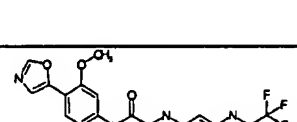
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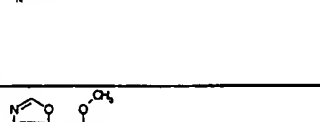
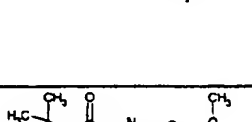
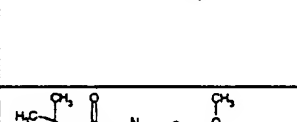
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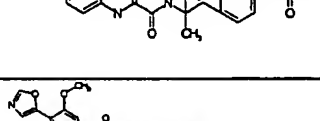
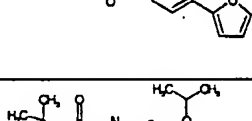
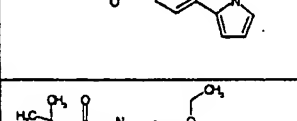
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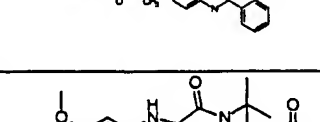
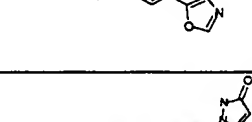
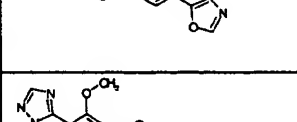
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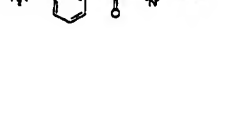
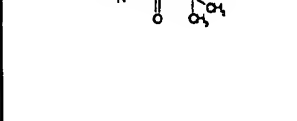
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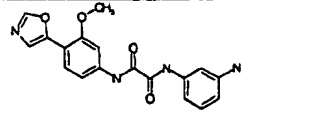
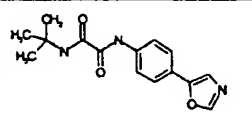
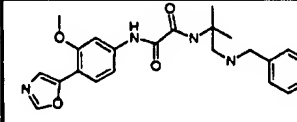
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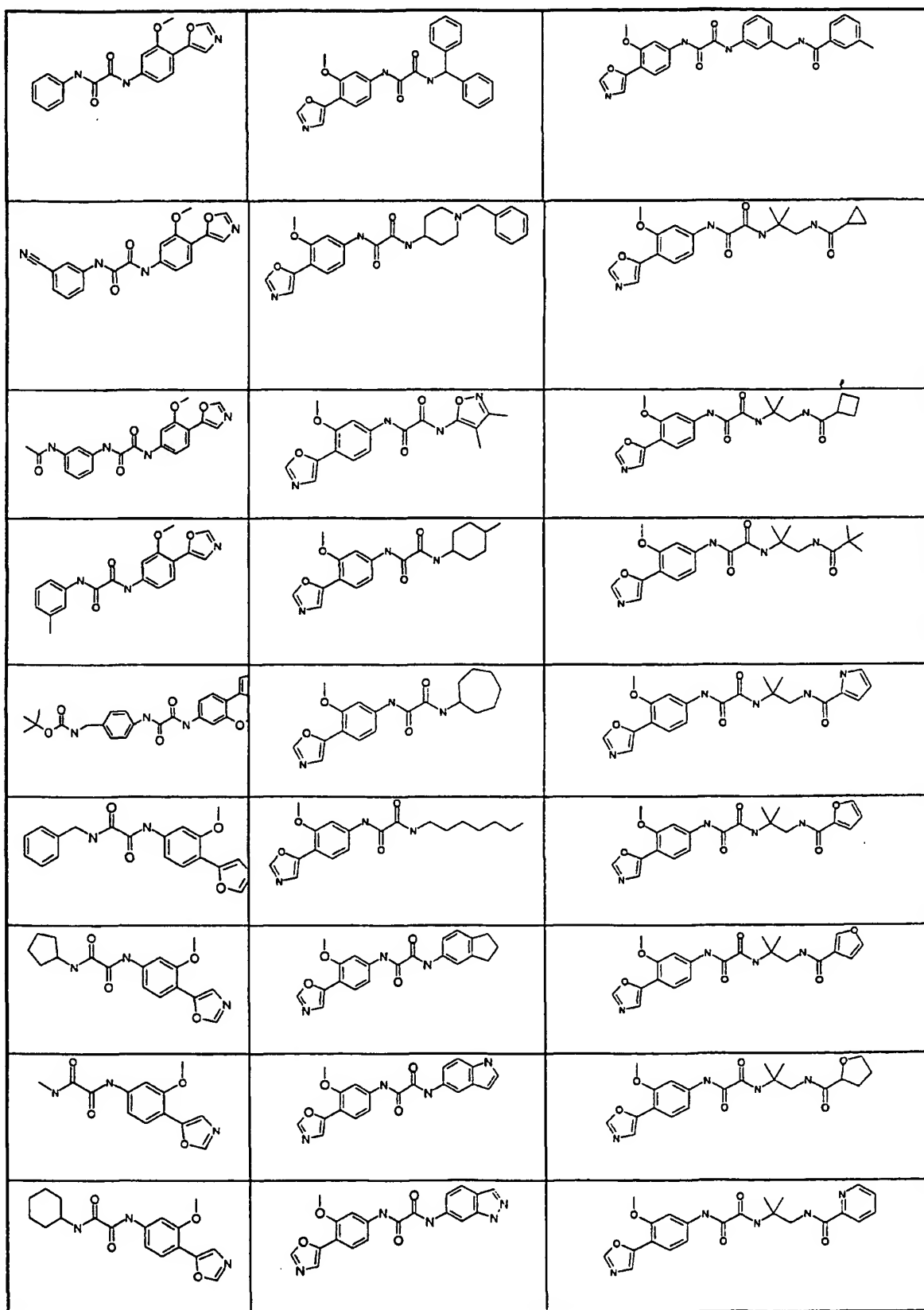
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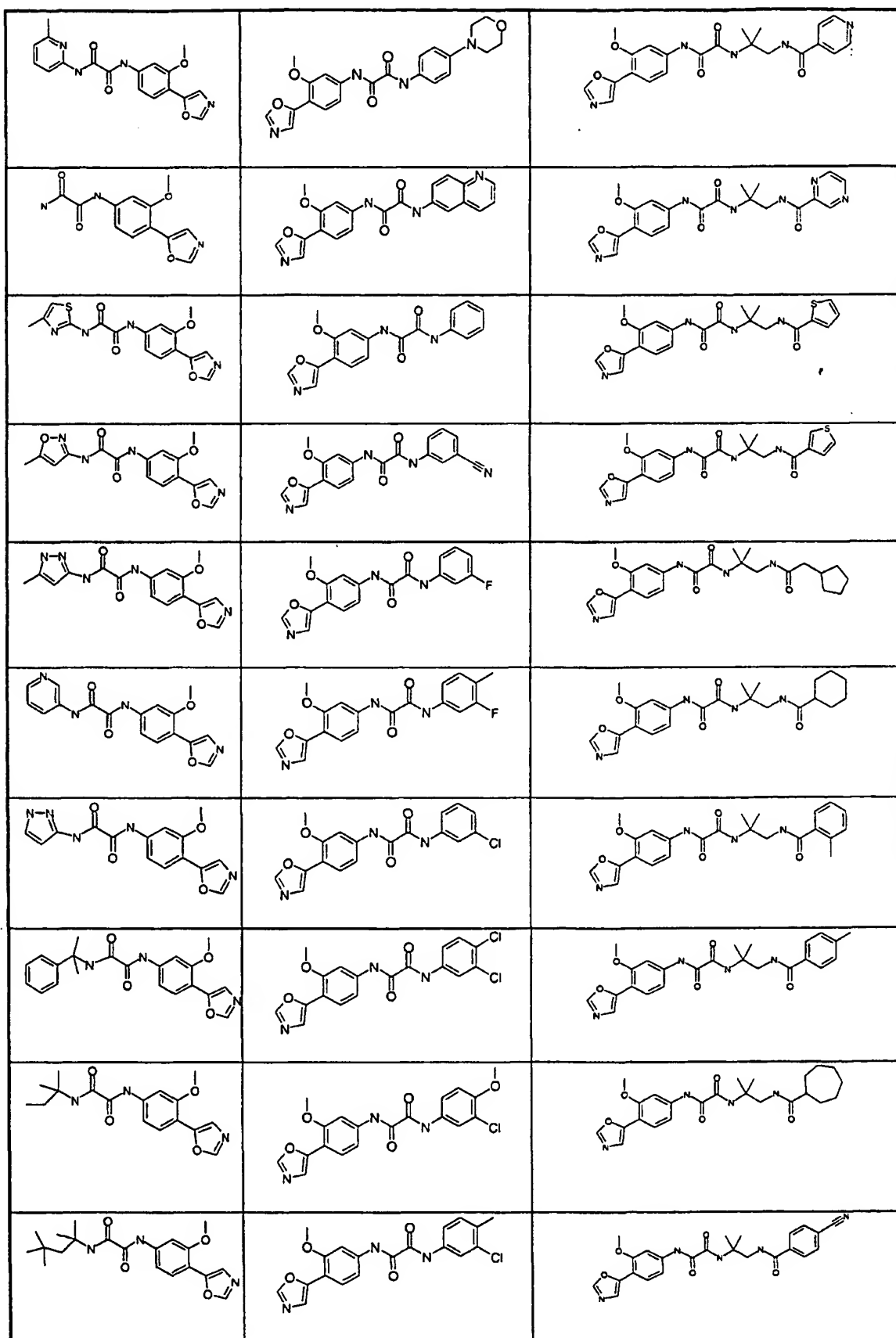


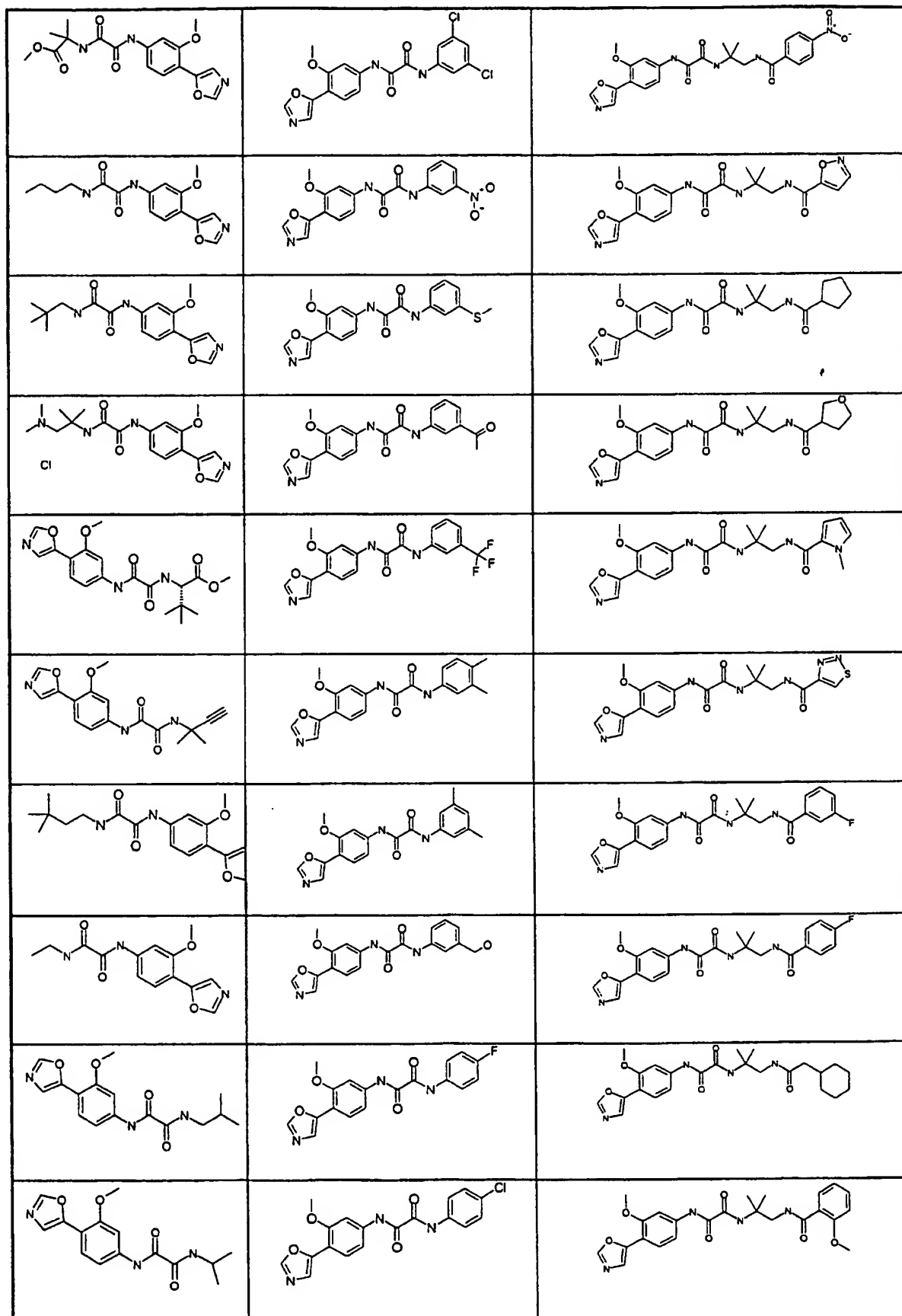
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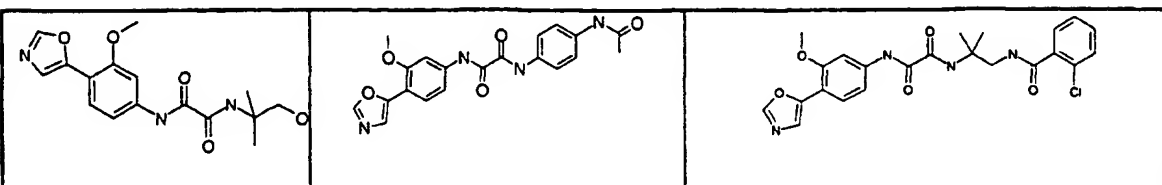
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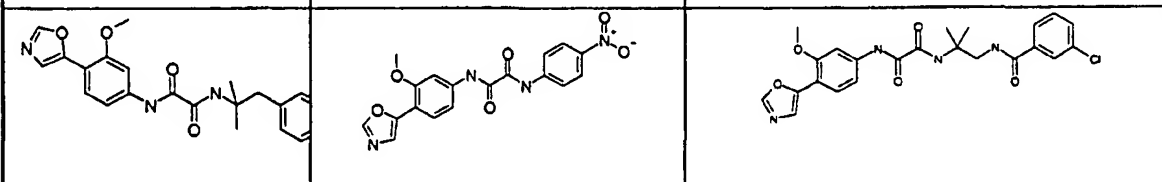




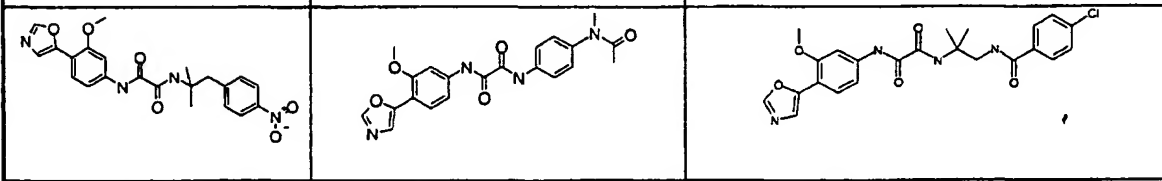
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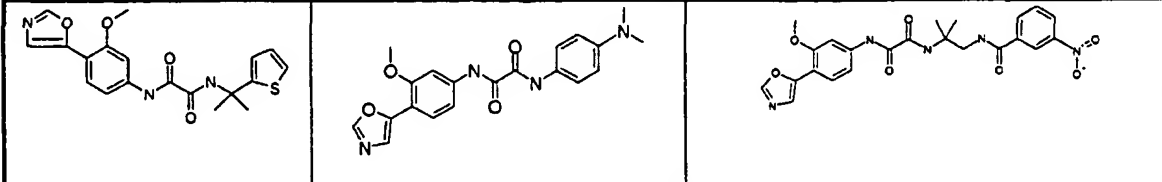
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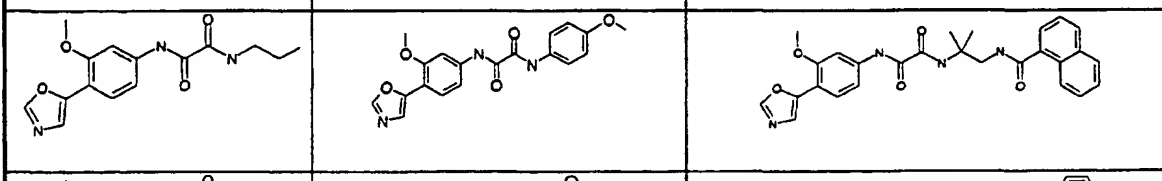
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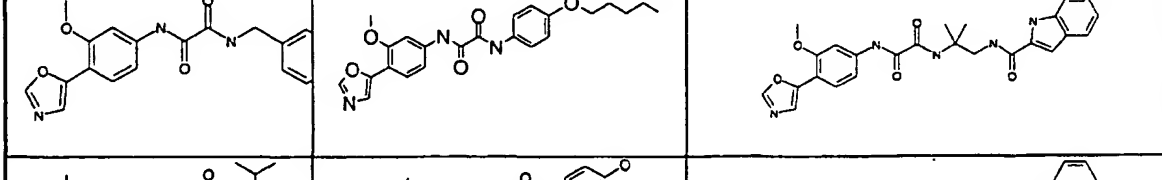
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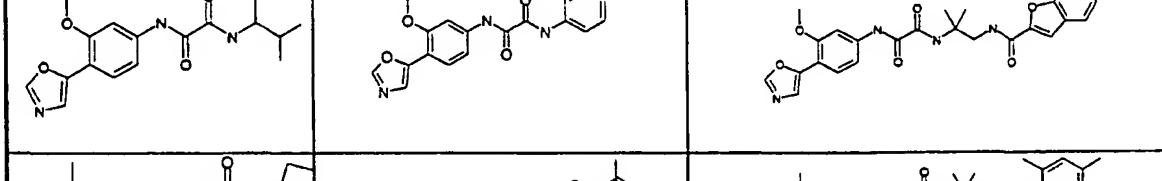
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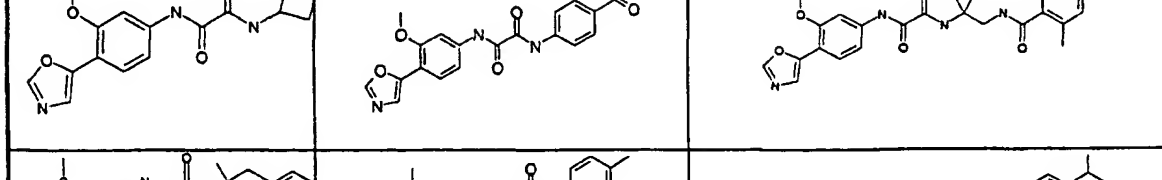
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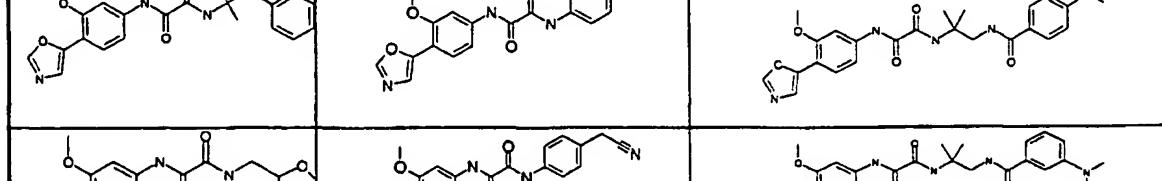
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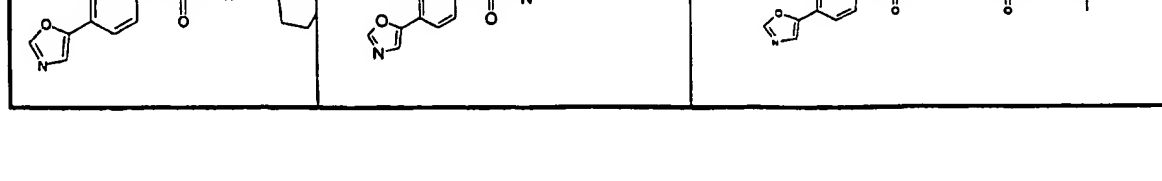
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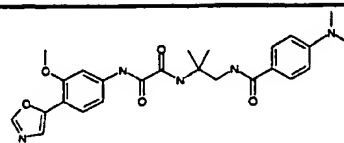
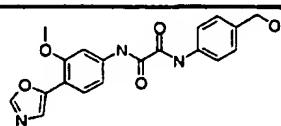
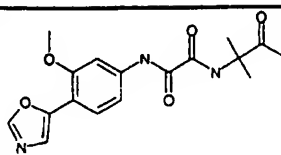


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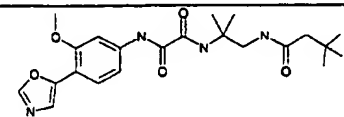
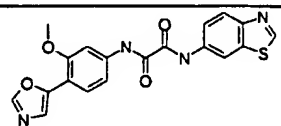
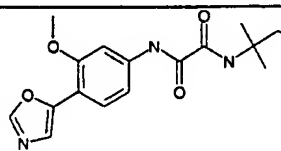


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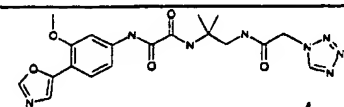
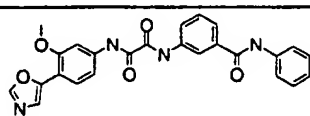
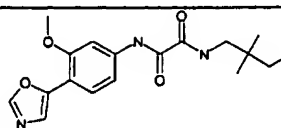
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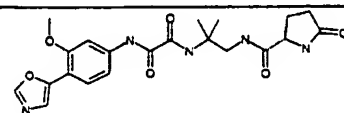
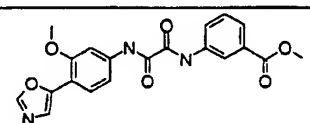
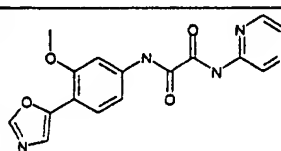
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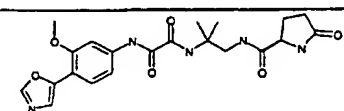
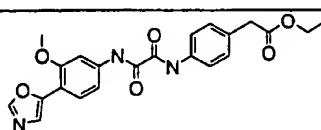
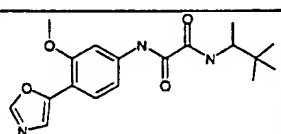
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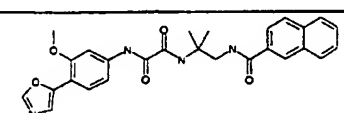
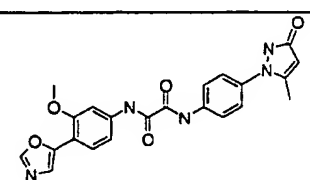
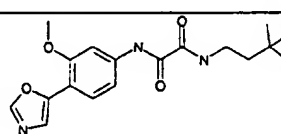
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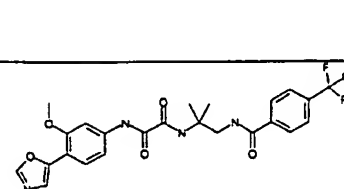
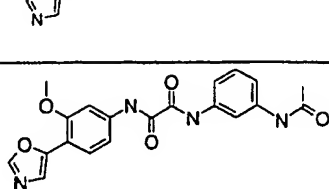
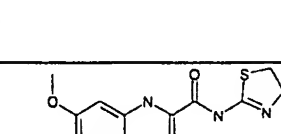
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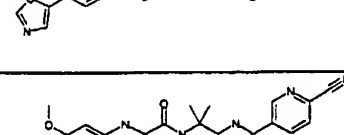
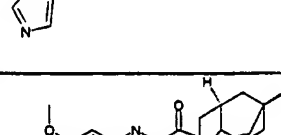
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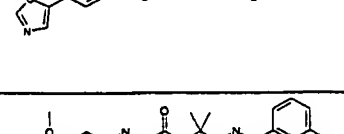
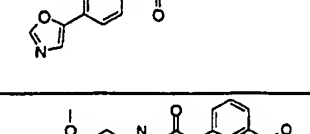
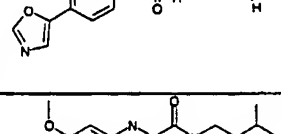
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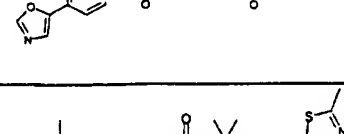
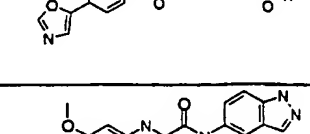
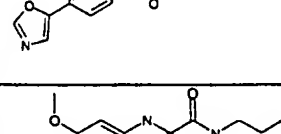
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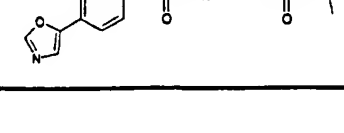
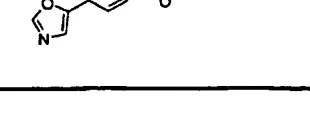
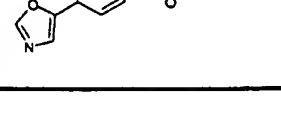
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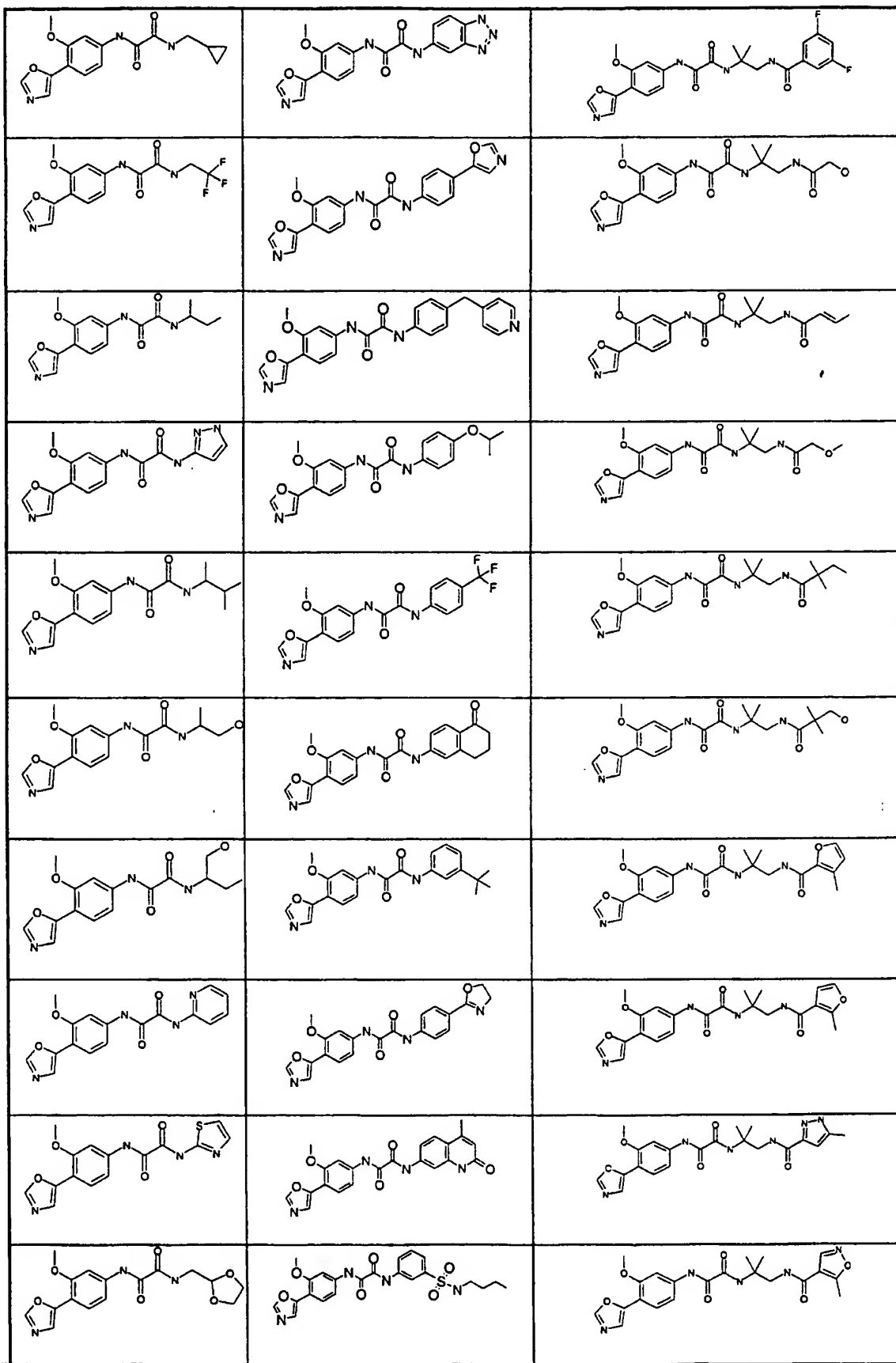
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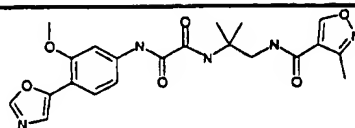
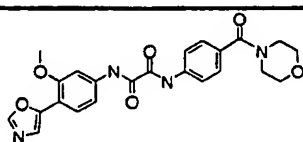
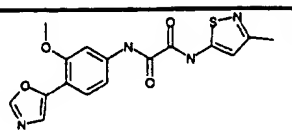


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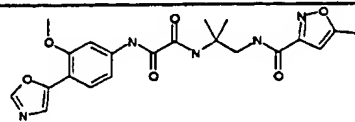
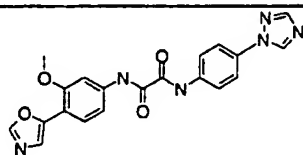
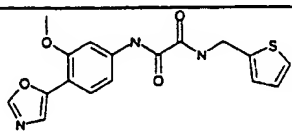


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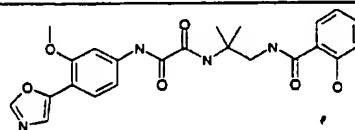
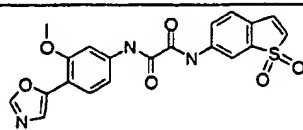
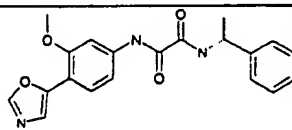
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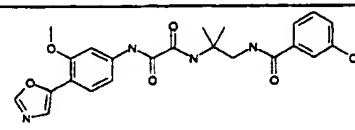
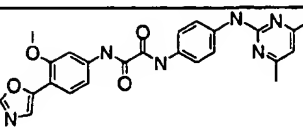
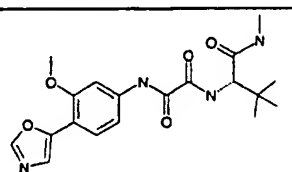
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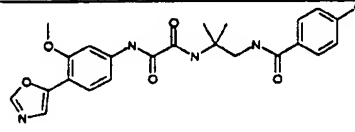
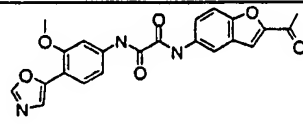
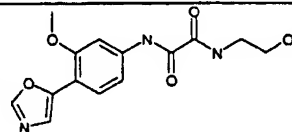
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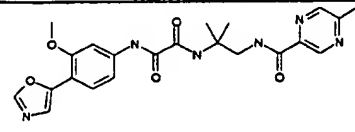
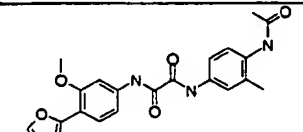
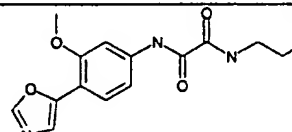
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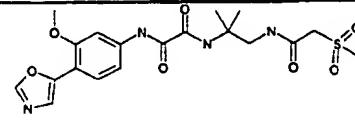
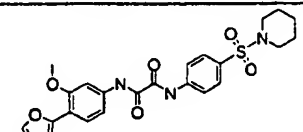
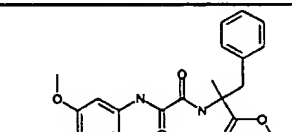
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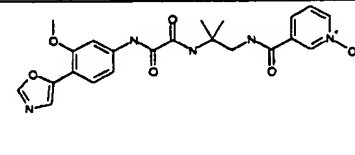
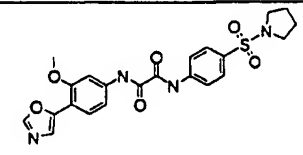
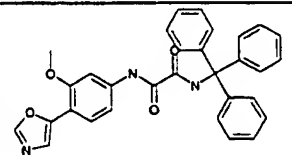
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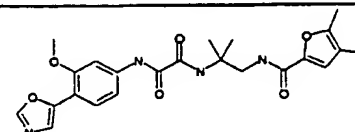
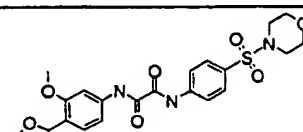
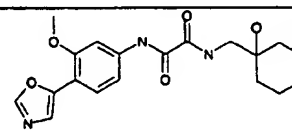
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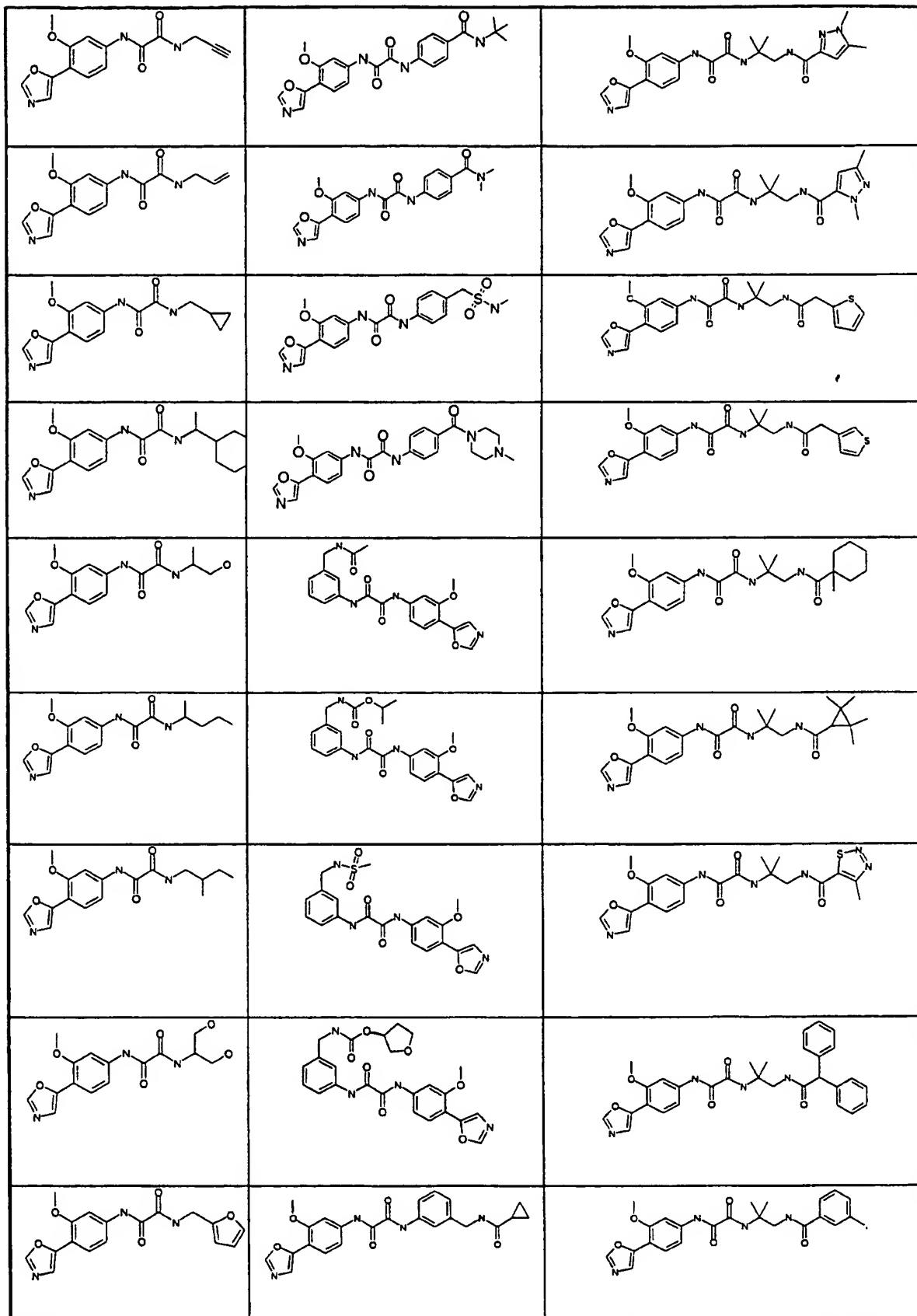
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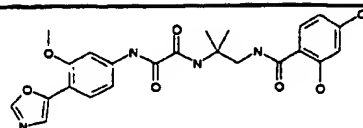
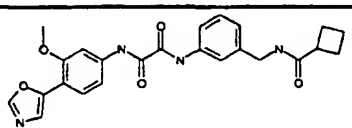
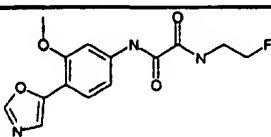
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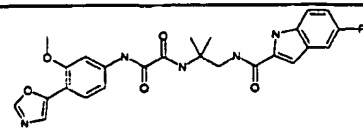
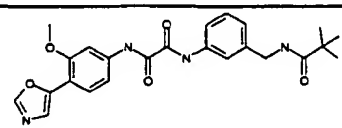
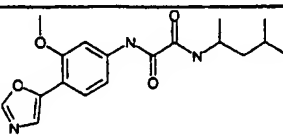


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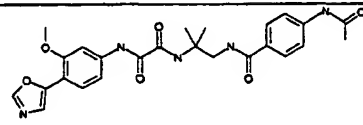
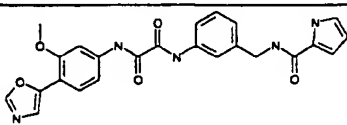
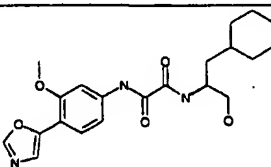
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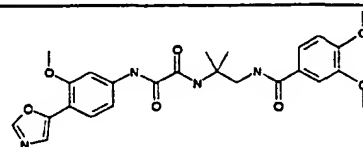
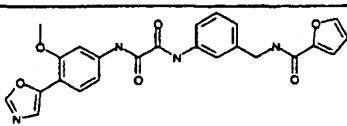
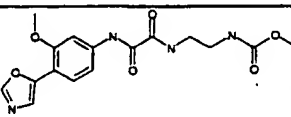
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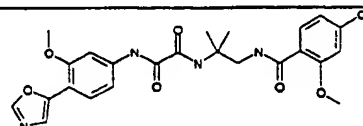
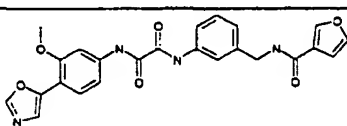
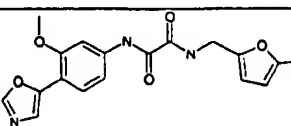
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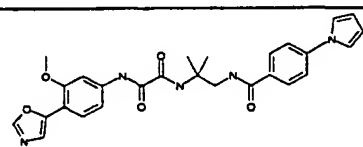
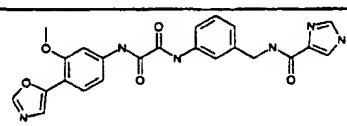
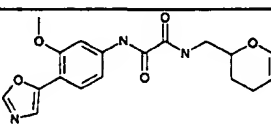
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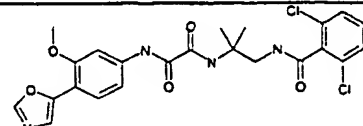
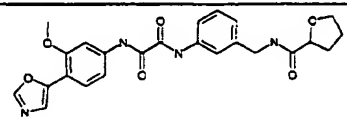
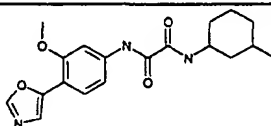
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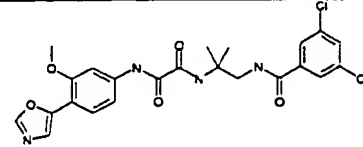
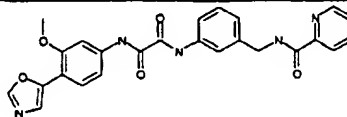
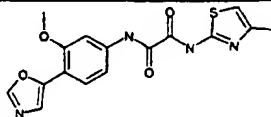
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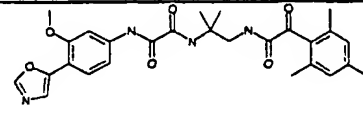
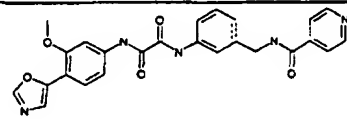
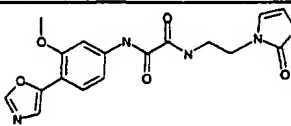
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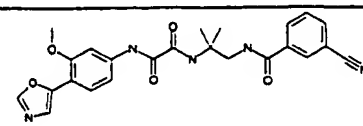
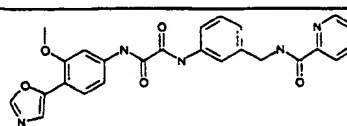
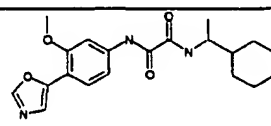
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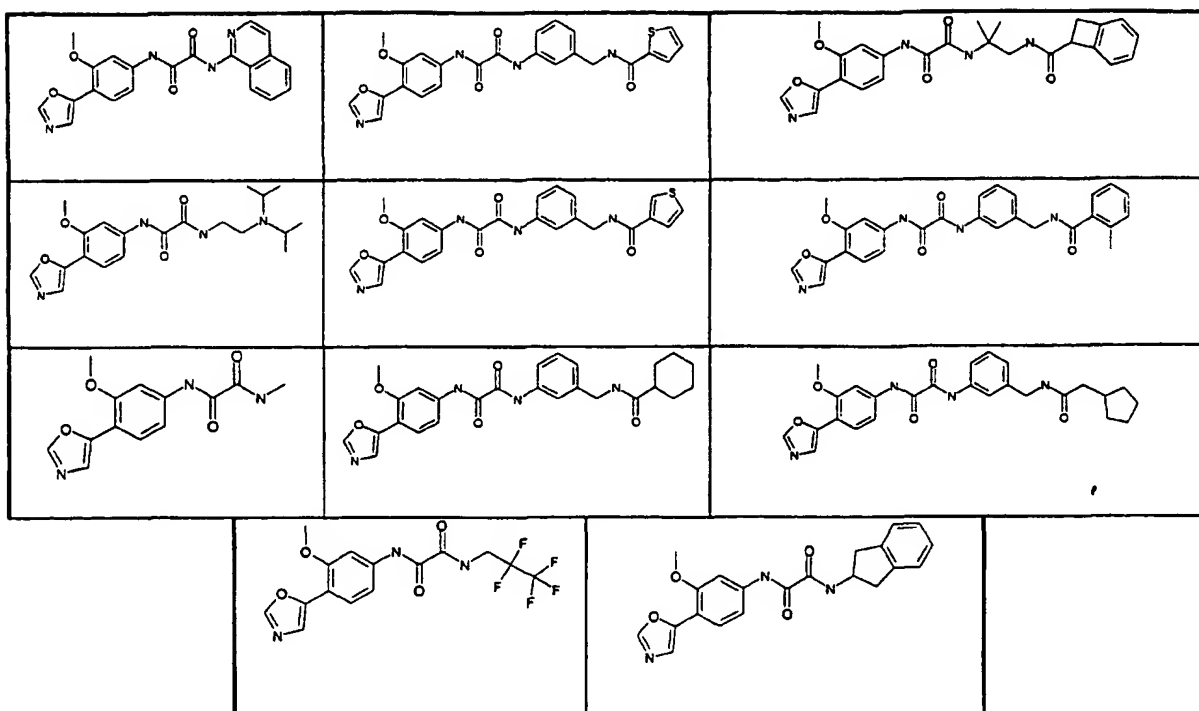
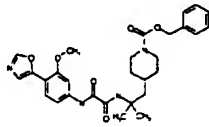
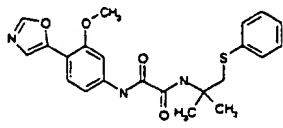
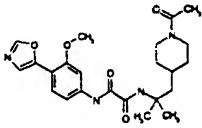
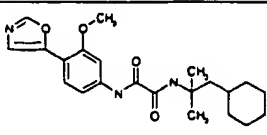
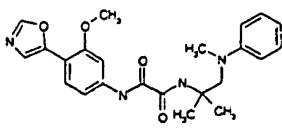
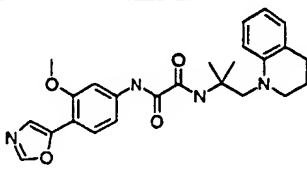
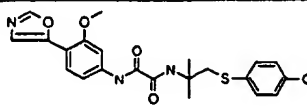
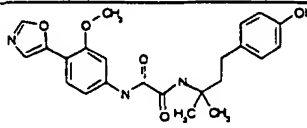
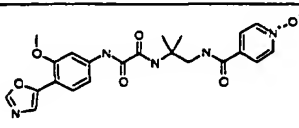
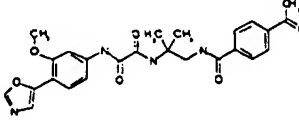
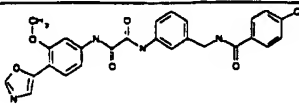
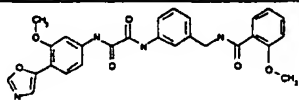
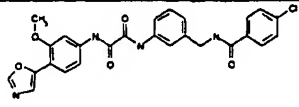
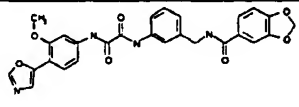
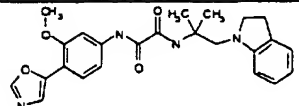
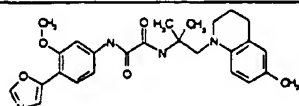
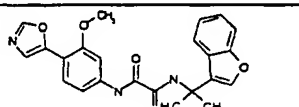
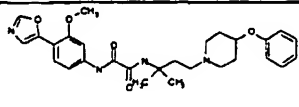
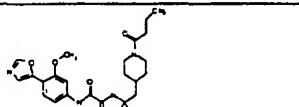
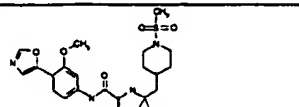
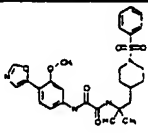
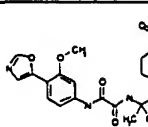
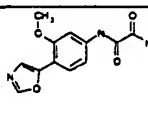
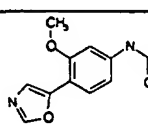


table 1b

Name	Structure	MS(ES) (M+H) ⁺	Ex No
Benzyl 4-{2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl}-1-piperidinecarboxylate		535	421
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide		426	422
N-[2-(1-Acetyl-4-piperidinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		443	423

5	N-(2-Cyclohexyl-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		400	424
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(N-methylanilino)ethyl]oxalamide		423	425
15	N-[2-(1,2,3,4-Tetrahydro-1-quinolyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		449	426
20	N-[2-(4-Hydroxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		442	427
25	N-[3-(4-Hydroxyphenyl)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		424	598
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[(1-oxido-4-pyridyl)carboxamido]ethyl]oxalamide		454	599
35	N-[2-(4-Acetylbenzamido)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		479.1	600
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-[(4-methylbenzamido)methyl]phenyl]oxalamide		485.1	601

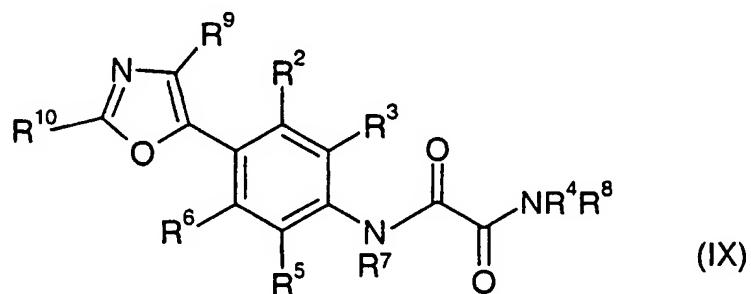
5	N-[3-[(2-Methoxybenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		501.1	602
10	N-[3-[(4-Chlorobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		505.1	603
15	N-[3-[[[(1,3-Benzodioxol-5-yl)carboxamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		515.2	604
20	N-[2-(2,3-Dihydro-1-indolyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		435	605
25	N-[2-(3,4-Dihydro-6-methyl-2H-quinol-1-yl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		463	606
30	N-[1-(3-Benzofuranyl)-1-methylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		420	607
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-phenoxy-piperidino)propyl]oxalamide		507	608
40	N-[2-(1-Butyryl-4-piperidiny)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		471	609
45	N-[2-[1-(Methanesulfonyl)-4-piperidiny]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		479	610

phenyl]oxalamide			
N-[2-[1-(Benzenesulfonyl)-4-piperidiny]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		541	611
N-[2-(1-Isobutyryl-4-piperidiny)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		471	612
tert-Butyl 4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino] oxalyl]amino]-3-methylbutyl]-1-piperidinecarboxylate		515	613
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-piperidiny)propyl]oxalamide		415	614

[0028] Preferred compounds of formula (I) are those where at least one of R², R³, R⁵ and R⁶ is not hydrogen.

[0029] Furthermore, preferred compounds of formula (I) are those where R¹ represents an optionally substituted oxazole ring.

[0030] In particular, preferred compounds of formula (I) are those according to the general formula:



wherein

R² to R⁸ are defined as above; and,

R⁹ is hydrogen, lower alkyl, aryl-lower alkyl;

R¹⁰ is hydrogen.

[0031] More particularly, preferred compounds of formula (I) are those according to the general formula (IX), wherein

R² is methoxy or chloro;

R³ is hydrogen;

R⁴ is heterocyclyl, aryl, or optionally substituted branched chain lower alkyl;

R⁵ is hydrogen;

R⁶ is hydrogen;

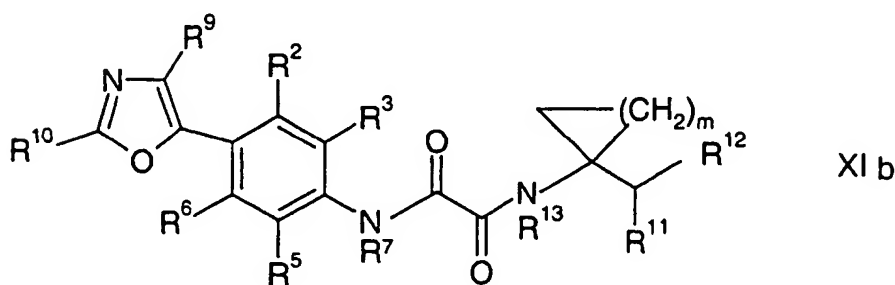
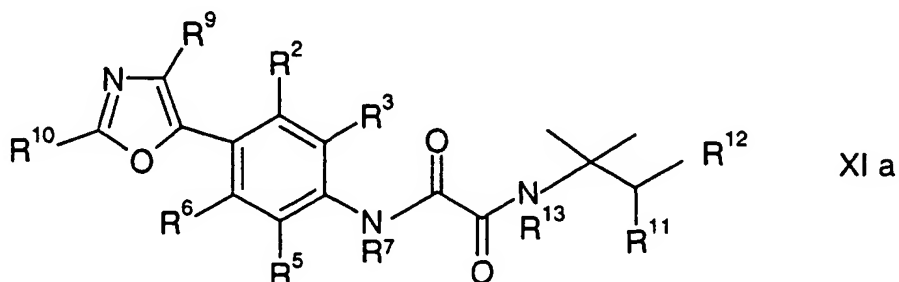
R⁷ is hydrogen;

R⁸ is hydrogen;

R⁹ is hydrogen;

R¹⁰ is hydrogen.

[0032] In particular, preferred compounds of formula (I) are also those according to the general formulas:



wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above

R¹¹ and R¹³ is H or lower alkyl, m=1 to 5 and

R¹² is heterocyclyl or aryl, with the proviso that R¹² does not stand for 4-fluorophenyl.

[0033] Particularly preferred compounds of formula (XIa or XIb) are those wherein

[0034] R² is methoxy, R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen and wherein R¹² is optionally substituted phenyl and optionally substituted heteroaryl, with the proviso that R¹² does not stand for 4-fluorophenyl.

[0035] Examples of such compounds are listed in table 1c

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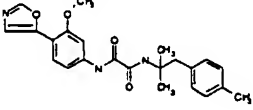
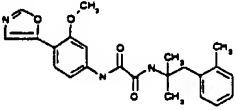
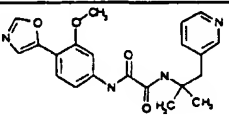
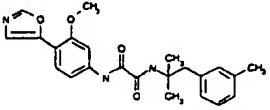
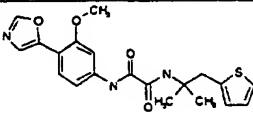
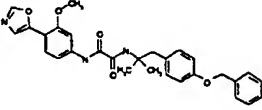
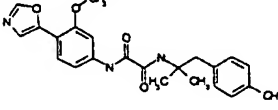
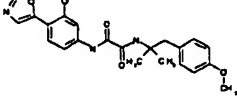
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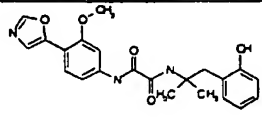
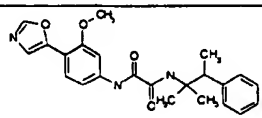
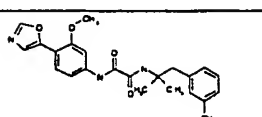
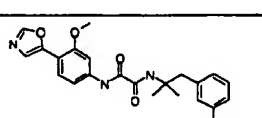
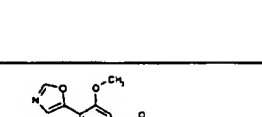
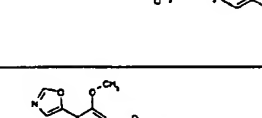
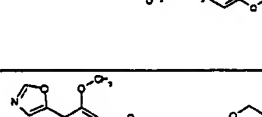
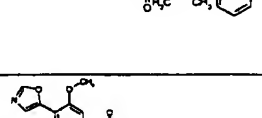
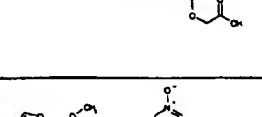
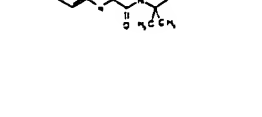
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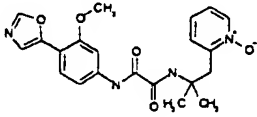
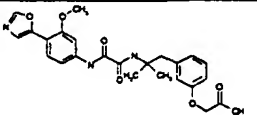
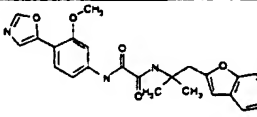
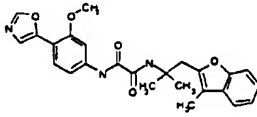
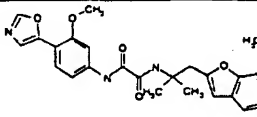
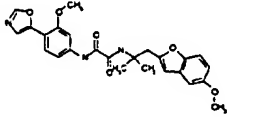
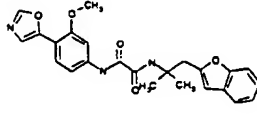
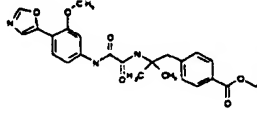
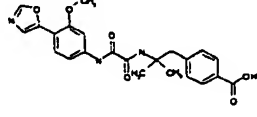
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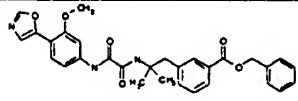
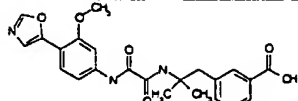
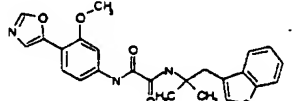
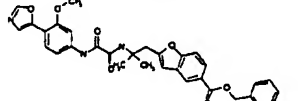
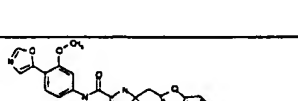
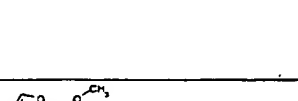

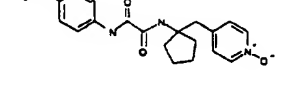
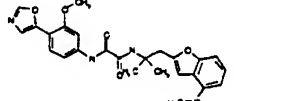
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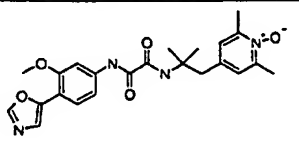
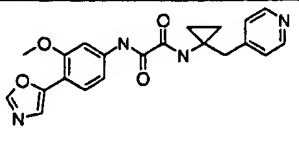
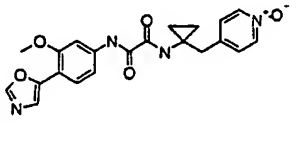
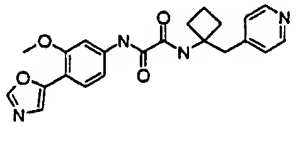
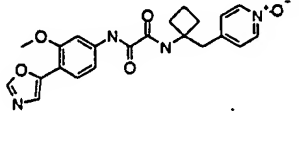
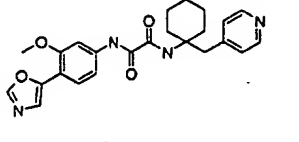
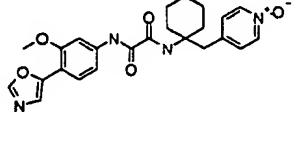
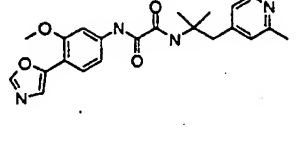
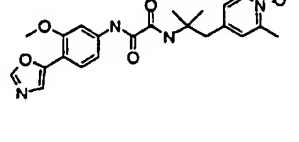
table 1c

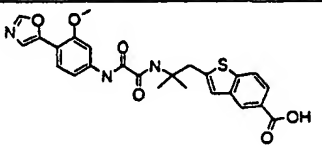
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-methylphenyl)ethyl]oxalamide		408	302
N-[1,1-Dimethyl-2-(2-methylphenyl)ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		408	303
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-pyridyl)ethyl]oxalamide		395	304
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-methylphenyl)ethyl]oxalamide		408	305
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-thienyl)ethyl]oxalamide		400	306
N-[2-(4-Benzoyloxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		500	307
N-[2-(4-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		410	308
N-(3-Methoxy-4-oxazol-5-yl-phenyl)-N'-[2-(4-methoxy-phenyl)-1,1-dimethyl-ethyl]-oxalamide		424	309

5	N-[2-(2-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		410	310
10	N-(1,1-Dimethyl-2-phenyl-propyl)-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		408	311
15	N-[2-(3-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		410	312
20	N-(3-Methoxy-4-oxazol-5-yl-phenyl)-N'-[2-(3-methoxy-phenyl)-1,1-dimethyl-ethyl]-oxalamide		424	313
25	N-[2-[4-(Cyanomethoxy)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		449	314
30	2-[4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid		468	315
35	2-[2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid		468	438
40	2-[3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid		468	439
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-4-pyridyl)ethyl]oxalamide		411	440
50	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-3-		411	441
55				

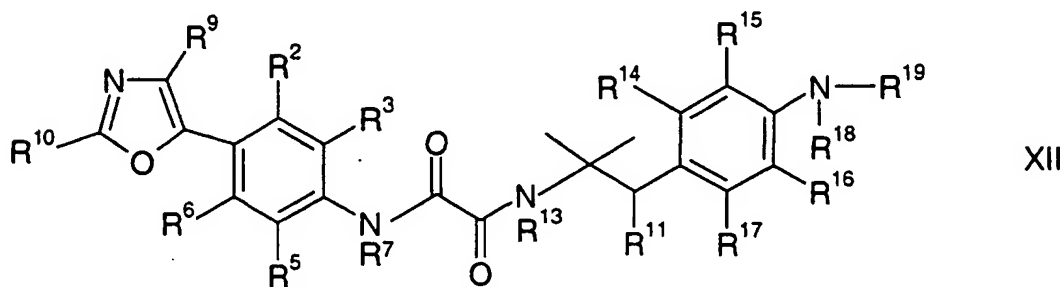
	pyridyl)ethyl]oxalamide			
5				
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-2-pyridyl)ethyl]oxalamide		411	442
15	2-[3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid		468	443
20	N-[2-(2-Benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		434	444
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-methyl-2-benzofuranyl)ethyl]oxalamide		448	445
30	N-[2-(7-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		464	446
35	N-[2-(5-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		464	447
40	N-[2-(6-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		464	448
45				
50	Benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoate		528	449
55	4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoic acid		438	450

5	Benzyl 3-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoate		528	451
10	3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoic acid		438	452
15	N-[2-(3-Benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		434	453
20	Benzyl 2-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylate		568	454
25	2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylic acid		477.9	455
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclopentyl]oxalamide		421	456
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclopentyl]oxalamide		437	457
40	N-[2-(4-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		464	458
45	N'-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-(2,6-dimethyl-4-pyridyl)-1,1-dimethylethyl]oxalamide		423.22	653

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2,6-dimethyl-1-oxido-4-pyridyl)ethyl]oxalamide		439.3	654
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclopropyl]oxalamide		393	655
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclopropyl]oxalamide		409	656
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclobutyl]oxalamide		407	657
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclobutyl]oxalamide		421	658
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclohexyl]oxalamide		435	659
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclohexyl]oxalamide		451	660
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-methyl-4-pyridyl)ethyl]oxalamide		409	661
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-methyl-1-oxido-4-pyridyl)ethyl]oxalamide		425	662

<p>2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzothiophenecarboxylic acid</p>		494	663
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[0036] Particularly preferred compounds of formula (I) are also those according to the general formula

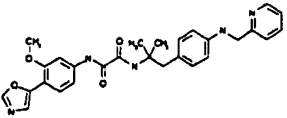
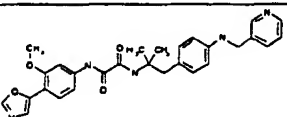
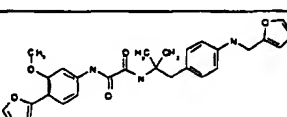
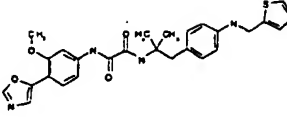
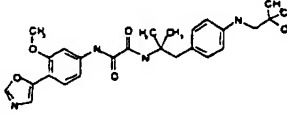
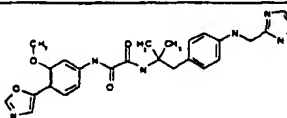
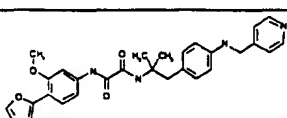


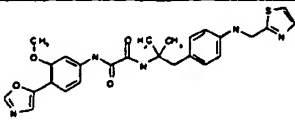
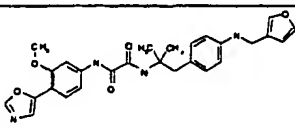
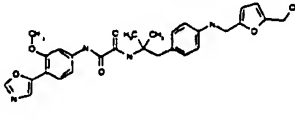
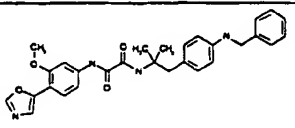
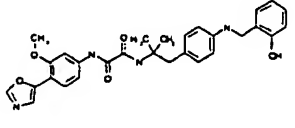
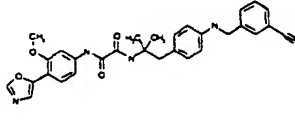
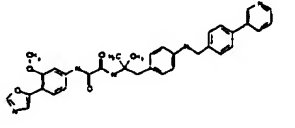
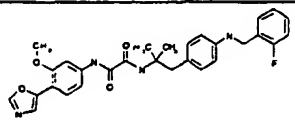
wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above,

R¹¹, R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are H or lower alkyl and R¹⁹ is alkyl, cycloalkyl, heterocyclyl alkyl or aryl alkyl.

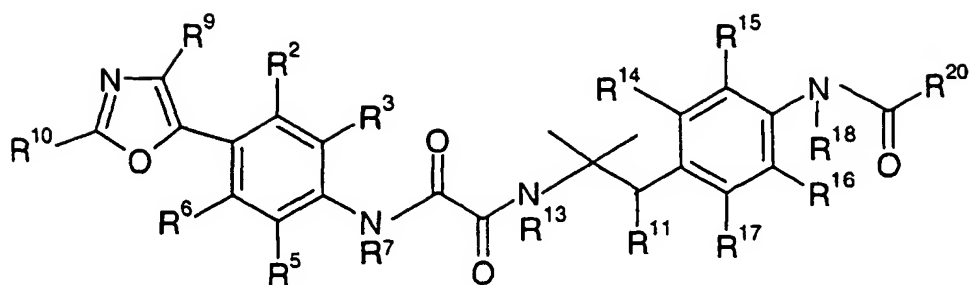
[0037] Particularly preferred compounds of formula (XII) are those wherein R² is methoxy and R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.

[0038] Examples of such compounds are listed in table 1d below

Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-pyridinyl)methylamino]phenyl]ethyl]oxalamide		500.1	316
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(3-pyridyl)methylamino]phenyl]ethyl]oxalamide		500.1	317
N-[2-[4-(2-Furfurylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		489.1	318
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-Dimethyl-2-[4-(2-thenylamino)phenyl]ethyl]oxalamide		505.1	319
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,2-dimethylpropylamino)phenyl]ethyl]oxalamide		479.2	320
N-[2-[4-[(1H-Imidazol-2-yl)methylamino]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		489.1	321
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(4-pyridyl)methylamino]phenyl]ethyl]oxalamide		500.1	322

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-thiazolyl)methylamino]phenyl]ethyl]oxalamide		506.1	323
10	N-[2-[4-(3-Furfurylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		489.1	324
15	N-[2-[4-[5-(Hydroxymethyl)-2-furfurylamino]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		519.1	325
20	N-[2-(4-Benzylaminophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		499.1	326
25	N-[2-[4-(2-Hydroxybenzylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		515.1	327
30	N-[2-[4-(3-Cyanobenzylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		524.1	328
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[4-(3-pyridyl)benzylamino]phenyl]ethyl]oxalamide		576.2	329
40	N-[2-[4-(2-Fluorobenzylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		517.1	330
45				
50				

[0039] Particularly preferred compounds of formula (I) are also those according to general formula



XIII

wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above,

R^{11} , R^{13} , R^{14} , R^{15} , R^{16} , R^{17} and R^{18} are H or lower alkyl and

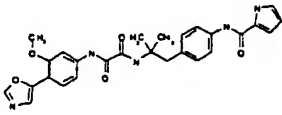
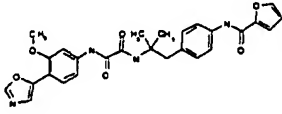
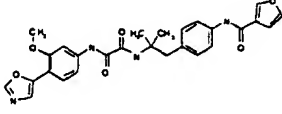
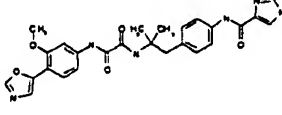
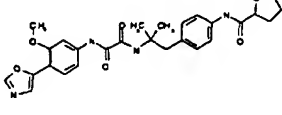
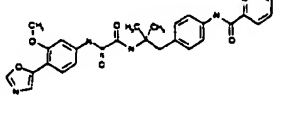
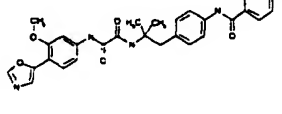
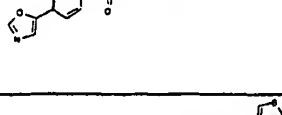
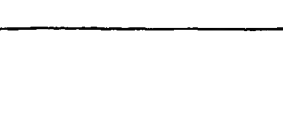
R^{20} is alkyl, cycloalkyl, aryl, heterocyclyl.

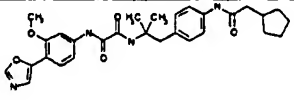
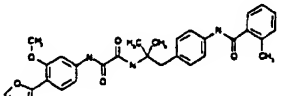
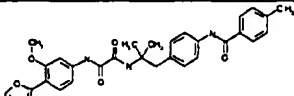
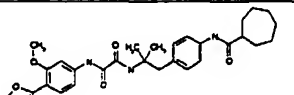
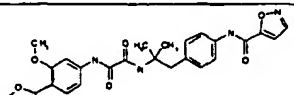
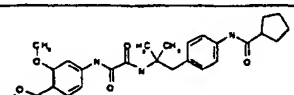
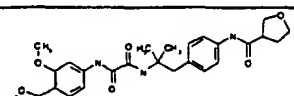
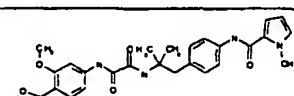
[0040] Particularly preferred compounds of formula (XIII) are those wherein R^2 is methoxy and R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen.

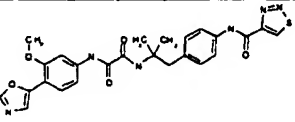
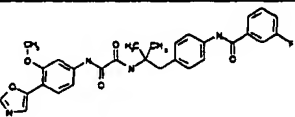
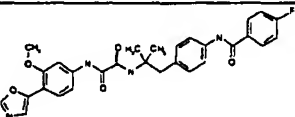
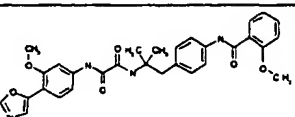
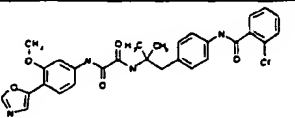
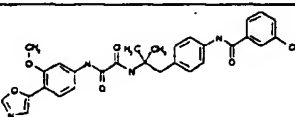
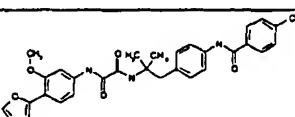
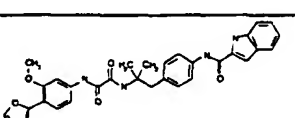
[0041] Examples of such compounds are listed in table 1e below

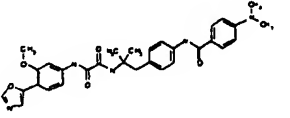
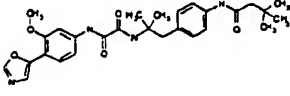
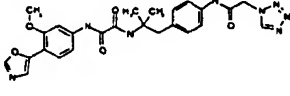
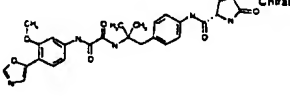
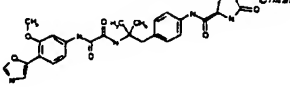
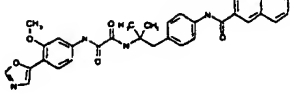
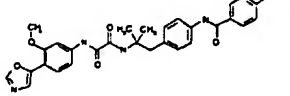
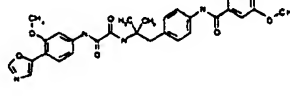
Table 1e

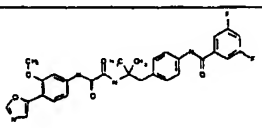
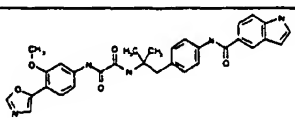
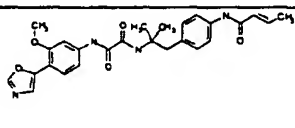
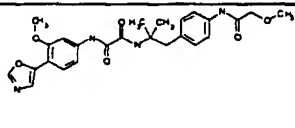
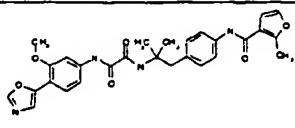
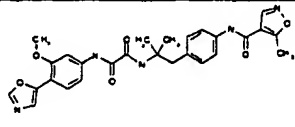
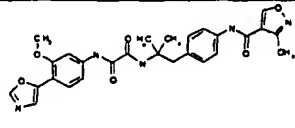
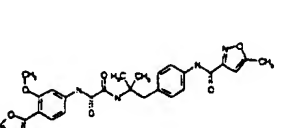
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[2-[4-(Cyclopropylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		477.1	331
N-[2-[4-(Cyclobutylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		491.1	332
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-pivalamidophenyl)-1,1-dimethylethyl]oxalamide		493.1	333

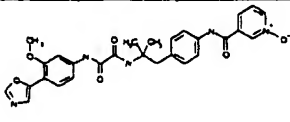
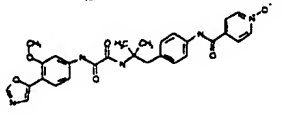
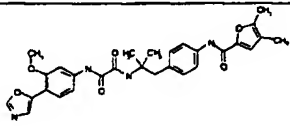
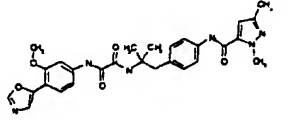
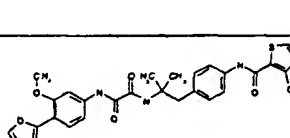
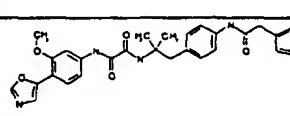
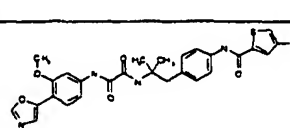
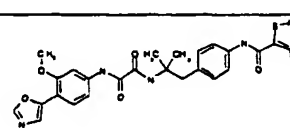
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(1H-pyrrol- 2-yl)carboxamido]phenyl]ethyl] oxalamide		502.1	334
10	N-[2-[4-[(2-Furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		503.1	335
15	N-[2-[4-[(3-Furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		503.1	336
20	N-[2-[4-[(1H-Imidazol-4-yl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		503.1	337
25	N-[2-[4-[(Tetrahydro-2(RS)-furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		507.2	338
30	N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-[4-[(2- pyridyl)carboxamido]phenyl]ethyl]ox alamide		514.1	339
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4-pyridyl) carboxamido]phenyl]ethyl]oxalamide		514.1	340
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(2-thienyl) carboxamido]phenyl]ethyl]oxalamide		519.1	341
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N-[1,1-dimethyl-2-[4-[(3-thienyl)			

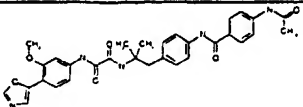
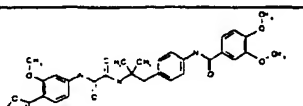
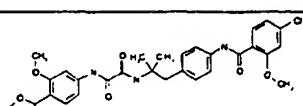
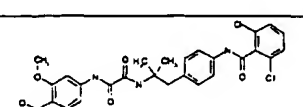
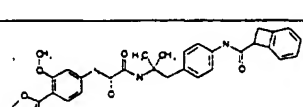
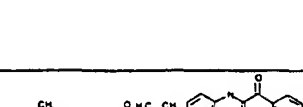

	carboxamido]phenyl]ethyl]oxalamide		519.1	342
5	N-[2-[4-(2-Cyclopentylacetamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		519.2	343
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylbenzamido)phenyl]ethyl]oxalamide		527.2	344
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methylbenzamido)phenyl]ethyl]oxalamide		527.2	345
20	N-[2-[4-(Cycloheptylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		533.2	346
25	N-[2-[4-[(5-Isoxazolyl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		504.1	347
30	N-[2-[4-(Cyclopentylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		505.2	348
35	N-[2-[4-[(Tetrahydro-3(RS)-furyl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		507.1	349
40	N-[2-[4-[(Tetrahydro-3(RS)-furyl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		516.1	350
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(1-methyl-1H-pyrrol-2-yl)carboxamido]phenyl]ethyl]oxalamide			
50				
55				

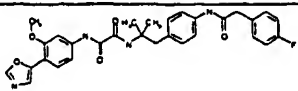
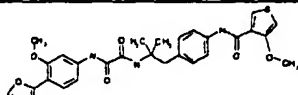
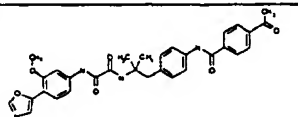
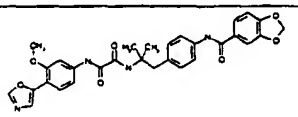
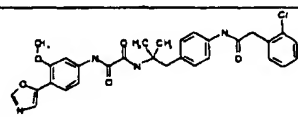
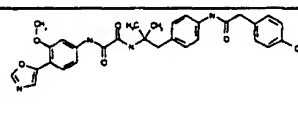
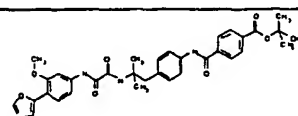
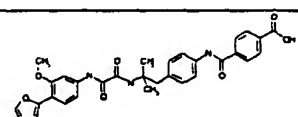
	ethyl]oxalamide			
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1-dimethyl-2-[4-[(1,2,3-thiadiazol-4-yl)carboxamido]phenyl]ethyl]oxalamide		521.1	351
10				
15	N-[2-[4-(3-Fluorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		531.1	352
20	N-[2-[4-(4-Fluorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		531.1	353
25	N-[2-[4-(2-Methoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		543.2	354
30	N-[2-[4-(2-Chlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		547.1	355
35				
40	N-[2-[4-(3-Chlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		547.1	356
45	N-[2-[4-(4-Chlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		547.1	357
50	N-[2-[4-[(1H-Indol-2-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		552.1	358
55				

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[4-(dimethylamino)benzamido]phenyl]ethyl]oxalamide		556.1	359
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3,3-dimethylbutyramido)]phenyl]ethyl]oxalamide		507.1	360
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[2-(1-tetrazolyl)acetamido]phenyl]ethyl]oxalamide		519.1	361
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-oxo-2(S)-pyrrolidiny]l)carboxamido]phenyl]ethyl]oxalamide		520.1	362
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-oxo-2(R)-pyrrolidiny]l)carboxamido]phenyl]ethyl]oxalamide		520.1	363
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-naphthyl)carboxamido]phenyl]ethyl]oxalamide		563.1	364
35	N-[2-[4-[(6-Cyano-3-pyridyl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		580.1 (M+H+ ACN)	365
40	N-[2-[4-(3-Methoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]		543.1	366

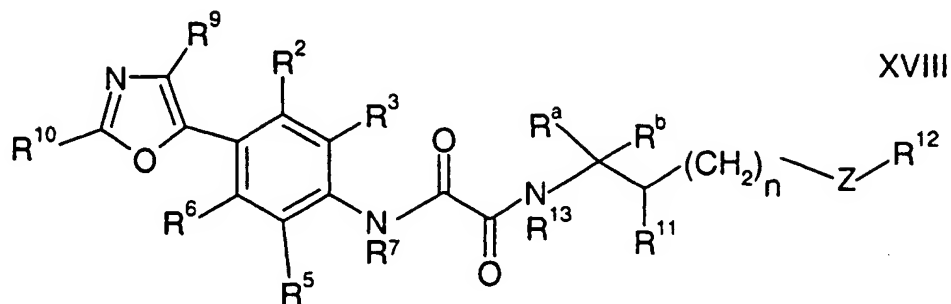
	oxalamide			
5	N-[2-[4-(3,5-Difluorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		549.1	367
10	N-[2-[4-[(1H-Indol-5-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		552.1	368
15	(E)-N-[2-[4-(2-Butenamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		477.1	369
20	N-[2-[4-(2-Methoxyacetamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		481.2	370
25	N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-methyl-3-furyl)carboxamido]phenyl]ethyl]oxalamide		517.1	371
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-4-isoxazolyl)carboxamido]phenyl]ethyl]oxalamide		518.1	372
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(3-methyl-4-isoxazolyl)carboxamido]phenyl]ethyl]oxalamide		518.1	373
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-3-isoxazolyl)carboxamido]phenyl]ethyl]oxalamide		518.1	374
45				
50				
55				

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(1-oxido-3-pyridyl)carboxamido]phenyl]ethyl]oxalamide		530.1	375
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(1-oxido-4-pyridyl)carboxamido]phenyl]ethyl]oxalamide		530.1	376
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4,5-dimethyl-2-furyl)carboxamido]phenyl]ethyl]oxalamide		531.1	377
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(2,5-dimethyl-2H-pyrazol-3-yl)carboxamido]phenyl]-1,1-dimethylethyl]oxalamide		531.1	378
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(3-methyl-2-thienyl)carboxamido]phenyl]ethyl]oxalamide		533.1	379
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[2-(3-thienyl)acetamido]phenyl]ethyl]oxalamide		533.1	380
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4-methyl-2-thienyl)carboxamido]phenyl]ethyl]oxalamide		533.1	381
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4-methyl-1,2,3-thiadiazol-5-yl)carboxamido]phenyl]ethyl]oxalamide		535	382

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N-[2-[4-(4-Acetamidobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		570.1	383
N-[2-[4-(3,4-Dimethoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		573.1	384
N-[2-[4-(4-Chloro-2-methoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		578.2	385
N-[2-[4-(2,6-Dichlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		581	386
N-[2-[4-[(Bicyclo[4.2.0]octa-1(6),2,4-triene-7(RS)-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		539.1	387
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-oxo-2-phenylacetamido)phenyl]ethyl]oxalamide		541.1	388
N-[2-[4-[2-(2-Fluorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		545	389

5	N-[2-{4-[2-(4-Fluorophenyl)acetamido]phenyl}-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		545	390
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N-[2-{4-[(4-methoxy-3-thienyl)carboxamido]phenyl}-1,1-dimethylethyl]oxalamide		549	391
15	N-[2-[4-(4-Acetylbenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		555.1	392
20	N-[2-[4-[(1,3-Benzodioxol-5-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		557.1	393
25	N-[2-[4-[2-(2-Chlorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		561.1	394
30	N-[2-[4-[2-(4-Chlorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		561.1	395
35	tert-Butyl 4-[[4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenyl]carbonyl]benzoate		613	596
40	4-[[4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenyl]carbonyl]benzoic acid		557	597
45				
50				
55				

[0042] Particularly preferred compounds of formula (I) are also those according to general formula



wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above,

R^{11} and R^{13} are H or lower alkyl,

$n = 0$ or 1 ,

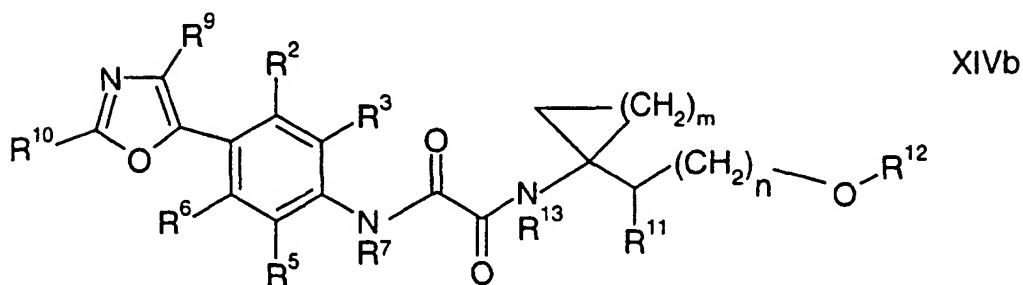
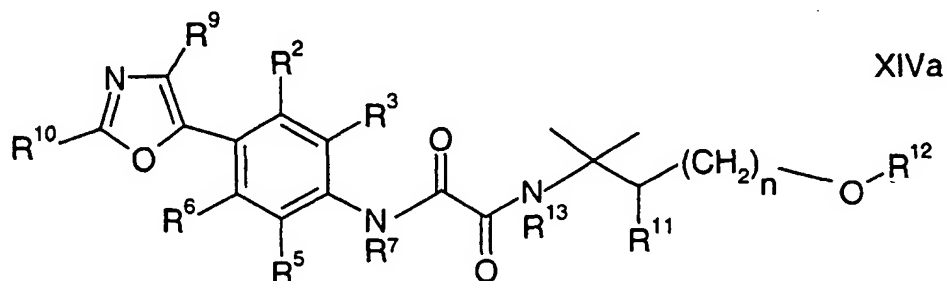
R^a , R^b are lower alkyl or R^a and R^b taken together with the carbon atom to which they are attached form a 3 to 7 member carbocycle, and

R^{12} is heterocyclyl, aryl or lower cycloalkyl

and Z is O, S or NR^{28} ,

wherein R^{28} is H or lower alkyl.

[0043] Further preferred compounds of formula XVIII are those according to general formulas:



wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above

R¹¹ and R¹³ is H or lower alkyl,

n= 0 or 1, m=1 to 5 and,

5 R¹² is heterocyclyl, aryl or lower cycloalkyl.

[0044] Particularly preferred compounds of formula (XIV) are those wherein R² is methoxy and R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.

[0045] Examples of such compounds are listed in table 1f¹ below

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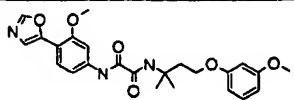
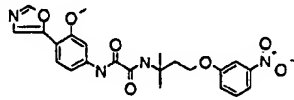
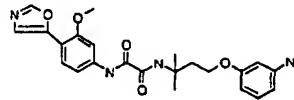
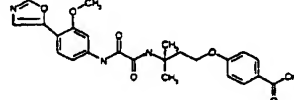
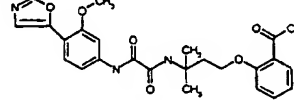
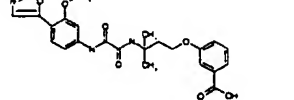
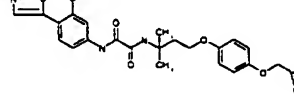
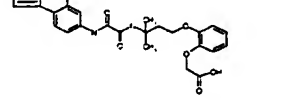
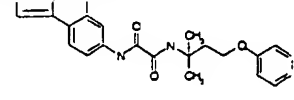
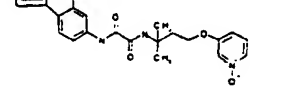
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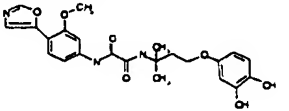
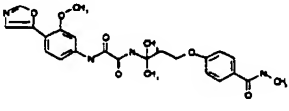
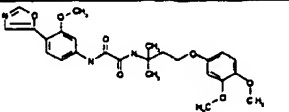
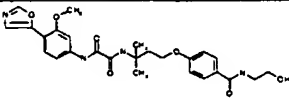
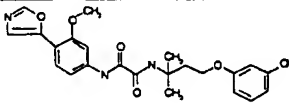
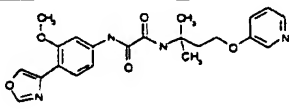
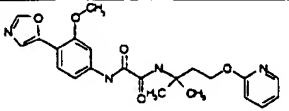
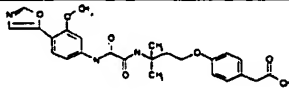
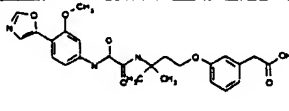
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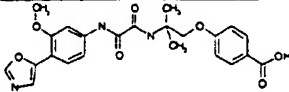
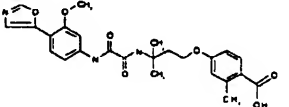
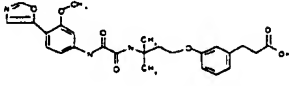
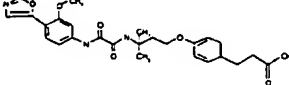
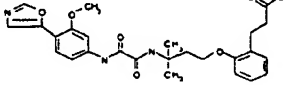
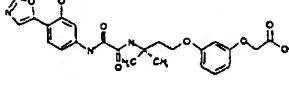
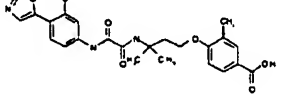
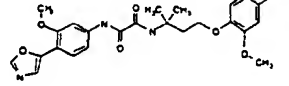
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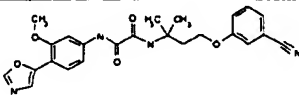
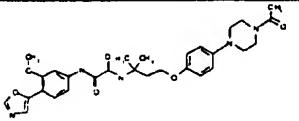
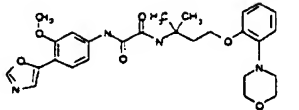
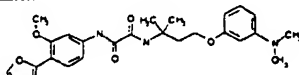
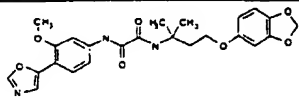
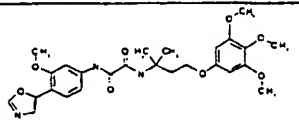
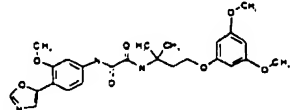
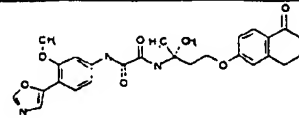
table 1f¹

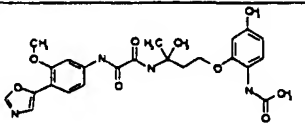
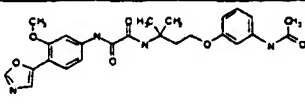
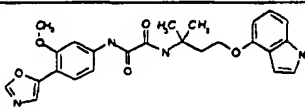
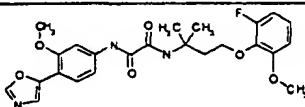
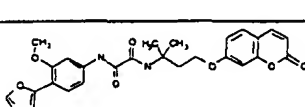
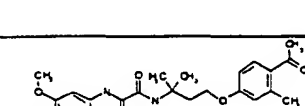
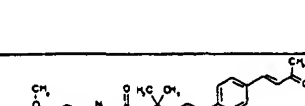
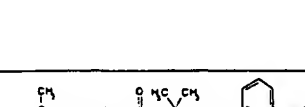
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-(4-Hydroxy-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		440	396
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(4-methoxyphenoxy)-1,1-dimethylpropyl]oxalamide		454	397
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide		469	398
N-[3-(2-Hydroxyphenoxy)-1,1-dimethylpropyl]-N'-(3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		440	399
N-[3-(4-Amino-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		439	400
N-[3-(4-Acetyl-amino-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		481	401
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(3-pyridyloxy)propyl]oxalamide		425	402
N-[3-(3-Hydroxyphenoxy)-1,1-dimethylpropyl]-N'-(3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		440	403

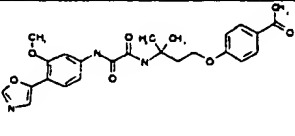
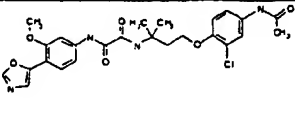
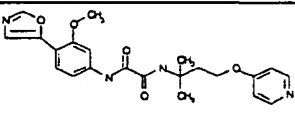
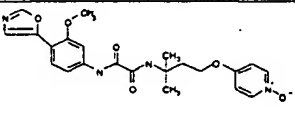
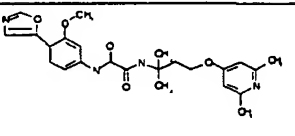
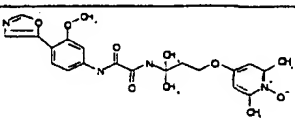
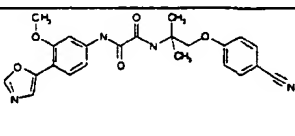
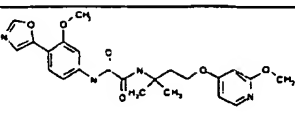
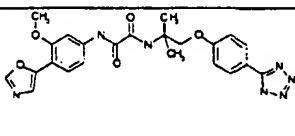
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3-methoxyphenoxy)-1,1-dimethylpropyl]oxalamide		454	404
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(3-nitrophenoxy)propyl]oxalamide		469	405
15	N-[3-(3-Aminophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		439	406
20	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		468	433
25	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		468	434
30	3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		468	435
35	2-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid		498	436
40	2-[2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid		498	437
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1-dimethyl-3-phenoxypropyl)oxalamide		424	542
50	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(1-oxido-3-pyridyloxy)propyl]		441	543
55				

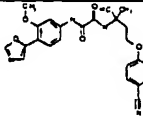
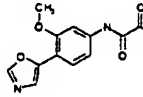
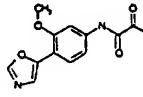
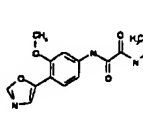
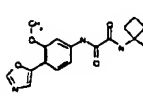
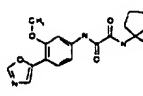
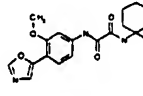
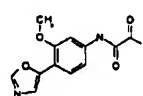
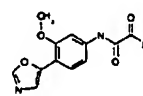
oxalamide			
N-[3-(3,4-Dihydroxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		456	544
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[4-(methylcarbamoyl)phenoxy]propyl]oxalamide		481	545
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3,4-dimethoxyphenoxy)-1,1-dimethylpropyl]oxalamide		484	546
N-[3-[4-[(2-Hydroxyethyl)carbamoyl]phenoxy]-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		511	547
N-[3-(3-Chlorophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		458	548
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(3-pyridyloxy)propyl]oxalamide		425	549
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-pyridyloxy)propyl]oxalamide		425	550
2-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]acetic acid		482	551
2-[3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-		482	552

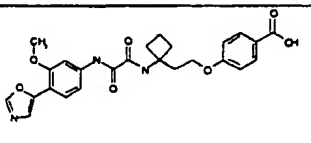
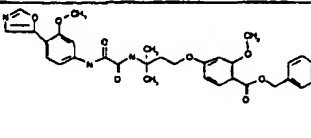
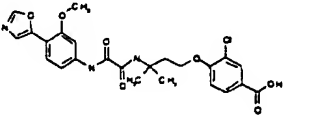
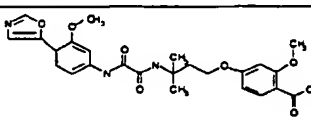
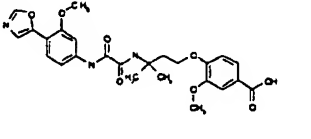
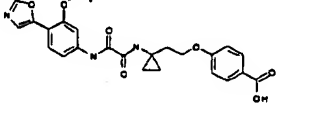
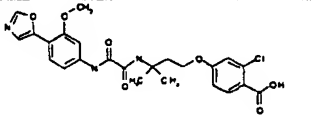
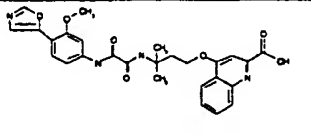
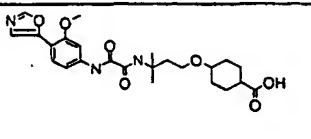
5	methylbutoxy]phenyl]acetic acid			
10	4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropoxy]benzoic acid		454	553
15	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-methylbenzoic acid		482	554
20	3-[3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]propionic acid		496	555
25	3-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]propionic acid		496	556
30	3-[2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]propionic acid		496	557
35	2-[3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid		498	558
40	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-3-methylbenzoic acid		482	559
45	N-[3-(4-Cyano-2-methoxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		479	560

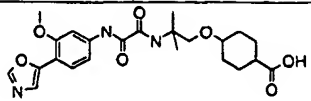
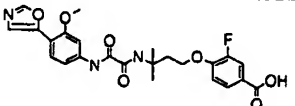
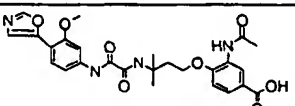
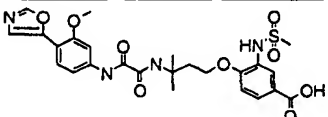
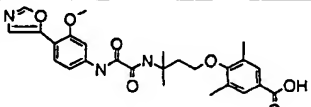
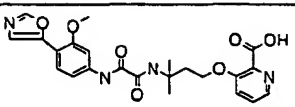
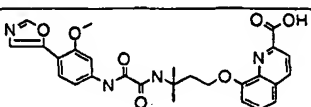
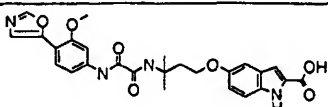
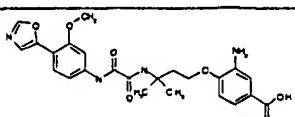
5	N-[3-(3-Cyanophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		449.6	561
10	N-[3-[4-(4-Acetyl-1-piperazinyl)phenoxy]-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		550.4	562
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-morpholinophenoxy)propyl]oxalamide		531.4 (M + Na) ⁺	563
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[3-(dimethylamino)phenoxy]propyl]oxalamide		489.6 (M + Na) ⁺	564
25	N-[3-(1,3-Benzodioxol-5-yloxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		468.4	565
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3,4,5-trimethoxyphenoxy)-1,1-dimethylpropyl]oxalamide		514.4	566
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3,5-dimethoxyphenoxy)-1,1-dimethylpropyl]oxalamide		506 (M + Na) ⁺	567
40	N-[3-(5,6,7,8-Tetrahydro-5-oxo-2-naphthyl)oxy]-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		492.4	568

5	N-[3-(2-Acetamido-5-methylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		517.6 (M + Na) ⁺	569
10	N-[3-(3-Acetamidophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		503.6 (M + Na) ⁺	570
15	N-[3-(1H-Indol-4-yloxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		485.2 (M + Na) ⁺	571
20	N-[3-(2-Fluoro-6-methoxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		472.2	572
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-oxo-2H-1-benzopyran-7-yloxy)propyl]oxalamide		492.4	573
30	N-[3-(4-Acetyl-3-methylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		480.2	574
35	(E)-N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[4-(3-oxo-1-butenyl)phenoxy]propyl]oxalamide		492.4	575
40	N-[3-(3-Acetylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		466.4	576

5	N-[3-(4-Acetylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		466.2	577
10	N-[3-(4-Acetamido-2-chlorophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		515.6	578
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-pyridyloxy)propyl]oxalamide		425	579
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(1-oxido-4-pyridyloxy)propyl]oxalamide		441	580
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2,6-dimethyl-4-pyridyloxy)propyl]oxalamide		453	581
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2,6-dimethyl-1-oxido-4-pyridyloxy)propyl]oxalamide		469	582
35	N-[2-(4-Cyanophenoxy)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		435	583
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(2-methoxy-4-pyridyloxy)-1,1-dimethylpropyl]oxalamide		455	584
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(1H-tetrazol-5-yl)phenoxy]ethyl]oxalamide		478	585

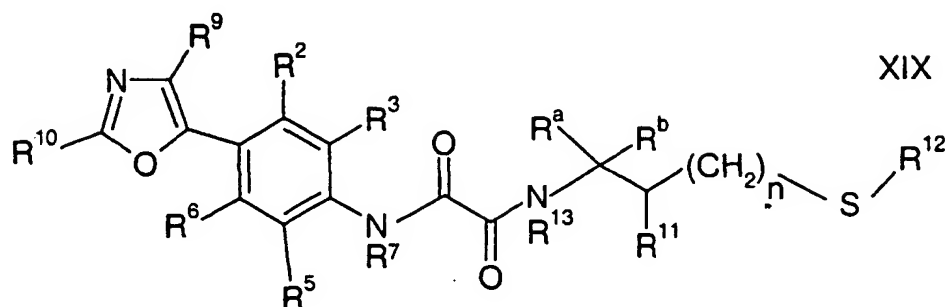
oxalamide			
N-[3-(4-Cyanophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		449	586
N-[2-(3-Cyanophenoxy)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		476	587
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[3-(1H-tetrazol-5-yl)phenoxy]ethyl]oxalamide		478	588
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[4-(1H-tetrazol-5-yl)phenoxy]propyl]oxalamide		492	589
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclobutyl]ethoxy]benzoate		570.2	590
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoate		584.3	591
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoate		598.3	592
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoic acid		494.2	593
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoic acid		508.2	594

5	4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclobutyl]ethoxy]benzoic acid		480.2	595
10	Benzyl 2-methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoate		588	635
15	3-Chloro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		502	636
20	2-Methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		498	637
25	3-Methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		498	638
30	4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopropyl]ethoxy]benzoic acid		466	639
35	2-Chloro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		502	640
40	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-quinolinecarboxylic acid		519	641
45	(cis/trans)-4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-1-cyclohexanecarboxylic acid		474	642
50				
55				

5	(cis/trans)-4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropoxy]-1-cyclohexanecarboxylic acid		460	643
10	3-Fluoro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		486	644
15	3-Acetamido-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		525	645
20	3-(Methanesulfonamido)-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		561	646
25	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-3,5-dimethylbenzoic acid		496	647
30	3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-pyridinecarboxylic acid		469	648
35	8-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-quinolinecarboxylic acid		519	649
40	5-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-indolecarboxylic acid		507	650
45	3-Amino-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		483	671

[0046] This example would be added to the bottom of table 1f1. If added a change to the heading of this section, to include this example number, would be required as well as amendments to the claims tables.

[0047] Further preferred compounds of formula XVIII are those according to general formula



wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above,

R^{11} and R^{13} are H or lower alkyl,

$n = 0$ or 1 ,

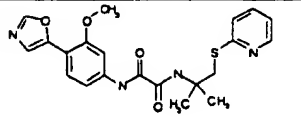
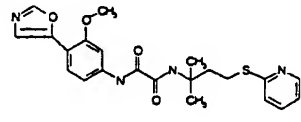
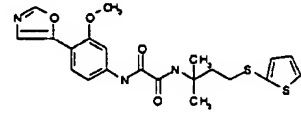
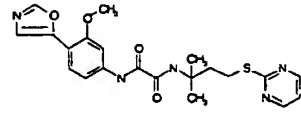
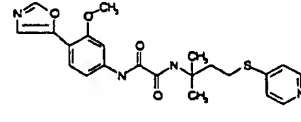
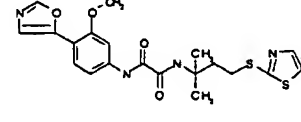
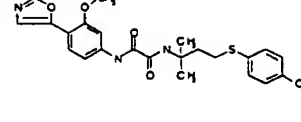
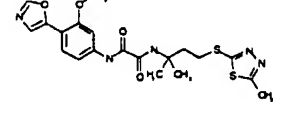
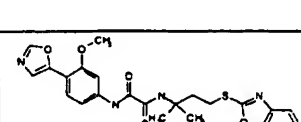
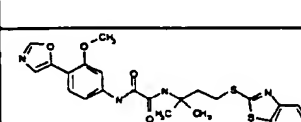
R^a , R^b are lower alkyl or R^a and R^b taken together with the carbon atom to which they are attached form a 3 to 7 member carbocycle, and

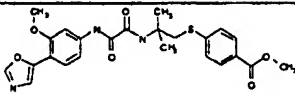
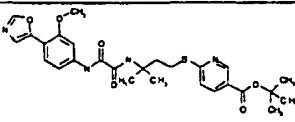
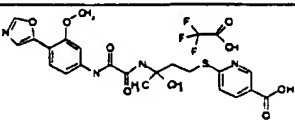
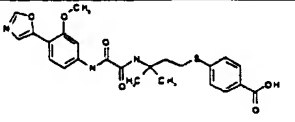
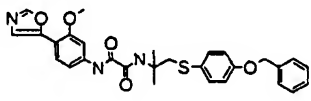
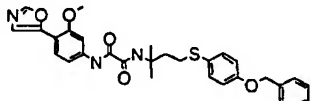
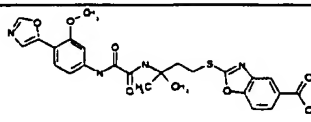
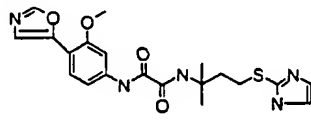
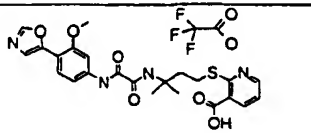
R^{12} is heterocyclyl, aryl or lower cycloalkyl.

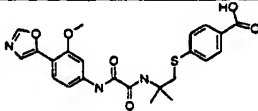
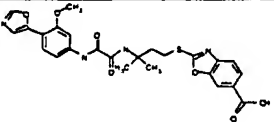
[0048] Particularly preferred compounds of formula (XIX) are those wherein R^2 is methoxy and R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen.

[0049] Examples of such compounds are listed in table 1² below:

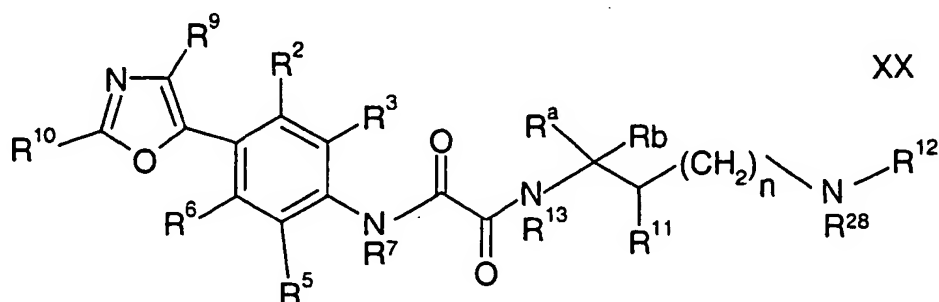
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide		426	615
N-[2-(4-Hydroxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		442	616
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide		440	617

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-pyridylthio)ethyl]oxalamide		427	618
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-pyridylthio)propyl]oxalamide		441	619
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-thienylthio)propyl]oxalamide		446	620
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-pyrimidylthio)propyl]oxalamide		442	621
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-pyridylthio)propyl]oxalamide		441	622
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-thiazolylthio)propyl]oxalamide		447	623
35	N-[3-(4-Hydroxyphenylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		456	624
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(5-methyl-1,3,4-thiadiazol-2-ylthio)propyl]oxalamide		462	625
45	N-[3-(2-Benzooxazolylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		481	626
50	N-[3-(2-Benzothiazolylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		497	627
55				

5	Methyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropylthio]benzoate		484	628
10	tert-Butyl 6-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylate		541	629
15	6-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylic acid		485	630
20	trifluoroacetate (1:1)			
25	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]benzoic acid		484	631
30	N-[2-(4-Benzyloxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		532	664
35	N-[2-(4-Benzyloxyphenylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		546	665
40	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-5-benzoxazolecarboxylic acid		525	666
45	N-[3-(1H-Imidazol-2-ylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		430	667
50	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylic acid		485	668
55				

trifluoroacetate (1:1)			
4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropylthio]benzoic acid		470	669
2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-6-benzoxazolecarboxylic acid		525	670

[0050] Further preferred compounds of formula (XVIII) are those according to general formula



wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above,

R¹¹, R¹³ and R²⁸ are H or lower alkyl,

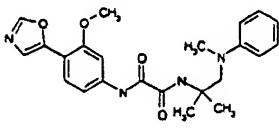
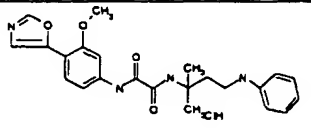
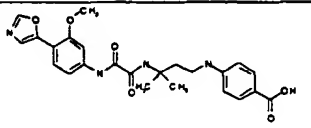
n = 0 or 1,

R^a, R^b are lower alkyl or R^a and R^b taken together with the carbon atom to which they are attached form a 3 to 7 number carbocycle, and

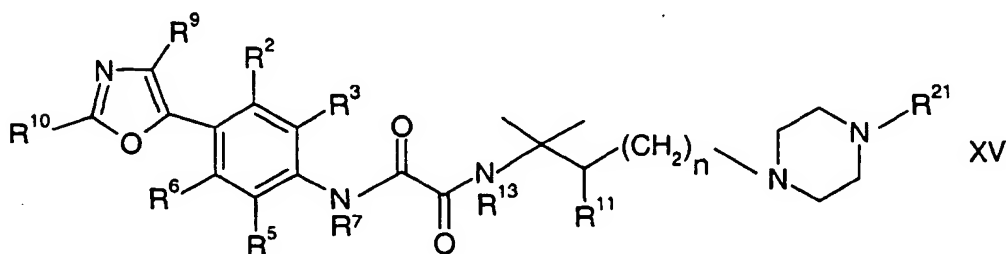
R¹² is heterocyclyl, aryl or lower cycloalkyl.

[0051] Particularly preferred compounds of formula (XX) are those wherein R² is methoxy and R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen and R²⁸ is hydrogen or methyl.

[0052] Examples of such compounds are listed in table 1^{f3} below.

Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(N-methylanilino) ethyl] oxalamide		423	632
N-(3-Anilino-1,1-dimethylpropyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide hydrochloride (1:1)		423	633
4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylamino]benzoic acid		467	634

[0053] Particularly preferred compounds of formula (I) are also those according to general formula



wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above,

R¹¹ and R¹³ is H or lower alkyl,

n = 0 or 1

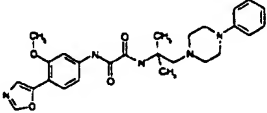
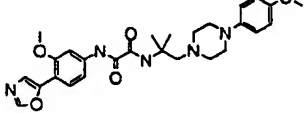
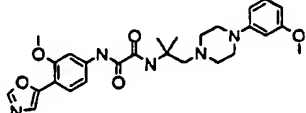
R²¹ is optionally substituted alkyl, cycloalkyl, phenyl, heterocyclyl, optionally substituted cycloalkyl alkyl, phenyl alkyl or heterocyclyl alkyl,

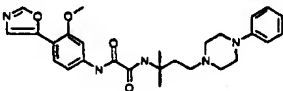
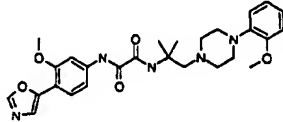
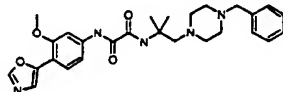
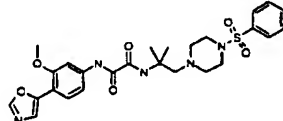
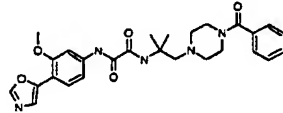
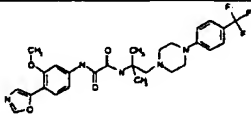
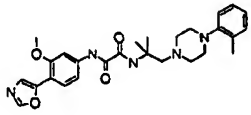
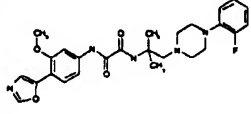
optionally substituted alkyl carbonyl, cycloalkyl carbonyl, phenyl carbonyl, heterocyclyl carbonyl,

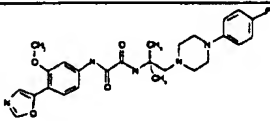
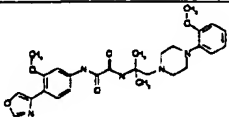
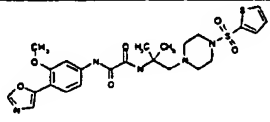
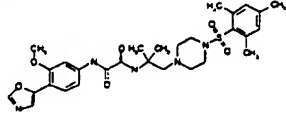
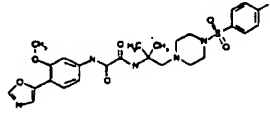
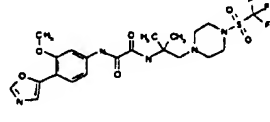
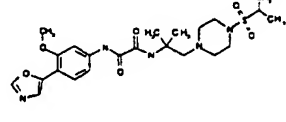
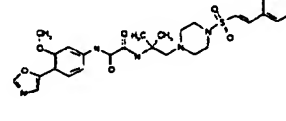
optionally substituted alkyl sulphonyl, cycloalkyl sulphonyl, phenyl sulphonyl, heterocyclyl sulphonyl.

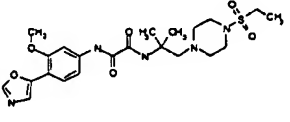
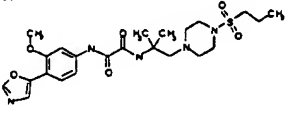
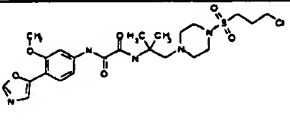
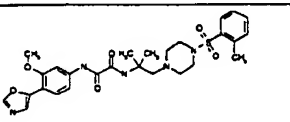
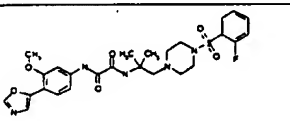
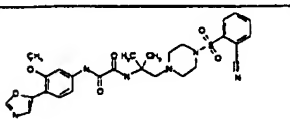
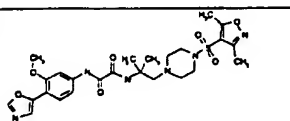
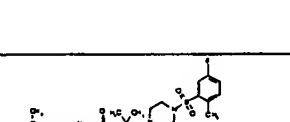
[0054] Particularly preferred compounds of formula (XV) are also those wherein R² is methoxy, R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.

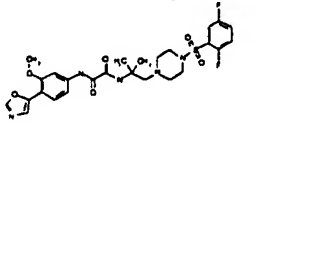
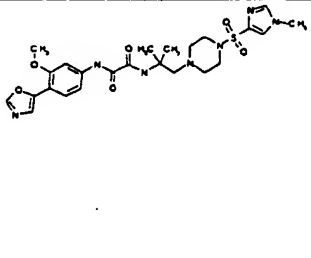
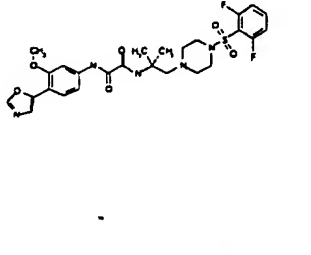
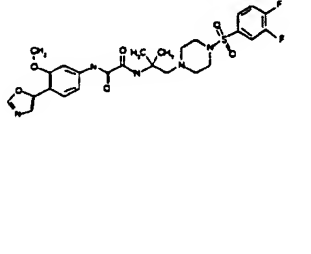
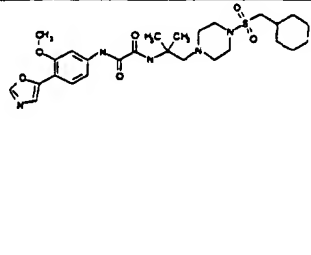
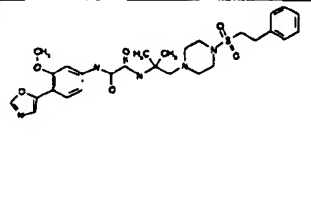
[0055] Examples of such compounds are listed in table 1g below

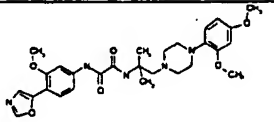
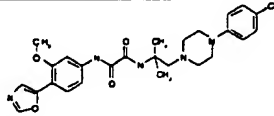
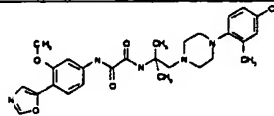
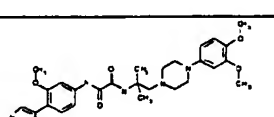
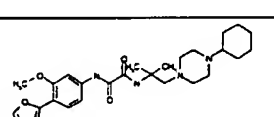
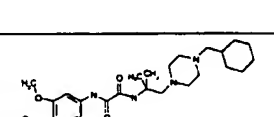
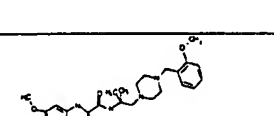
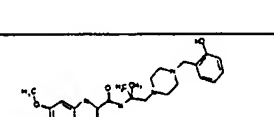
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-phenyl-1-piperazinyl)ethyl]oxalamide		478	407
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508	408
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508	409

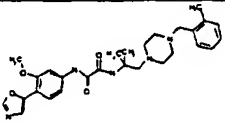
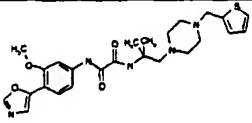
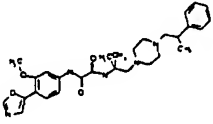
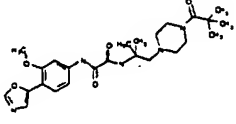
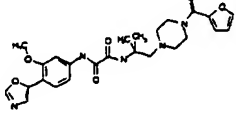
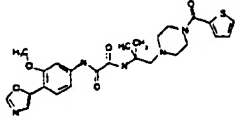
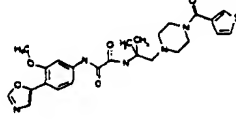
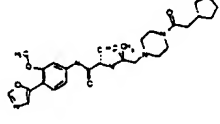
	dimethylethyl]oxalamide		
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-phenyl-1-piperazinyl)propyl]oxalamide		492 410
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2-methoxy-phenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508 411
15	N-[2-(4-Benzyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		492 412
20	N-[2-[4-(Benzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		452 413
25	N-[2-(4-Benzoyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		506 414
30	N-[2-[4-(4-(Trifluoromethyl)phenyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		546 459
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl]oxalamide		492 460
40	N-[2-[4-(2-Fluorophenyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-		496 461

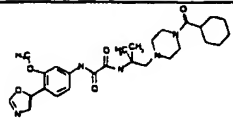
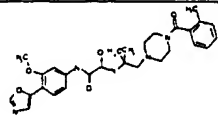
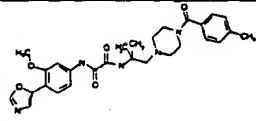
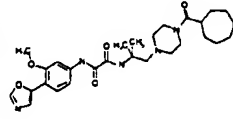
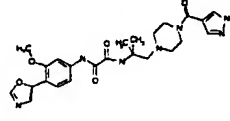
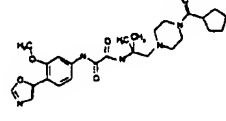
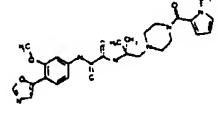
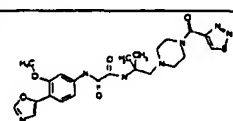
	oxazolyl)phenyl]oxalamide			
5	N-[2-[4-(4-Fluorophenyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		496	462
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508	463
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thiophenesulfonyl)-1-piperazinyl]ethyl]oxalamide		548	464
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,4,6-trimethylbenzenesulfonyl)-1-piperazinyl]ethyl]oxalamide		584.1	465
25	N-[2-[4-(4-Fluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		560.1	466
30	N-[2-[4-(Trifluoromethanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		534	467
35	N-[2-[4-(Isopropylsulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		508.1	468
40	(E)-N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(styrylsulfonyl)-1-		568.1	469

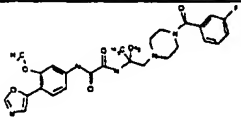
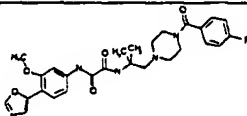
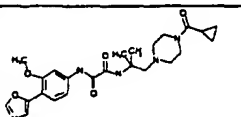
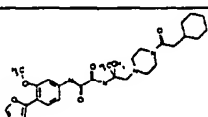
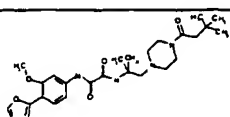
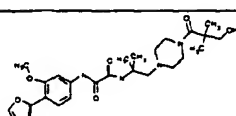
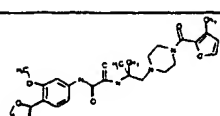
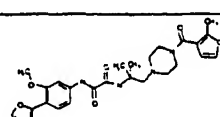
	piperazinyl]ethyl]oxalamide		
5	N-[2-[4-(Ethanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		494.1 470
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(propanesulfonyl)-1-piperazinyl]ethyl]oxalamide		508.1 471
15	N-[2-[4-(3-Chloropropanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		542.1 472
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(o-toluenesulfonyl)-1-piperazinyl]ethyl]oxalamide		556.1 473
25	N-[2-[4-(2-Fluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		560.1 474
30	N-[2-[4-(2-Cyanobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		567.1 475
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,5-dimethyl-4-isoxazolylsulfonyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		561.1 476
40	N-[2-[4-(5-Fluoro-2-methylbenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-		
45			
50			
55			

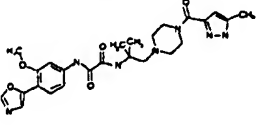
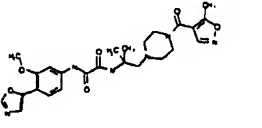
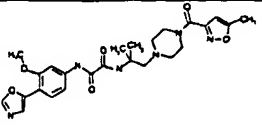
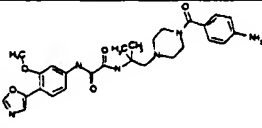
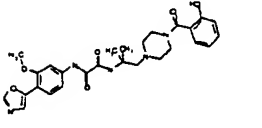
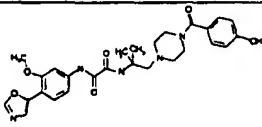
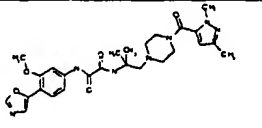
[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		574.1	477
N-[2-[4-(2,5-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		578.1	478
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(1-methyl-1H-imidazole-4-sulfonyl)-1-piperazinyl]ethyl]oxalamide		546.1	479
N-[2-[4-(2,6-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		578.1	480
N-[2-[4-(3,4-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		578.1	481
N-[2-[4-(Cyclohexylmethanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		562.2	482
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-phenylethanesulfonyl)-1-piperazinyl]ethyl]oxalamide		570.1	483

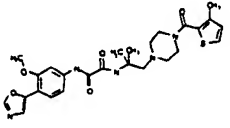
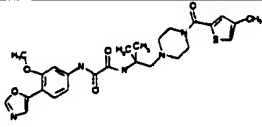
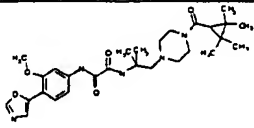
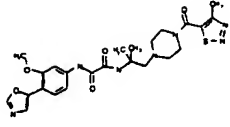
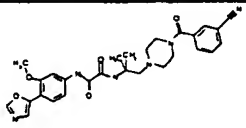
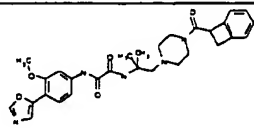
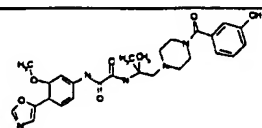
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2,4-dimethoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		538	484
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methylphenyl)-1-piperazinyl]ethyl]oxalamide		492	485
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,4-dimethylphenyl)-1-piperazinyl]ethyl]oxalamide		506	486
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		538	487
25	N-[2-(4-Cyclohexyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		484.4	488
30	N-[2-[4-(Cyclohexylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		498.2	489
35	N-[2-[4-(2-Methoxybenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		522.1	490
40	N-[2-[4-(2-Hydroxybenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		508.1	491
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55				

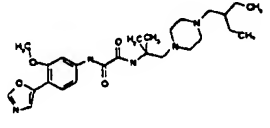
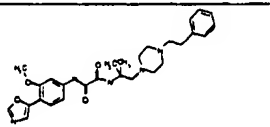
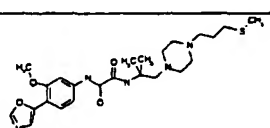
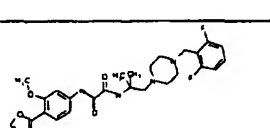
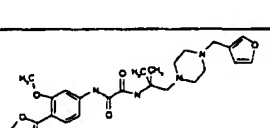
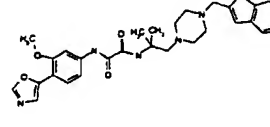
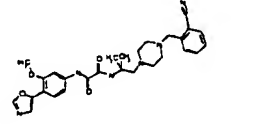
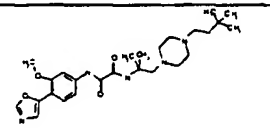
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylbenzyl)-1-piperazinyl]ethyl]oxalamide		506.1	492
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thenyl)-1-piperazinyl]ethyl]oxalamide		498.1	493
15				
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2(RS)-phenylpropyl)-1-piperazinyl]ethyl]oxalamide		520.2	494
25	N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-pivaloyl-1-piperazinyl)ethyl]oxalamide		486.1	495
30	N-[2-[4-(2-Furoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		496.1	496
35				
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thenoyl)-1-piperazinyl]ethyl]oxalamide		512.1	497
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3-thenoyl)-1-piperazinyl]ethyl]oxalamide		512	498
50	N-[2-[4-(2-Cyclopentylacetyl)-1-piperazinyl]-1,1-dimethyl-ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		512.1	499
55				

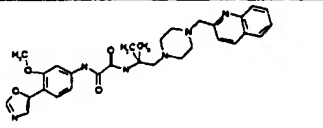
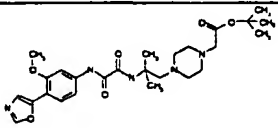
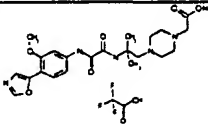
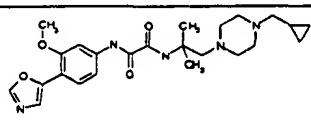
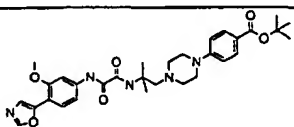
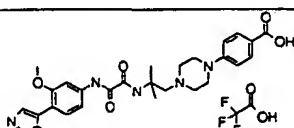
5	N-[2-[4-(Cyclohexylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		512.1	500
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylbenzoyl)-1-piperazinyl]ethyl]oxalamide		520.1	501
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methylbenzoyl)-1-piperazinyl]ethyl]oxalamide		520.1	502
20	N-[2-[4-(Cycloheptylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		526.2	503
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(1H-pyrazol-4-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		496.1	504
30	N-[2-[4-(Cyclopentylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		498.1	505
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-Dimethyl-2-[4-[(1-methyl-1H-pyrrol-2-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		509.1	506
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(1,2,3-thiadiazol-4-yl)carbonyl]-1-piperazinyl]-ethyl]oxalamide		514.1	507
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50				
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5	N-[2-[4-(3-Fluorobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		524.1	508
10	N-[2-[4-(4-Fluorobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		524.1	509
15	N-[2-[4-(Cyclopropylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		470.1	510
20	N-[2-[4-(2-Cyclohexylacetyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		526.2	511
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,3-dimethylbutyryl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		500.2	512
30	N-[2-[4-(3-Hydroxy-2,2-dimethylpropionyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		502.1	513
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3-methyl-2-furoyl)-1-piperazinyl]ethyl]oxalamide		510.1	514
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methyl-3-furoyl)-1-piperazinyl]ethyl]oxalamide		510.1	515
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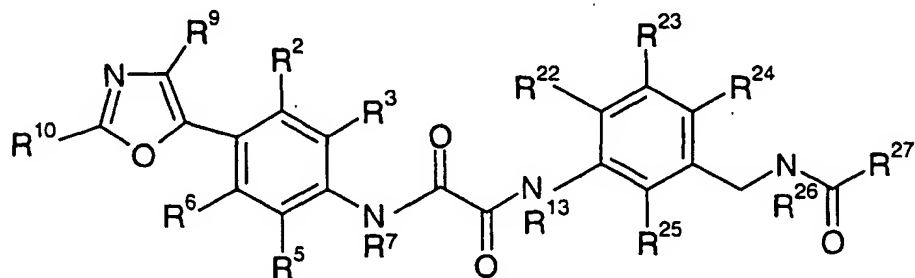
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		510.1	516
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-4-isoxazolyl)carbonyl]-1-piperazinyl]ethyl]oxalamide		511.1	517
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-3-isoxazolyl)carbonyl]-1-piperazinyl]ethyl]oxalamide		511.1	518
20	N-[2-[4-(4-Aminobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		521.1	519
25	N-[2-[4-(2-Hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		522.1	520
30	N-[2-[4-(4-Hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		522.1	521
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2,5-dimethyl-2H-pyrazol-3-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		524.1	522

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3-methyl-2-thenoyl)-1-piperazinyl]ethyl]oxalamide		526.1	523
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methyl-2-thenoyl)-1-piperazinyl]ethyl]oxalamide		526.1	524
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2,2,3,3-tetramethyl-1-cyclopropyl)carbonyl]-1-piperazinyl]ethyl]oxalamide		526.2	525
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(4-methyl-1,2,3-thiadiazol-5-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		528.1	526
25	N-[2-[4-(3-Cyanobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		531.1	527
30	N-[2-[4-[(Bicyclo[4.2.0]octa-1(6),2,4-trien-7-yl)carbonyl]-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		532.1	528
35	N-[2-[4-(3-Hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		522.1	529

5	N-[2-[4-(2-Ethylbutyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		486.1	530
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]oxalamide		506.2	531
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[3-(methylthio)propyl]-1-piperazinyl]ethyl]oxalamide		490.1	532
20	N-[2-[4-(2,6-Difluorobenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		528.1	533
25	N-[2-[4-(3-Furfuryl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		482.1	534
30	N-[2-[4-[(2-Benzofuranyl)methyl]-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		532.1	535
35	N-[2-[4-(2-Cyanobenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		517.1	536
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,3-dimethylbutyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		486.2	537
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5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-quinolinyl)methyl]-1-piperazinyl]ethyl]oxalamide		543.2	538
10	tert-Butyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazineacetate		516	539
15	4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazineacetic acid trifluoroacetate (1:1)		460	540
20	N-[2-[4-(Cyclopropylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		456	541
25	tert-Butyl 4-[4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazinyl]benzoate		578	651
30	4-[4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazinyl]benzoic acid trifluoroacetate (1:1)		522	652

[0056] In particular preferred compounds of formula (I) are also those according to the general formula



XVI

wherein R², R³, R⁵, R⁶, R⁷, R⁹, R¹⁰ and R¹³ are defined as above

R²², R²³, R²⁴, R²⁵ and R²⁶ are H or lower alkyl

R²⁷ is alkyl, aryl or heterocyclyl, alkoxy, aryloxy, heterocyclyl oxy

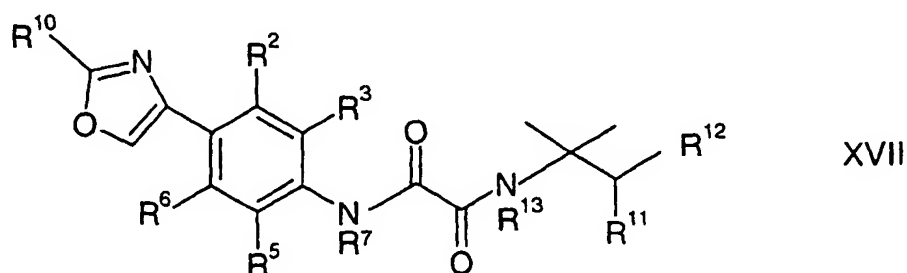
[0057] Particularly preferred compounds of formula (XVI) are those wherein R² is methoxy, R³, R⁵, R⁶, R⁹, R¹⁰, R¹³, R²², R²³, R²⁴, R²⁵ and R²⁶ are hydrogen.

[0058] Examples of such compounds are listed in table 1h below:

Name	Structure	ME(ES) (M+H) ⁺	Ex No
Phenyl [3-[[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl] carbamate		487	415
N-[3-[(3-Fluorobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-		489	416

5	oxazolyl)phenyl]oxalamide			
10	N-[3-[(3-Chlorobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		505	417
15	N-[3-[(3-Methoxybenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		501.2	418
20	N-[3-[(3,4-Dimethoxybenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		531.2	419
25	N-[3-[(3-Cyanobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		496.1	420

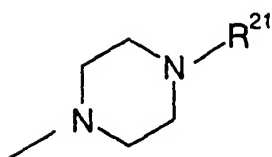
[0059] In particular preferred compounds of formula (I) are also those according to the general formula



wherein R², R³, R⁵, R⁶, R⁷ and R¹⁰ are defined as above

R¹¹ and R¹³ is H or lower alkyl and
R¹² is heterocyclyl, aryl or lower cycloalkyl.

[0060] Particularly preferred compounds of formula (XVII) are those wherein
R² is methoxy, R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen and wherein R¹² is optionally substituted phenyl or



wherein R^{21} is as above.

[0061] Examples of such compounds are listed in table 1i below:

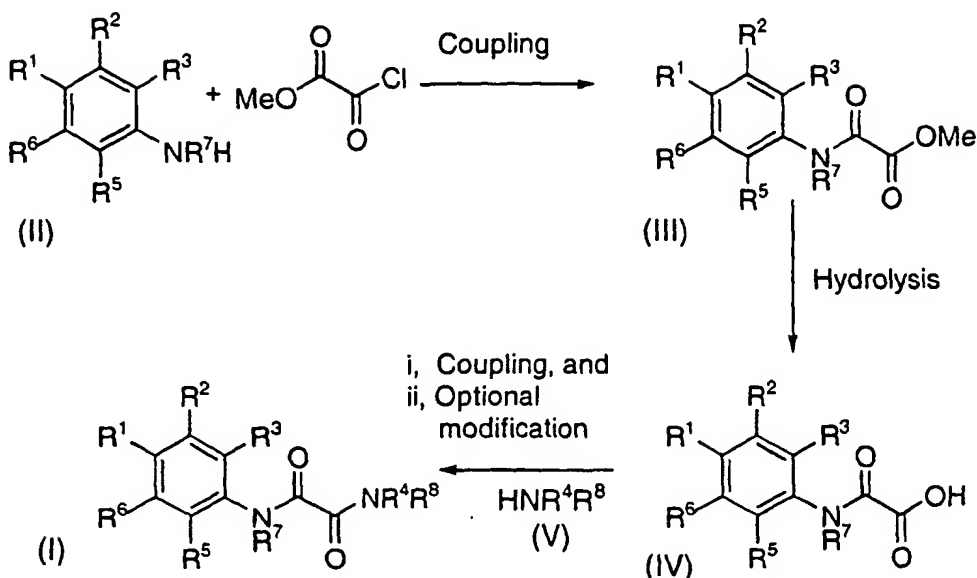
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(4-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-phenyl-1-piperazinyl)ethyl]oxalamide		478	428
N-[2-(4-Benzoyloxyphenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide		500	429

N-[2-(4-Hydroxyphenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide		410	430
N-[3-Methoxy-4-(4-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508	431
N-[3-Methoxy-4-(2-methyl-4-oxazolyl)-phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		522.4	432

[0062] The compounds of formula (IV) and (VIII) which are intermediates in the foregoing processes are novel and

are also provided by the present invention.

Reaction Scheme A



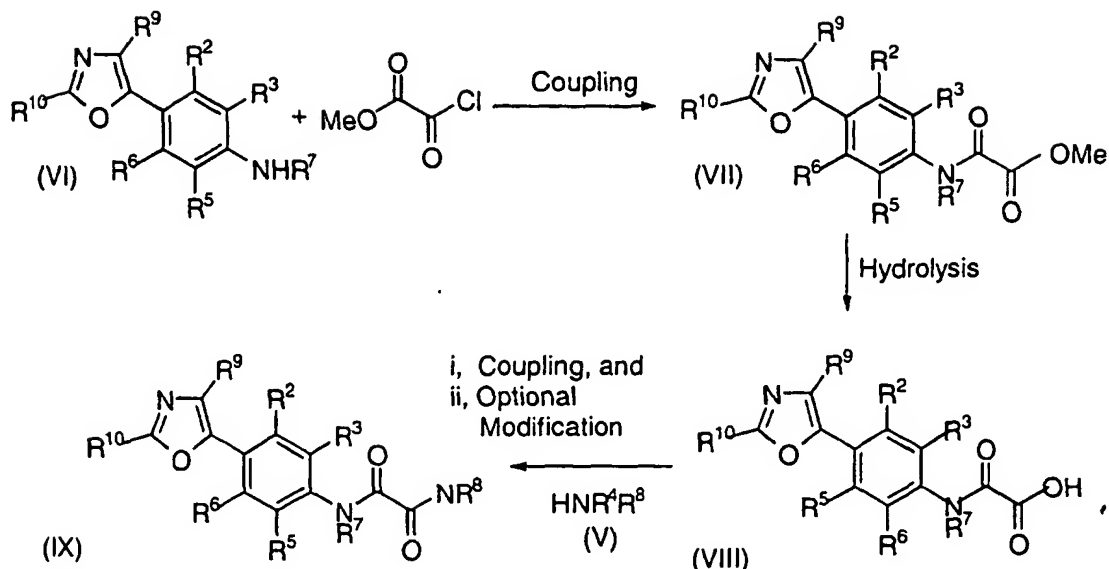
[0063] With reference to Reaction Scheme A, the first step comprises the coupling of a compound of formula (II) with an activated oxalyl derivative, such as methyl chlorooxoacetate, to give a compound of formula (III). The reaction may be carried out in a conventional manner, suitably in an organic solvent which is inert under the reaction conditions and in the presence of an organic base at about 0°C to about room temperature. Suitable solvents include halogenated hydrocarbons, e.g. dichloromethane. Pyridine and tri(lower alkyl)amines, e.g. triethylamine, can be mentioned as examples of suitable organic bases which can be used.

[0064] Subsequent hydrolysis of the compound of formula (III) to give the acid compound of formula (IV) may be carried out by treatment with a solution of an alkali metal hydroxide, such as sodium hydroxide, in a suitable solvent system, such as aqueous methanol.

[0065] Alternatively, a compound of formula (II) may be coupled with tert.butyl chlorooxoacetate, followed by treatment with acid to remove the tert.butyl group, to give a compound of formula (IV).

[0066] The compound of formula (IV) is then coupled with an amine compound of formula (V) using standard peptide coupling reagents, such as hydroxybenzotriazole in the presence of 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride, to give the oxamide compound of formula (I).

[0067] After this coupling step, the R groups of the resulting compound may be further modified by techniques known in the art, for example, functional groups may be altered, and/or connected to further groups

Reaction Scheme B

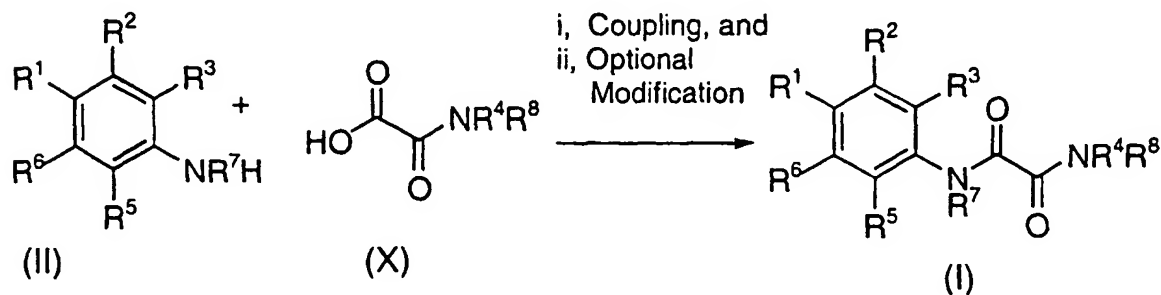
[0068] Referring to Reaction Scheme B, the first step comprises the coupling of a compound of formula (VI) with an activated oxalyl derivative, such as methyl chlorooxoacetate, to give a compound of formula (VII). The reaction is carried out in the manner described above for the formation of a compound of formula (III) from a compound of formula (II).

[0069] Subsequent hydrolysis of the compound of formula (VII) to give the acid compound of formula (VIII) is then carried out as described above for the hydrolysis of a compound of formula (III).

[0070] Alternatively, a compound of formula (VI) may be coupled with tert.butyl chlorooxoacetate, followed by treatment with acid to remove the tert.butyl group, to give a compound of formula (VIII).

[0071] The compound of formula (VIII) is then coupled with an amine compound of formula (V) to give the oxamide compound of formula (IX), under the conditions described above for the coupling of a compound of formula (IV) with a compound of formula (V).

[0072] After this coupling step, the R groups of the resulting compound may be further modified by techniques known in the art, for example, functional groups may be altered, and/or connected to further groups

Reaction Scheme C

[0073] Alternatively, compounds of formula (I) are made by the coupling of a compound of formula (II) with an oxalamic acid compound of formula (X), using standard peptide coupling reagents, such as hydroxybenzotriazole in the presence

of 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride, to give the oxamide compound of formula (I).

[0074] After this coupling step, the R groups of the resulting compound may be further modified by techniques known in the art, for example, functional groups may be altered, and/or connected to further groups

[0075] As mentioned above, the compounds of formula (I) and salts thereof are inhibitors of IMPDH enzyme both in vitro and in vivo, and can be used in the control or prevention of IMPDH mediated conditions or diseases.

[0076] IMPDH activity can be assayed using an adaptation of the method reported by Carr [S. Carr et al., J. Biol. Chem. 268, p.27286 (1993)], the disclosure of which is herein incorporated by reference. IMPDH activity was measured spectrophotometrically, by monitoring the increase in absorbance at 340nm due to the formation of NADH (ϵ_{340} is 6220 M⁻¹ cm⁻¹) from the reduction of NAD. The IMPDH reaction mixture contained 0.1M Tris pH8.0, 0.1M KCl, 1mM DTT, 3mM EDTA, 100mM IMP and 100mM NAD. The reaction was initiated by the addition of IMPDH (human type II) to a final concentration in the assay of between 1nM and 5nM with respect to the IMPDH tetramer. The initial rate is measured by following the linear increase in absorbance at 340nm at 37° C for 45 minutes. The reading was conducted using a Spectromax 190 (Molecular Devices) spectrophotometer in a 96 well plate format with a final reaction volume of 200 μ l.

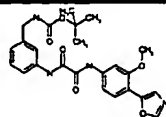
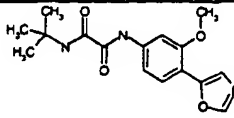
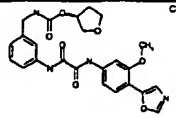
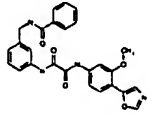
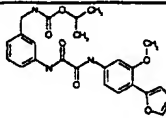
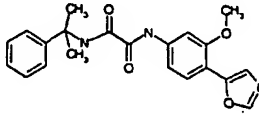
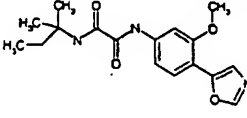
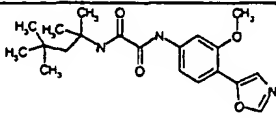
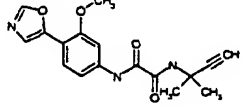
[0077] For inhibitor assay analysis, the compound is dissolved in DMSO to a final concentration of 10mM and added to the initial reaction mixture as 5 μ l to give final DMSO concentration of 2.5%. The enzyme reaction is initiated by the addition of IMPDH and the initial rates measured as above. IC₅₀ determinations are made by measuring the initial rates in the presence of 10 concentrations of inhibitor and fitting the data using the 4 parameter curve fit from the Softmax pro software (Molecular Devices).

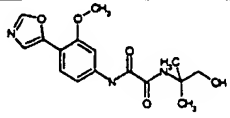
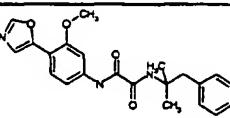
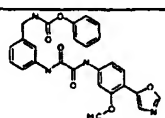
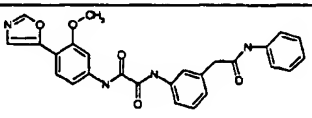
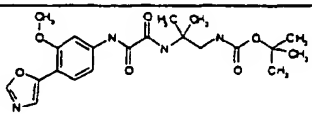
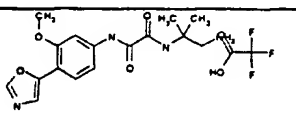
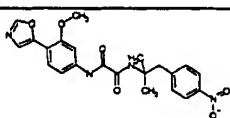
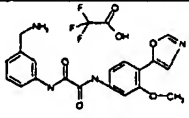
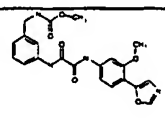
[0078] Preferred compounds of the invention tested in the above assay have an IC₅₀ value up to 500nM i.e. 0.5 μ M.

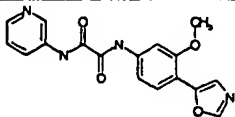
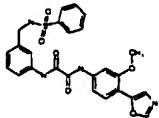
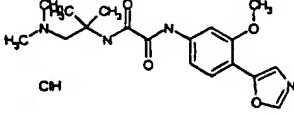
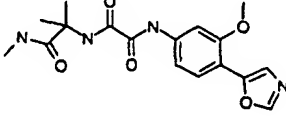
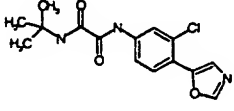
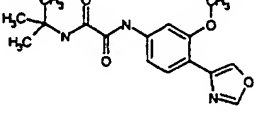
[0079] Specific examples of IC₅₀ values for preferred compounds of formula (I) are set out below in Table 2:

Table 2

Compound of Formula (I)	IC ₅₀
-------------------------	------------------

		(μ M)
	tert-Butyl [3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate	0.036
	N-tert-Butyl-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	0.037
	[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamic acid tetrahydro-3(S)-furyl ester	0.044
	N-[3-(Benzamidomethyl)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	0.013
	Isopropyl [3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate	0.033
	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1-methyl-1-phenylethyl)oxalamide	0.03
	N-(1,1-Dimethylpropyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	0.031
	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1,3,3-tetramethyl-butyl)oxalamide	0.034
	N-(1,1-Dimethylpropargyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	0.048

5		N-(2-Hydroxy-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	0.072
10		N-(1,1-Dimethyl-2-phenylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	0.015
15		Phenyl [3-[[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate	0.011
20		N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-[(phenylcarbamoyl)methyl]phenyl]oxalamide	0.035
25		tert-Butyl [2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]carbamate	0.075
30		N-(2-Amino-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate (1:1)	0.097
35			
40		N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-nitrophenyl)ethyl]oxalamide	0.010
45		N-[3-(Aminomethyl)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate (1:1)	0.233
50			
55		Methyl [3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate	0.121

	N-[3-Methoxy-4-(5-oxazolyl)phenyl]- N'-(3-pyridyl)oxalamide	0.277
	N-[3- [(Benzenesulfonamido)methyl]phenyl]- N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide	0.125
	N-(2-Dimethylamino-1,1- dimethylethyl)-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide hydrochloride (1:1)	0.17
	N-[3-Methoxy-4-(5-oxazolyl)phenyl]- N'-[1-methyl-1- (methylcarbamoyl)ethyl]oxalamide	0.199
	N-tert-Butyl-N'-[3-chloro-4-(5- oxazolyl)phenyl]oxalamide	0.169
	N-tert-Butyl-N'-[3-methoxy-4-(4- oxazolyl)phenyl]oxalamide	0.46

[0080] Compounds of formula (I) which are acidic can form pharmaceutically acceptable salts with bases such as alkali metal hydroxides, e.g. sodium hydroxide and potassium hydroxide; alkaline earth metal hydroxides, e.g. calcium hydroxide, barium hydroxide and magnesium hydroxide, and the like; with organic bases e.g. N-ethyl piperidine, dibenzylamine, and the like. Those compounds of formula (I) which are basic can form pharmaceutically acceptable salts with inorganic acids, e.g. with hydrohalic acids such as hydrochloric acid and hydrobromic acid, sulphuric acid, nitric acid and phosphoric acid, and the like, and with organic acids, e.g. with acetic acid, tartaric acid, succinic acid, fumaric acid, maleic acid, malic acid, salicylic acid, citric acid, methanesulphonic acid and p-toluene sulphonic acid, and the like. The formation and isolation of such salts can be carried out according to methods known in the art.

[0081] The oxamide derivatives provided by the present invention (i.e. the compounds of formula (I) and their pharmaceutically acceptable salts), can be used as medicaments, for example in the form of pharmaceutical preparations. The pharmaceutical preparations can be administered enterally, such as orally, in the form of tablets, coated tablets, dragées, hard and soft gelatine capsules, solutions, emulsions or suspensions, or nasally, e.g. in the form of nasal sprays. They can also be administered rectally, e.g. in the form of suppositories, or parenterally, (e.g. intramuscularly, intravenously, or subcutaneously), for example, in the form of injection solutions.

[0082] For the manufacture of pharmaceutical preparations the oxamide derivatives can be formulated with therapeutically inert, inorganic or organic carriers. Lactose, corn starch or derivatives thereof, talc, stearic acid or its salts can be used, for example, as such carriers for tablets, coated tablets, dragées and hard gelatine capsules. Suitable

carriers for soft gelatine capsules are, for example, vegetable oils, waxes, fats, semi-solid and liquid polyols and the like. Depending on the nature of the active ingredient no carriers are, however, generally required in the case of soft gelatine capsules. Suitable carriers for the manufacture of solutions and syrups are, for example, water, polyols, sucrose, saccharose, invert sugar, glucose and the like. Suitable carriers for the manufacture of injection solutions are, for example, water, saline, alcohols, polyols, glycerine, vegetable oils and the like. Natural or hardened oils, waxes, fats, semi-liquid or liquid polyols and the like are suitable carriers for the manufacture of suppositories. The pharmaceutical preparations of the present invention may also be provided as sustained release formulations or other appropriate formulations.

[0083] The pharmaceutical preparations can also contain preservatives, solubilizers, stabilizers, wetting agents, emulsifiers, sweeteners, colourants, flavourants, salts for adjustment of the osmotic pressure, buffers, masking agents or antioxidants. They may also contain other therapeutically active substances, such as an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an antibiotic, an anti-parasitic agent, an anti-fungal agent, an anti-inflammatory agent and/or an anti-vascular hyperproliferation agent. A preferred agent that may be used with the compounds of the present invention is interferon or derivatives thereof, such as conjugates with polyethylene glycol.

[0084] Medicaments containing compounds of formula (I) or salts thereof and a therapeutically acceptable carrier, as well as a process for the manufacture of such medicaments are also objects of the present invention. This process comprises bringing a compound of formula (I) or a pharmaceutically acceptable salt thereof into a galenical administration form together with a therapeutically inert carrier material and, if desired, one or more additional therapeutically active substances.

[0085] A further object of the invention comprises the use of the oxamide derivatives provided by the invention in the treatment of an immune mediated condition or disease, a viral disease, a bacterial disease, a parasitic disease, inflammation, an inflammatory disease, a hyperproliferative vascular disease, a tumour, or cancer. The dosage can vary within wide limits and will, of course, be adjusted to the individual requirements in each particular case. Dosage levels of between about 0.01 and about 100 mg/kg body weight per day (preferably 0.5 - 75 mg/kg/day) in monotherapy and/or in combination therapy are preferred, administered from about 1 - 5 times per day. The active ingredient may be combined with a carrier material. A typical preparation will contain from about 5% - 95% active compound (w/w) (preferably from about 20% - 80% active compound). The daily dosage can be administered as a single dosage or in divided dosages.

[0086] The compounds and compositions of the present invention may be for use in monotherapy and/or combination therapy, i.e. the treatment may be in conjunction with the administration of one or more additional therapeutically active substance(s). When the treatment is combination therapy, such administration may be concurrent or sequential with respect to that of the oxamide derivatives of the present invention. Thus, concurrent administration, as used herein, includes administration of the agents in conjunction or combination, together, or before or after each other.

[0087] It will be understood that references herein to treatment extend to prophylaxis as well as to treatment of existing conditions. Treatment of a disease or condition, as used herein, also includes preventing, inhibiting, regressing, reversing, alleviating or relieving the disease or condition, or the clinical symptoms thereof. The term "subject" as used herein refers to animals, including humans and other mammals.

[0088] The following Examples illustrate the present invention.

[0089] With regard to the starting materials that are known compounds some of these may be purchased from commercial suppliers. Other starting materials that are known and their analogues can be prepared by methods well known in the art. Examples of compounds available from commercial suppliers, and citations to the synthesis of other compounds and their analogues are provided in the following:

[0090] Compounds of formula (II) and the compounds of formula (VI) are obtained from commercial suppliers (e.g. 4-(5-oxazolyl)aniline, Maybridge catalogue number DFP 00120), or prepared by adaptation of the methods disclosed in published patent application WO 974002, or prepared by adaptation of the methods provided in Palacz et al., FEBS Lett., 1984, 176(2), 365-370.

[0091] The compounds of formula (V) are obtained from commercial suppliers (e.g. tert-butylamine, Aldrich catalogue number B8,920-5; Cumylamine, TCI-US catalogue number C1293), or prepared by adaptation of the methods provided in Kazuo Achiwa et al., Chem.Pharm.Bull., 1998,46(4), 697-670.

[0092] The compounds of formula (X) are prepared by adaptation of the methods provided in Minisci et al., J. Org. Chem., 1995, 60(17), 5430-5433.

[0093] Examples of commercially available reagents include those used in Examples 7, 10 and 11, (2-methoxy-4-nitrobenzoic acid, Aldrich catalogue number 42,291-6; tert-butylacetic acid, Aldrich catalogue number B8,840-3; and p-tolualdehyde, Aldrich catalogue number T3,560-2, respectively).

[0094] Where indicated, the NMR spectra were recorded on a Bruker DRX 400 MHz spectrometer with the probe temperature set at 300 K.

[0095] Where indicated by "(M⁺;EI)", mass spectra were recorded under electron impact conditions (EI), on a THERMOQUEST MAT95 S with a source temperature of 200°C. Other mass spectra were recorded under electrospray

ionisation spectra (ESI) conditions, on one of the following machines:

a) THERMOQUEST SSQ 7000 [Solvent 0.085% TFA in 90% Acetonitrile/water; flow rate 100 microliters/minute; capillary 250°C; spray voltage 5KV; sheath gas 80 psi], or

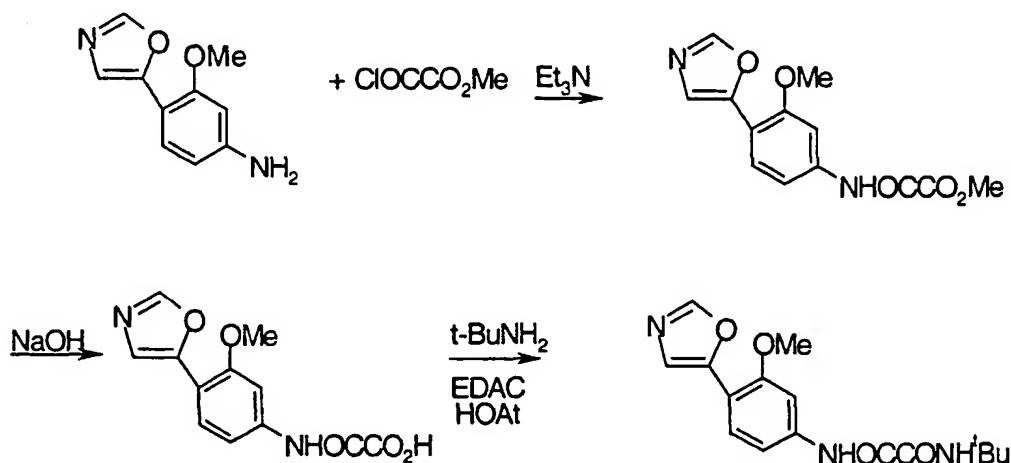
b) LC-MS system (liquid chromatograph coupled to mass spectrum) THERMOQUEST TSQ 7000 ELECTROSPRAY or MICROMASS PLATFORM ELECTROSPRAY [Solvent 0.1% TFA in water or 0.085% TFA in 90% acetonitrile/ water or 0.085% TFA in acetonitrile].

[0096] Unless otherwise indicated, the mass spectroscopy values recorded in the MS(ES) column refer to (M+H)⁺ values, apart from the ones shown as (M⁺;EI).

Example 1

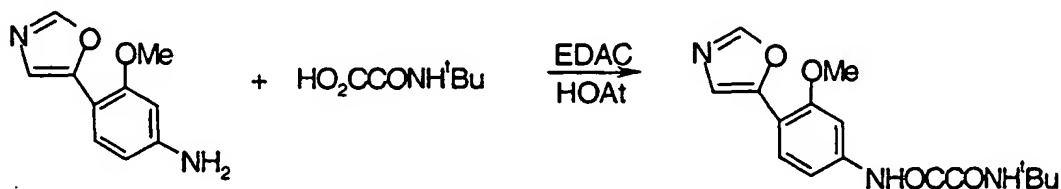
N-Tert-butyl-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide

[0097]



Example 1, Alternative synthesis

[0098]



[0099] A solution of 26 mg (0.1 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, 15 mg (0.2 mmol) of tertiary butylamine, 28 mg (0.15 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and 15 mg (0.11 mmol) of 1-hydroxy-7-azabenzotriazole in 1 ml of dimethylformamide was stirred at room temperature for 4 hours then diluted with ethyl acetate and washed with 2M hydrochloric acid, saturated sodium bicarbonate and water. The resulting solution was dried over magnesium sulphate and evaporated to dryness. The residue was triturated with diethyl ether/petrol (1:1) and collected by filtration to give 11 mg of N-tert-butyl-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide as a white solid. MS: m/e 318.0 [M+H]⁺.

[0100] The starting material was prepared as follows:

i) 5.7 g (30 mmol) of 3-methoxy-4-(5-oxazolyl)aniline and 3.33 g (33 mmol) of triethylamine were dissolved in 50 ml of dichloromethane and the solution was cooled to 0°C. A solution of 3.86 g (31.5 mmol) of methyl oxalyl chloride in 10 ml of dichloromethane was added dropwise and the resulting mixture was stirred for 1 hour then washed with 2M hydrochloric acid. The precipitated solid was collected by filtration and washed with dichloromethane and water to give 6.2 g of methyl N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamate as a yellow solid. ¹H NMR (400 MHz, DMSO-d₆) δ: 3.88 (3H,s), 3.94 (3H,s), 7.48 (1H,s), 7.58 (1H,dd), 7.65 (1H,d), 7.68 (1H,d), 8.39 (1H,s), 10.92 (1H,s).

ii) 6.2 g (22.46 mmol) of methyl N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamate and 1.2 g (30 mmol) of sodium hydroxide were refluxed in 240 ml of methanol/water (1:1) for 2 hours then cooled, filtered and acidified with 2M hydrochloric acid. The precipitated solid was collected by filtration and washed with water, acetone and diethyl ether to give 5.1 g of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid as a pale yellow solid. MS: m/e 262.9 [M+H]⁺.

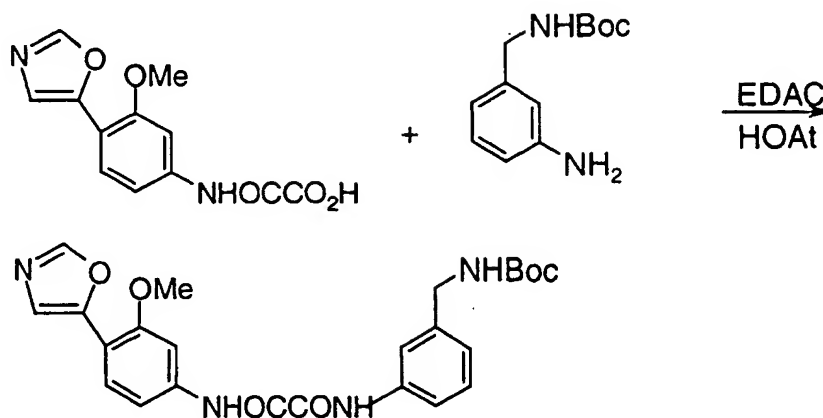
[0101] Alternatively N-tert-butyl-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide can be prepared as follows:

[0102] A solution of 95 mg (0.5 mmol) of 3-methoxy-4-(5-oxazolyl)aniline, 73 mg (0.5 mmol) of N-tert-butyloxalamic acid, 134 mg (0.7 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and 75 mg (0.55 mmol) of 1-hydroxy-7-azabenzotriazole in 4 ml of dichloromethane was stirred at room temperature for 18 hours. The resulting mixture was washed with 2M hydrochloric acid and saturated sodium bicarbonate, dried over magnesium sulphate and evaporated to dryness. The residue was triturated with petrol and collected by filtration to give 128 mg of N-tert-butyl-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as a pale yellow solid. MS: 318 [M+H]⁺.

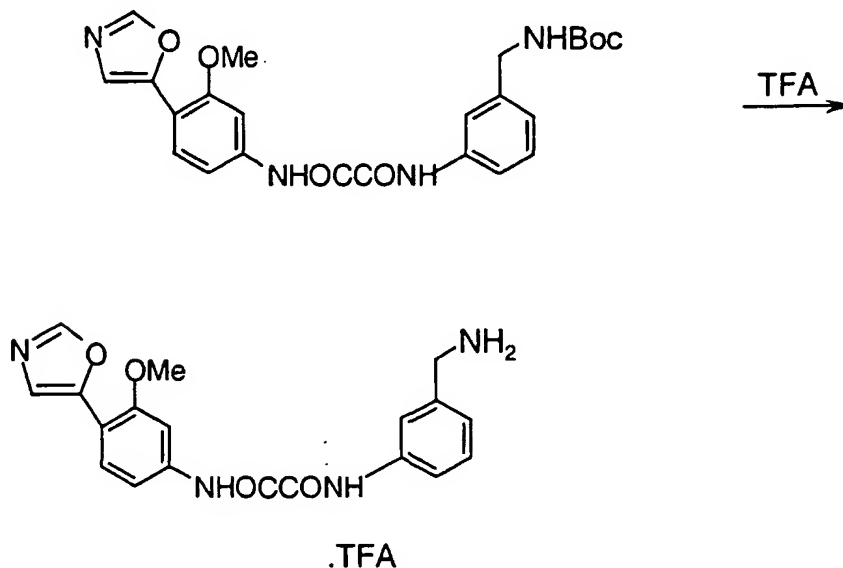
Example 2

Tert-butyl [3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl] amino]benzyl]carbamate

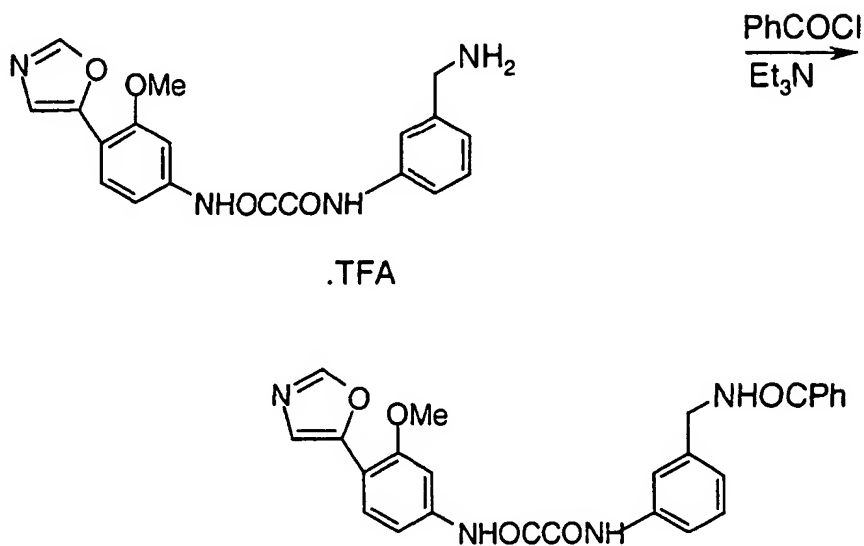
[0103]



[0104] A mixture of 2.04 g (7.79 mmol) of N-(3-methoxy-4-(5-oxazolyl)phenyl)oxalamic acid, prepared as described above in Example 1 above, 1.9 g (8.56 mmol) of tert-butyl (3-aminobenzyl)carbamate, 1.8 g (9.4 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and 1.3 g (9.6 mmol) of 1-hydroxy-7-azabenzotriazole in 30 ml of dimethylformamide was stirred for 20 hours at room temperature. The resulting precipitate was collected by filtration and washed with dichloromethane to give 1.8 g of tert-butyl [3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate as a white solid. MS: m/e 466 M⁺.

Example 3N-[3-(Aminomethylphenyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate**[0105]**

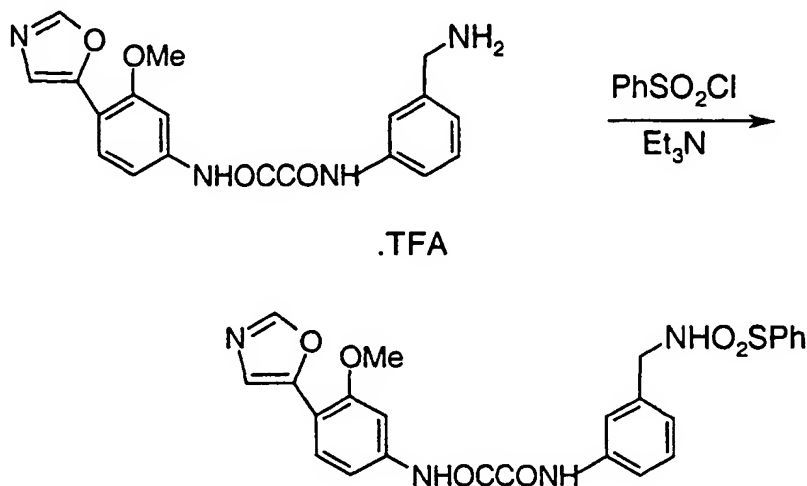
[0106] 15 mg (0.032 mmol) of tert-butyl 3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxaly]amino]benzyl]carbamate, prepared as described in Example 2 above, were dissolved in 1 ml of dichloromethane and 1 ml of trifluoroacetic acid at room temperature for 5 minutes. The solution was evaporated to dryness, the residue triturated with diethyl ether and collected by filtration to give 11 mg of N-[3-(aminomethylphenyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate as a white solid. MS: m/e 408 [M+H+MeCN]⁺.

Example 4N-[3-(Benzamidomethyl)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide**[0107]**

[0108] 29 mg (0.21 mmol) of benzoyl chloride were added to a solution of 100 mg (0.21 mmol) of N-[3-(aminomethyl)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide trifluoroacetate, prepared as described in Example 3 above, and 46 mg (0.46 mmol) of triethylamine in a mixture of 2 ml of dimethylformamide and 5 ml of dichloromethane, and stirred at room temperature for 18 hours. The solution was washed with 2M hydrochloric acid and saturated sodium bicarbonate then dried over magnesium sulphate and evaporated to dryness. The residue was chromatographed on silica gel using ethyl acetate/petrol (2:1) for the elution. After trituration with diethyl ether there was obtained 45 mg of N-[3-(benzamido-methyl)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as a white solid. MS: m/e 471.0 (M+H)⁺.

Example 5N-[3-[(Benzenesulphonamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl) phenyl]oxalamide

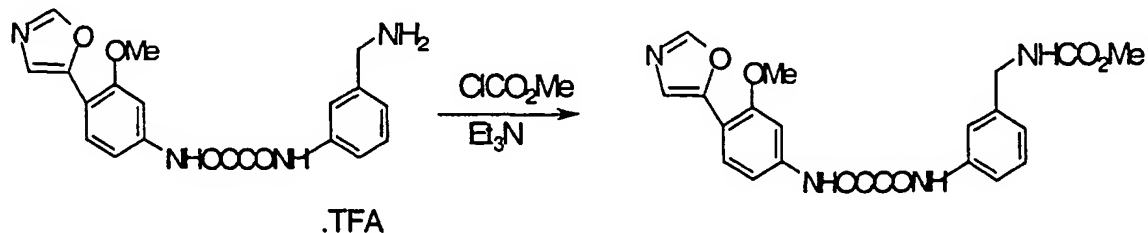
[0109]



[0110] In an analogous manner to that described in Example 4 but replacing benzoyl chloride with phenylsulphonyl chloride there was obtained N-[3-[(benzenesulphonamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as a white solid. MS: m/e 507 [M+H]⁺.

Example 6Methyl[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]aminobenzyl]carbamate

[0111]

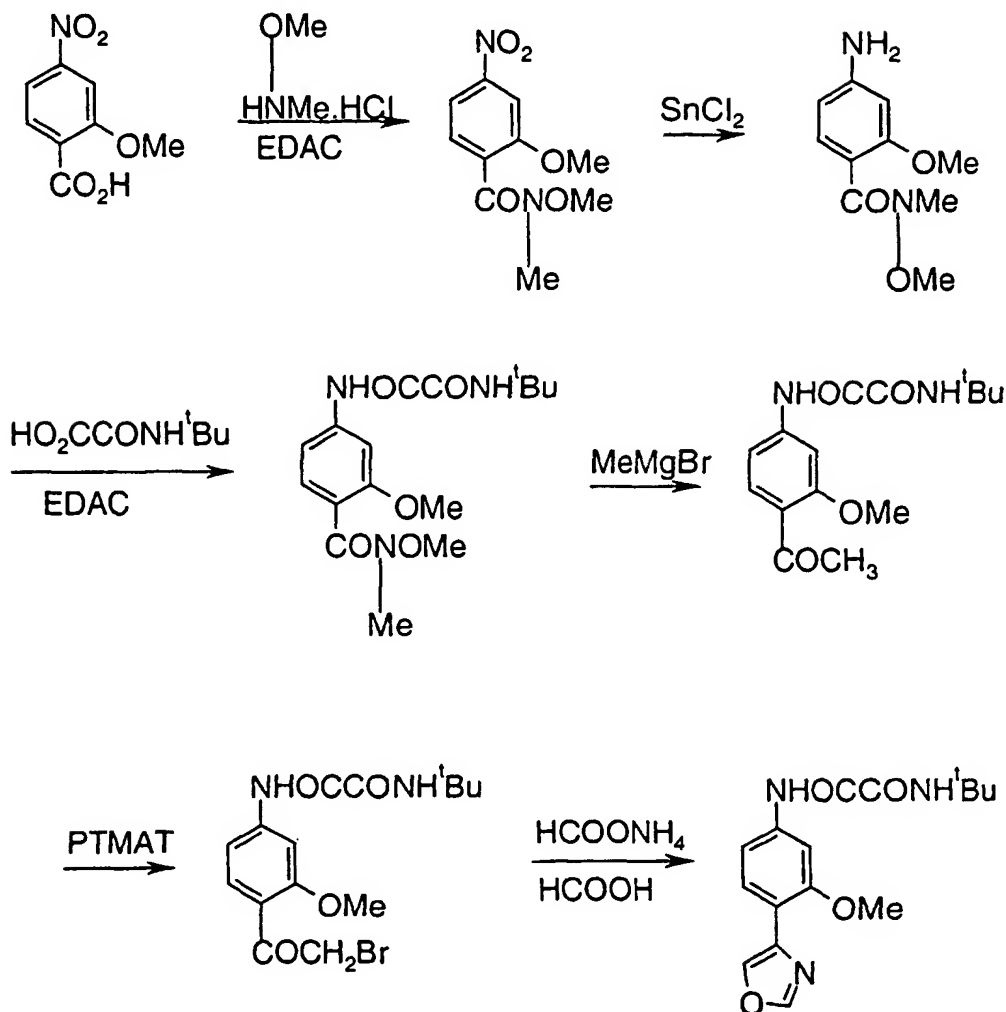


[0112] In an analogous manner to that described in Example 4 but replacing benzoyl chloride with methyl chloroformate there was obtained methyl [3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate as a white solid. MS: m/e 425 [M+H]⁺.

Example 7

N-Tert-butyl-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide

[0113]



[0114] A mixture of 371 mg (1 mmol) of N-[4-(bromoacetyl)-3-methoxyphenyl]-N'-tert-butyloxalamide and 315 mg (5 mmol) of ammonium formate was refluxed in 10 ml of formic acid for 4 hours then cooled and evaporated to dryness. The residue was dissolved in ethyl acetate, washed with 2M sodium hydroxide and dried over magnesium sulphate. The solution was evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (7:18) for the elution. There was obtained after trituration with diethyl ether/petrol (1:1) 65 mg of N-tert-butyl-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide as a white solid. MS: m/e 318 [M+H]⁺.

[0115] The starting material was prepared as follows:

i) A mixture of 3.94 g (20 mmol) of 2-methoxy-4-nitrobenzoic acid, 3.9 g (40 mmol) of N,O-dimethylhydroxylamine hydrochloride, 5.73 g (29.92 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 3.37 g (22 mmol) of 1-hydroxybenzotriazole hydrate and 5.06 g (44 mmol) of N-ethylmorpholine in 50 ml of dichloromethane was stirred at room temperature for 3 hours then washed with 2M hydrochloric acid and saturated bicarbonate. The resulting solution was dried over magnesium sulphate, evaporated to dryness and the residue triturated with diethyl ether and collected by filtration to give 3.95 g of N,O-dimethyl 2-methoxy-4-nitrobenzohydroxamate as a white solid. ¹H NMR (400 MHz, CDCl₃) δ: 3.37 (3H,s), 3.48 (3H,s), 3.97 (3H,s), 7.45 (1H,d), 7.80 (1H,d), 7.91 (1H,dd).

ii) A mixture of 1.2 g (5 mmol) of N,O-dimethyl 2-methoxy-4-nitrobenzohydroxamate and 4.75 g (25 mmol) of tin (II) chloride in 40 ml of ethanol was heated at 80°C for 30 minutes then cooled and evaporated to dryness. The residue was dissolved in dichloromethane, washed with 2M sodium hydroxide and the organic phase dried over magnesium sulphate and evaporated to dryness to give 960 mg of N,O-dimethyl 4-amino-2-methoxybenzohydroxamate as an off-white solid. ¹H NMR (400 MHz, CDCl₃) δ: 3.25 (3H,s), 3.62 (3H,s), 3.79 (3H,s), 6.22 (1H,d), 6.28 (1H,dd), 7.09 (1H,d).

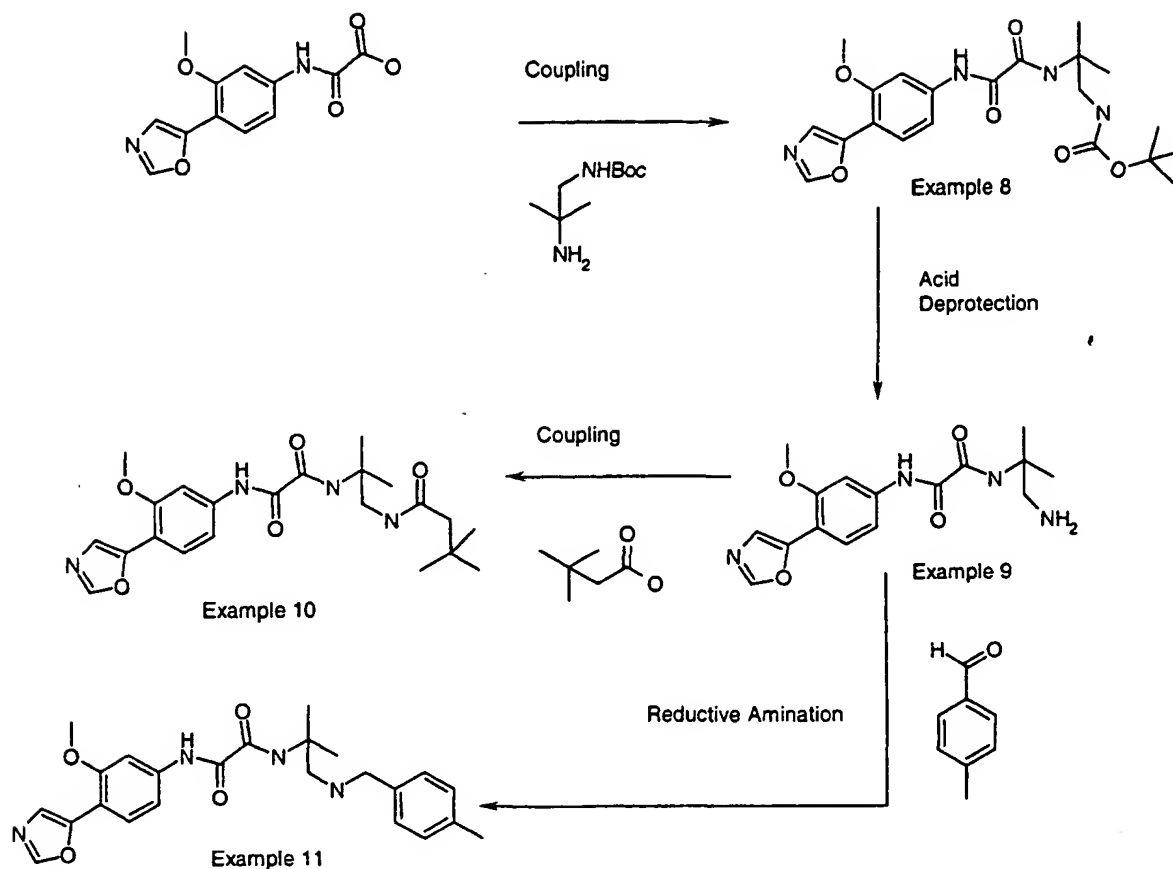
iii) A mixture of 700 mg (3.33 mmol) of N,O-dimethyl 4-amino-2-methoxybenzohydroxamate, 483 mg (3.33 mmol) of N-tert-butyloxalamic acid, 600 mg (3.92 mmol) of 1-hydroxybenzotriazole hydrate and 960 mg (5.01 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in 15 ml of dichloromethane was stirred at room temperature for 3 hours then washed with 2M hydrochloric acid and saturated sodium bicarbonate. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (3:1) for the elution to give 960 mg of N,O-dimethyl 4-[[[(tert-butylamino)oxalyl] amino]-2-methoxybenzohydroxamate as a white solid. ¹H NMR (400 MHz, CDCl₃) δ: 1.46 (9H,s), 3.25-3.4 (3H,br.s.), 3.45-3.65 (3H,br.s.), 3.89 (3H,s), 7.08 (1H,dd), 7.29 (1H,d), 7.44 (1H,s), 7.53 (1H,d), 9.40 (1H,s).

iv) 3.1 ml (4.34 mmol) of 1.4M methylmagnesium bromide in tetrahydrofuran were added in portions over 1 hour to a solution of 337 mg (1 mmol) of N,O-dimethyl 4-[[[(tert-butylamino)oxalyl] amino]-2-methoxybenzohydroxamate in 10 ml of anhydrous tetrahydrofuran. The resulting solution was diluted with diethyl ether and washed with 2M hydrochloric acid. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (3:7) for the elution to give 255 mg of N-(4-acetyl-3-methoxyphenyl)-N'-tert-butyloxalamic acid as a white solid. ¹H NMR (400 MHz, CDCl₃) δ: 1.45 (9H,s), 2.61 (3H,s), 3.96 (3H,s), 7.03 (1H,dd), 7.43 (1H,s), 7.64 (1H,d), 7.82 (1H,d), 9.47 (1H,s).

v) 320 mg (0.85 mmol) of phenyltrimethylammonium tribromide were added in portions over 10 minutes to a stirred solution of 247 mg (0.85 mmol) of N-(4-acetyl-3-methoxyphenyl)-N'-tert-butyloxalamic acid in 5 ml of anhydrous tetrahydrofuran. After 15 minutes a further 100 mg (0.26 mmol) of phenyltrimethylammonium tribromide were added. The resulting suspension was diluted with diethyl ether, washed with water and the organic phase was dried over magnesium sulphate. Evaporation gave a gum which was chromatographed on silica gel using firstly 0.5% methanol in dichloromethane then 1% methanol in dichloromethane for the elution. The product was dissolved in diethyl ether/petrol (2:1) and the resulting crystals were collected by filtration to give 135 mg of N-[4-(bromoacetyl)-3-methoxyphenyl]-N'-tert-butyloxalamic acid as a white solid. ¹H NMR (400 MHz, CDCl₃) δ: 1.44 (9H,s), 3.99 (3H,s), 4.61 (2H,s), 7.06 (1H,dd), 7.42 (1H,s), 7.68 (1H,d), 7.93 (1H,d), 9.51 (1H,s).

Examples 8-11

[0116]



Example 8

Tert-butyl[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]carbamate

[0117] 77mg (0.87 mmol) of tert-butyl (2-amino-2-methylpropyl)carbamate, 207 mg (1.05mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 166 mg (1.08 mmol) of 1-hydroxy-7-azabenzotriazole and 200 mg (0.76 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid were dissolved in 5 ml of dichloromethane and 5 ml of dimethylformamide and stirred for 16 hours at room temperature. The mixture was then diluted with 50 ml of dichloromethane and washed with a 10% solution of citric acid and brine. The organic layer was then dried with anhydrous magnesium sulphate, filtered and evaporated to dryness. The residue was chromatographed on silica gel using 30% ethyl acetate in hexane for the elution to give 165 mg of tert-butyl [2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]carbamate as a yellow solid, ^1H NMR (400MHz, d_6 DMSO) δ : 1.35 (s, 6H), 1.45 (s, 9H), 3.25 (d, 2H), 3.95 (s, 3H), 7.25 (t, 1H), 7.55 (s, 1H), 7.70 (m, 2H), 7.80 (s, 1H), 8.25 (s, 1H), 8.50 (s, 1H), 10.8 (s, 1H).

Example 9

N-(2-Amino-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide trifluoroacetate (1:1)

[0118] 26 mg (0.29 mmol) of tert-butyl [2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]carbamate was dissolved and stirred in 10 ml of a 1:1 mixture of 1,1,1-trifluoroacetic acid and dichloromethane. After 1 hour the solvent mixture was co-evaporated with toluene three times and dichloromethane twice. The resulting gum was then triturated with 40-60 petroleum ether to give 124 mg of N-(2-amino-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide trifluoroacetate (1:1) as a yellow solid, ^1H NMR (400MHz, d_6 DMSO) δ : 1.40 (s, 6H), 3.20 (m, 2H),

3.90 (s, 3H), 7.50 (s, 1H), 7.60-7.74 (m, 2H), 7.80 (s, 1H), 7.90 (s(br), 3H), 8.30 (s, 1H), 8.40 (s, 1H), 10.80 (s, 1H).

[0119] The previously described trifluoroacetic acid salt was partitioned between a saturated sodium hydrogencarbonate solution and ethyl acetate. The organic layer was then dried with magnesium sulphate, filtered and evaporated to give the free base used in Example 10.

Example 10

N-(3-Methoxy-4-(5-oxazolyl)phenyl)-N'-[2-(3,3-dimethylbutyramido)-1,1-dimethylethyl]oxalamide

[0120] 30 mg (0.09 mmol) of N-(2-amino-1,1-dimethyl-ethyl)-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide, 52 mg (0.45 mmol) of tert-butylacetic acid, 86 mg (0.45 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and 69 mg of HOAt were dissolved and stirred in 2 ml of dimethylformamide. After stirring for 16 hours the mixture was diluted with 10 ml of dichloromethane and washed with 10% citric acid solution in water, saturated sodium hydrogen carbonate solution and brine. The organic solution was then dried with solid magnesium sulphate, filtered and evaporated to give N-(3-methoxy-4-(5-oxazolyl)phenyl)-N'-[2-(3,3-dimethylbutyramido)-1,1-dimethylethyl]oxalamide as a pale yellow solid, MS: m/e 431.3 [M+H]⁺

Example 11

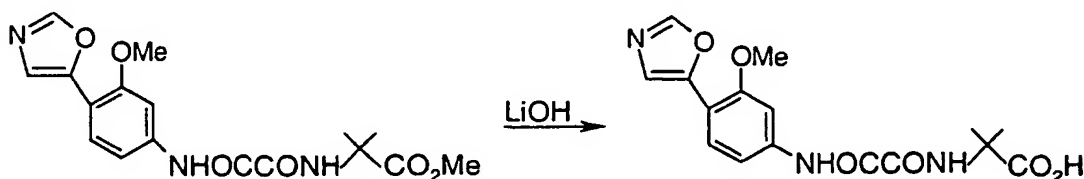
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-(4-methylbenzylamino)-1,1-dimethylethyl]oxalamide

[0121] 30 mg (0.09 mmol) of N-(2-amino-1,1-dimethyl-ethyl)-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide, 11.3 mg (0.095 mmol) of 4-methylbenzaldehyde and 30 mg (0.14 mmol) of sodium triacetoxyborohydride were dissolved in 2 ml of a 5% acetic acid dichloromethane mixture for 16 hours. The reaction mixture was then diluted with 8 ml of dichloromethane and washed with water, saturated sodium hydrogen carbonate and brine. The resulting organic solution was then dried with magnesium sulphate, filtered and evaporated to give N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[2-(4-methylbenzylamino)-1,1-dimethylethyl]oxalamide as a yellow solid MS: m/e 437.3 [M+H]⁺.

Example 12

2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxaly]amino]-2-methylpropionic acid

[0122]

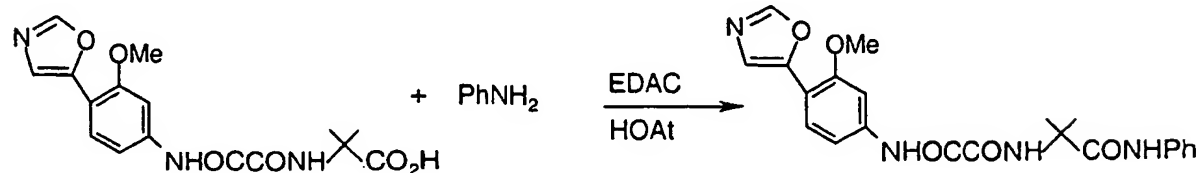


[0123] A mixture of 161 mg (0.446 mmol) of methyl 2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxaly]amino]-2-methylpropionate and 56 mg (1.33 mmol) of lithium hydroxide hydrate in 3 ml of methanol and 0.5 ml of water was heated at 50°C for 2 hours then diluted with water and washed with diethyl ether. The aqueous phase was acidified to pH 2 with 2M hydrochloric acid and extracted twice with ethyl acetate. The combined organic extracts were dried over magnesium sulphate and evaporated to dryness. The residue was chromatographed on silica gel using dichloromethane/methanol/acetic acid/water (120:15:3:2) for the elution. After trituration with ether there was obtained 70 mg of 2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxaly]amino]-2-methylpropionic acid as a white solid. MS: m/e 247.9 (M+H)⁺.

Example 13

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-methyl-1-(phenylcarbamoyl)ethyl]oxalamide

[0124]

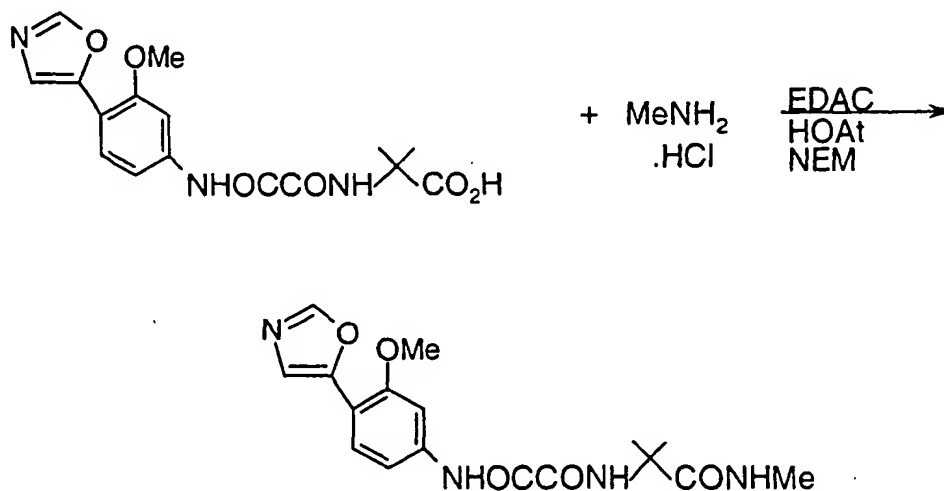


[0125] A solution of 30 mg (0.086 mmol) of 2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropionic acid, 16 mg (0.172 mmol) of aniline, 18 mg (0.132 mmol) of 1-hydroxy-7-azabenzotriazole and 25 mg (0.131 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in 2 ml of dimethylformamide was stirred at room temperature for 18 hours then diluted with ethyl acetate and washed with 2M hydrochloric acid and saturated sodium bicarbonate. The organic phase was dried over magnesium sulphate and after evaporation the residue was triturated with diethyl ether and collected by filtration to give 20 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1-methyl-1-(phenylcarbamoyl)ethyl]oxalamide as a white solid. MS: m/e 423.0 (M+H)⁺.

Example 14

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-methyl-1-(methylcarbamoyl)ethyl]oxalamide

[0126]

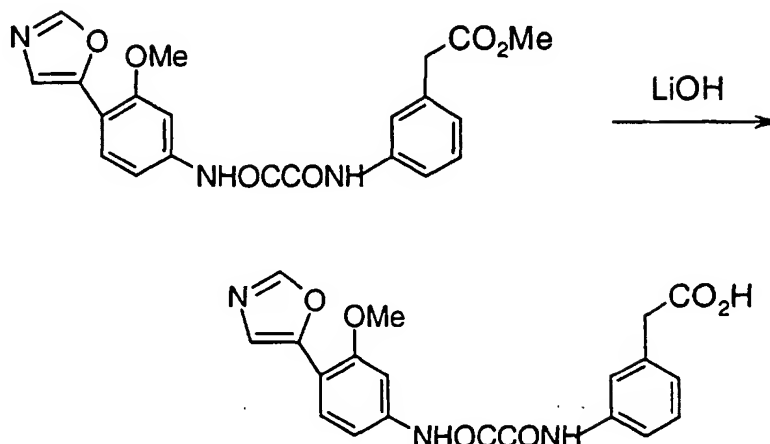


[0127] A mixture of 30 mg (0.086 mmol) of 2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropionic acid, 12 mg (0.178 mmol) of methylamine hydrochloride, 18 mg (0.132 mmol) of 1-hydroxy-7-azabenzotriazole, 25 mg (0.131 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and 22 mg (0.218 mmol) of triethylamine in 2 ml of dimethylformamide was stirred at room temperature for 18 hours then diluted with ethyl acetate and washed with 2M hydrochloric acid and saturated sodium bicarbonate. The organic solution was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using dichloromethane/methanol (24:1) for the elution. After trituration with ether there was obtained 17 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1-methyl-1-(methylcarbamoyl)ethyl]oxalamide as a white solid. MS: m/e 361.0 [M+H]⁺.

Example 15

2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]phenyl]acetic acid

[0128]

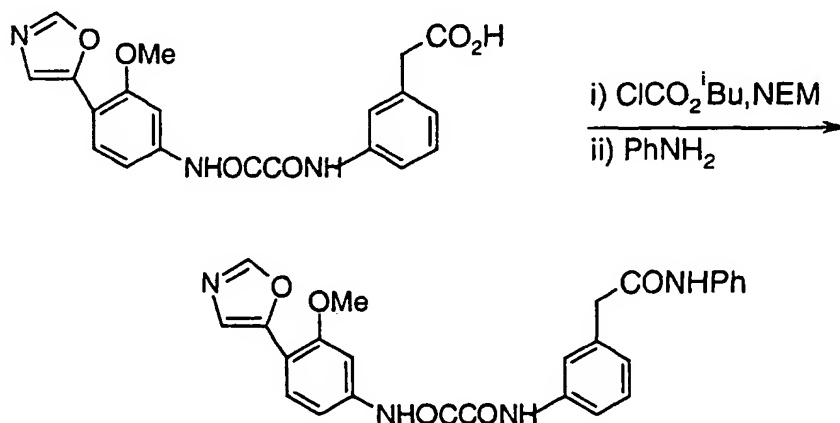


[0129] A solution of 740 mg (1.81 mmol) of methyl 2-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]phenyl]acetate and 152 mg (3.62 mmol) of lithium hydroxide hydrate in 10 ml of methanol, 10 ml of 1,4-dioxane and 5 ml of water was stirred at room temperature for 18 hours. The solvent was removed by evaporation and the residue dissolved in water. The aqueous solution was washed with diethyl ether and acidified with citric acid solution. The solid which precipitated was collected by filtration and washed with water, ethanol and diethyl ether to give 414 mg of 2-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]phenyl]acetic acid as a white solid. MS: m/e 396.0 [M+H]⁺.

Example 16

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-[(phenylcarbamoyl)methyl]phenyl]oxalamide

[0130]



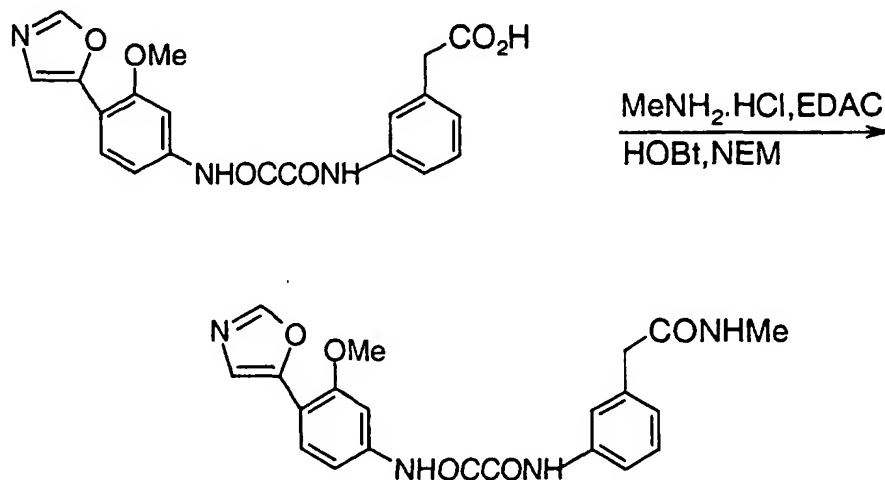
[0131] A solution of 30 mg (0.076 mmol) of 2-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]phenyl]acetic acid and 11 mg (0.096 mmol) of N-ethylmorpholine in 1 ml of dimethylformamide was cooled to 0°C and a solution of 12 mg (0.088 mmol) of isobutyl chloroformate in 1 ml of dichloromethane was added. The resulting mixture was stirred

for 30 minutes at 0°C then a solution of 7 mg (0.075 mmol) of aniline in 1 ml of dichloromethane was added and stirring was continued for a further hour at 0°C. After 18 hours at room temperature the mixture was evaporated to dryness and the residue chromatographed on silica gel using dichloromethane/methanol (19:1) for the elution. There was obtained 3 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[3-[(phenylcarbamoyl)methyl]phenyl]oxalamide as a white solid
 MS: m/e 471.0 [M+H]⁺.

Example 17

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-[(methylcarbamoyl)methyl]phenyl]oxalamide

[0132]

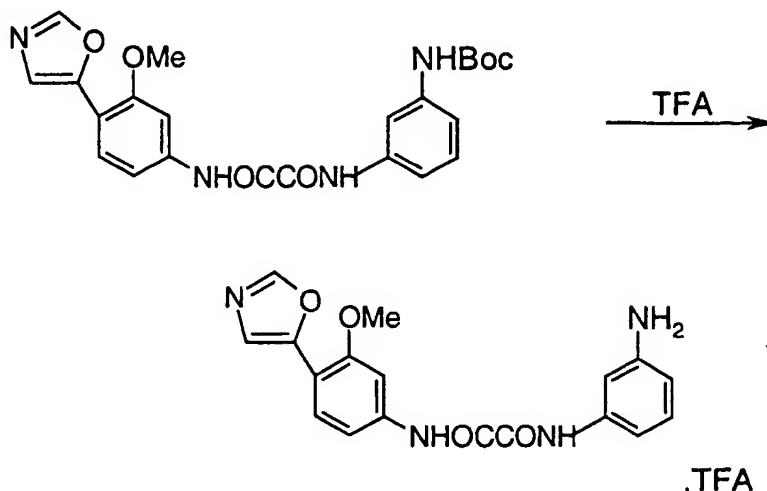


[0133] A mixture of 30 mg (0.076 mmol) of 2-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]phenyl]acetic acid, 22 mg (0.115 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 14 mg (0.092 mmol) of 1-hydroxybenzotriazole hydrate, 26 mg (0.385 mmol) of methylamine hydrochloride and 52 mg (0.452 mmol) of N-ethylmorpholine in 1 ml of dimethylformamide was stirred at room temperature for 18 hours. The solvent was removed by evaporation and the residue chromatographed on silica gel using dichloromethane/methanol (1:19) for the elution. There was obtained 15 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[3-[(methyl carbamoyl)methyl]phenyl]oxalamide as a white solid. MS: m/e 409 [M+H]⁺.

Example 18

N-(3-Aminophenyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate

[0134]

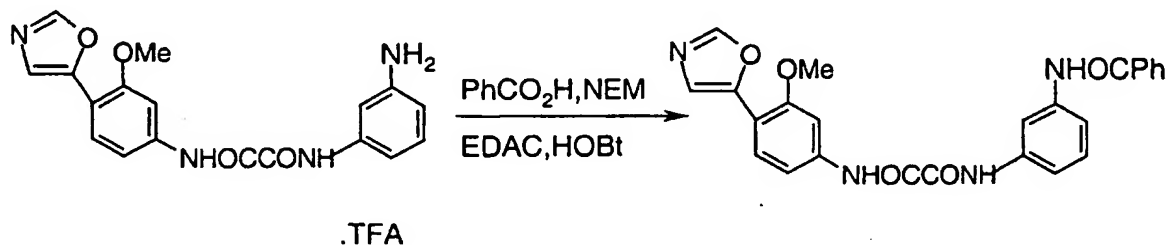


[0135] 20 mg (0.043 mmol) of tert-butyl [3-[[[3-methoxy-4-(5-oxazolyl) anilino]oxaly]amino]phenyl]carbamate were dissolved in a mixture of 1 ml of dichloromethane and 1 ml of trifluoroacetic acid at room temperature for 10 minutes. The solvent was removed by evaporation and the residue triturated with diethyl ether. The resulting solid was collected by filtration to give 18 mg of N-(3-aminophenyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate as a white solid. MS: m/e 394.0 [M+H+MeCN]⁺.

Example 19

N-[3-(Benzamido)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide

[0136]

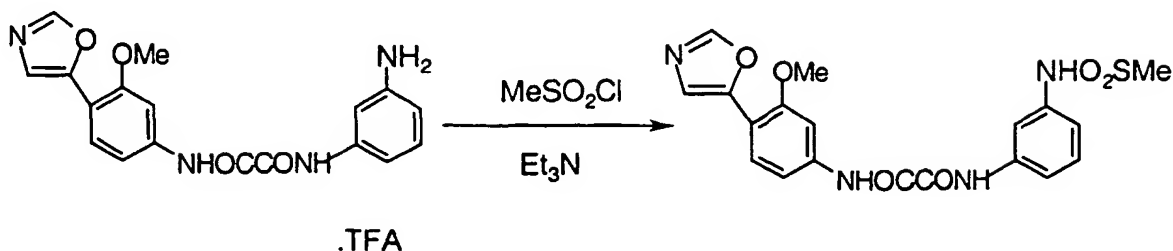


[0137] A mixture of 30 mg (0.064 mmol) of N-(3-aminophenyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate, 9 mg (0.074 mmol) of benzoic acid, 15 mg (0.078 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 15 mg (0.096 mmol) of 1-hydroxybenzotriazole hydrate and 22 mg (0.19 mmol) of N-ethylmorpholine in 0.5 ml of dimethylformamide was stirred at room temperature for 18 hours then diluted with ethyl acetate and washed with 10% citric acid solution, saturated sodium bicarbonate and water. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using dichloromethane/methanol (19:1) for the elution. There was obtained after trituration with diethyl ether/petrol (1:1). 12 mg of N-[3-(benzamido)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as a white solid. MS: m/e 457.0 [M+H]⁺.

Example 20

N-[3-(Methanesulphonamido)phenyl]-N'-[3-methoxy-4-(5-oxazolyl) phenyl]oxalamide

[0138]

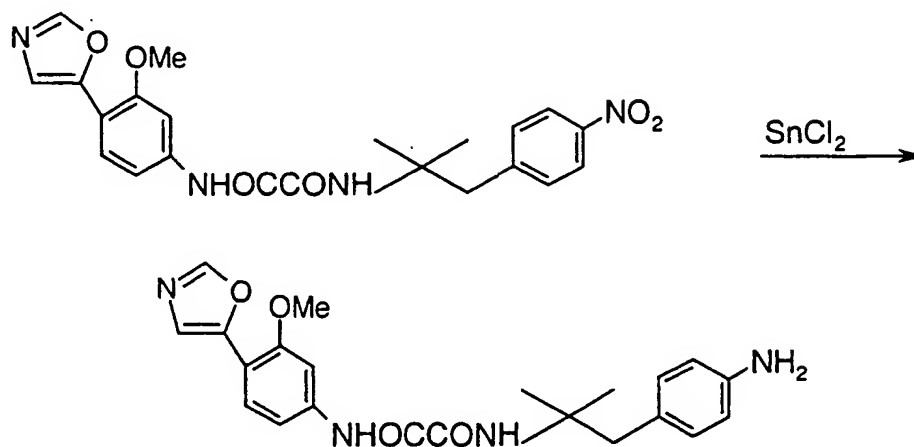


[0139] 12 mg (0.011 mmol) of methanesulphonyl chloride were added to a solution of 50 mg (0.011 mmol) of N-(3-aminophenyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate and 32 mg (0.317 mmol) of triethylamine in 0.5 ml of dimethylformamide. The resulting solution was left at room temperature for 18 hours then diluted with ethyl acetate and washed with 10% citric acid solution, saturated sodium bicarbonate and water. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (1:1) for the elution. There was obtained 5 mg of N-[3-(methanesulphonamido)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as a white solid. MS: m/e 431.0 [M+H]⁺.

Example 21

N-[2-(4-Aminophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide

[0140]

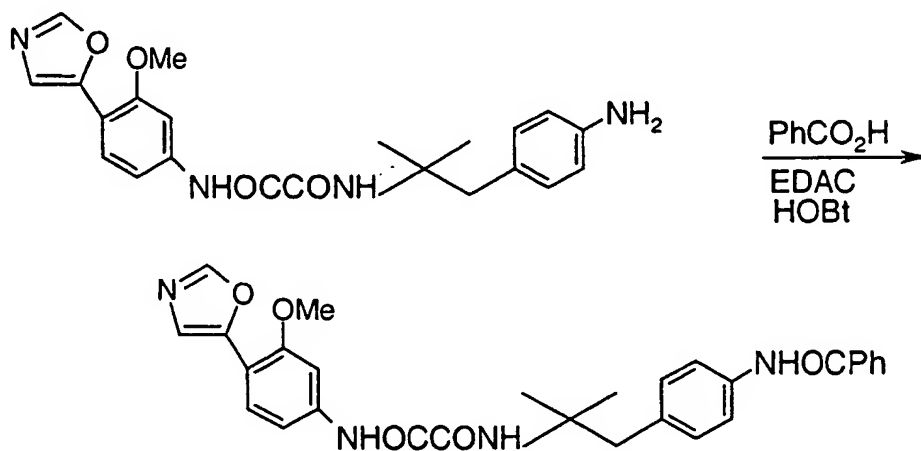


[0141] A mixture of 44 mg (0.1 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-nitrophenyl)ethyl]oxalamide and 90 mg (0.5 mmol) of tin(II) chloride were stirred and heated at 85°C in 2 ml of ethanol and 1 ml of 1,4-dioxane for 5 hours. The resulting solution was cooled, diluted with ethyl acetate and washed with 2M sodium hydroxide. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (2:1) for the elution. After trituration with petrol there was obtained 31mg of N-[2-(4-aminophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl) phenyl]oxalamide as a white solid. MS: m/e 409 [M+H]⁺.

Example 22

N-[2-(4-Benzamidophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide

[0142]

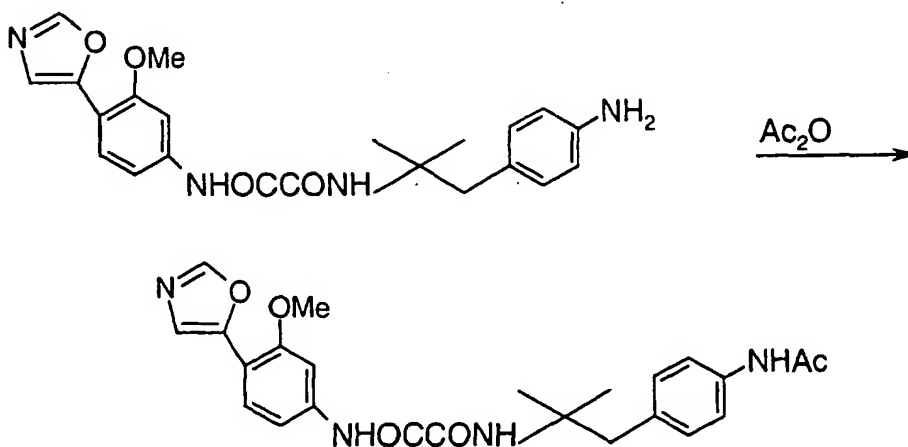


[0143] A mixture of 30 mg (0.074 mmol) of N-[2-(4-aminophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide, 10 mg (0.082 mmol) of benzoic acid, 14 mg (0.092 mmol) of 1-hydroxybenzotriazole hydrate, 21 mg (0.11 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and 18 mg (0.16 mmol) of N-ethylmorpholine in 2 ml of dichloromethane was stirred at room temperature for 18 hours then diluted with dichloromethane and washed with 2M hydrochloric acid and saturated sodium bicarbonate. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (2:1) for the elution. There was obtained 9 mg of N-[2-(4-benzamidophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as a white solid. MS: m/e 513 (M+H)⁺.

Example 23

N-[2-(4-Acetamidophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide

[0144]



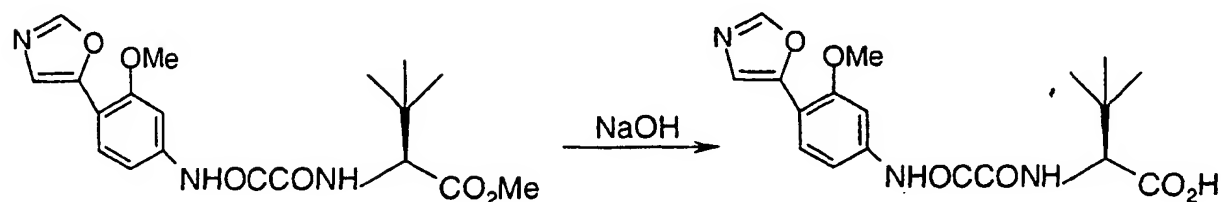
[0145] A mixture of 30 mg (0.074 mmol) of N-[2-(4-aminophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide, 8 mg (0.078 mmol) of acetic anhydride and 17 mg (0.15 mmol) of N-ethylmorpholine in 1 ml of

dichloromethane was stirred at room temperature for 2 hours. The solvent was removed by evaporation and the residue triturated with diethyl ether and collected by filtration to give 14 mg of N-[2-(4-acetamidophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl) phenyl]oxalamide as a white solid. MS: m/e 451 [M+H]⁺.

Example 24

N2-[[3-Methoxy-4-(5-oxazolyl)anilino]oxaly]-N1,3-dimethyl-L-valinamide

[0146]

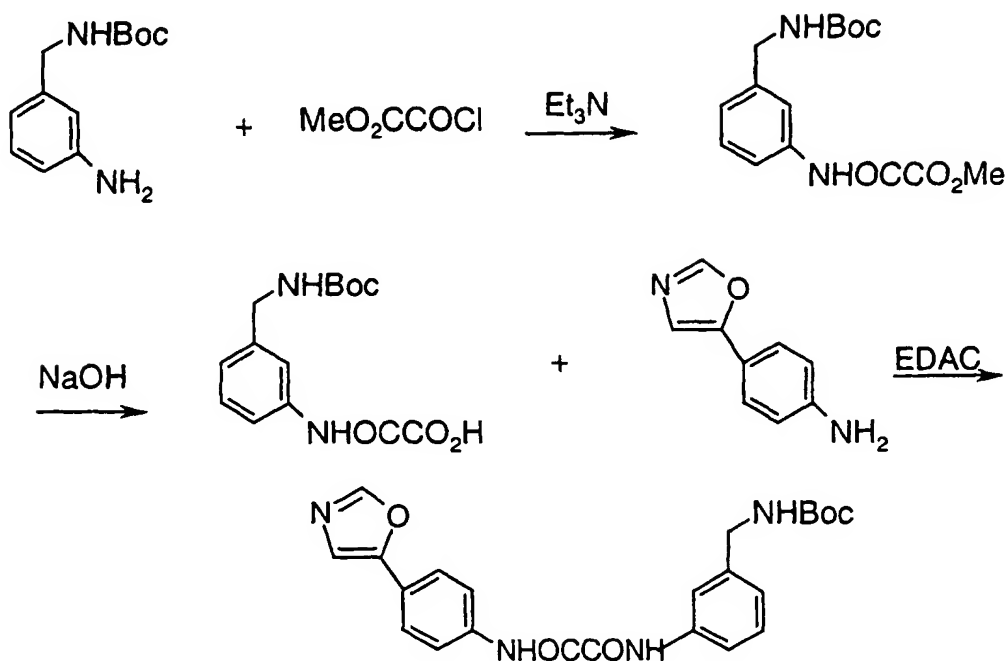


[0147] 290 mg (0.75 mmol) of N-[[3-methoxy-4-(5-oxazolyl)anilino]oxaly]-3-methyl-L-valine methyl ester in 3 ml of methanol and 1 ml of 1M aqueous sodium hydroxide were warmed gently and the resulting solution left at room temperature for 18 hours. The mixture was diluted with water, washed with diethyl ether and the aqueous phase acidified with 2M hydrochloric acid. The solution was extracted with ethyl acetate and the organic phase dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/acetic acid (99:1) for the elution. After trituration with diethyl ether there was obtained 110 mg of N2-[[3-methoxy-4-(5-oxazolyl)anilino]oxaly]-N1,3-dimethyl-L-valinamide as a white solid. MS: m/e 376.0 [M+H]⁺.

Example 25

Tert-butyl [3-[[[4-(5-oxazolyl)anilino]oxaly]amino]benzyl]carbamate

[0148]

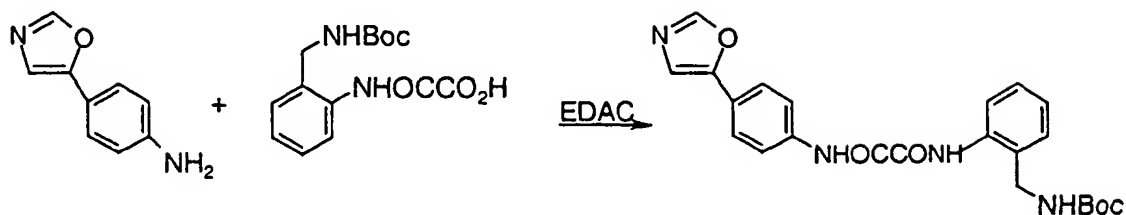


[0149] In an analogous manner to that described in Example 1 but replacing 3-methoxy-4-(5-oxazolyl)aniline with 4-(5-oxazolyl)aniline and N-tert-butyloxalamic acid with N-[3-[(tert-butoxyformamido)methyl]phenyl]oxamic acid there was obtained tert-butyl [3-[[[4-(5-oxazolyl)anilino]oxaly]amino]benzyl]carbamate as a white solid. ¹H NMR (400 MHz, DMSO) δ: 1.4 (9H,s), 4.1 (2H,d), 7.02 (1H,d), 7.32 (1H,t), 7.40 (1H,t), 7.63 (1H,s), 7.69 (1H,d), 7.70-7.79 (3H,m), 7.97 (2H,d), 8.43 (1H,s), 10.82 (1H,s), 10.99 (1H,s).

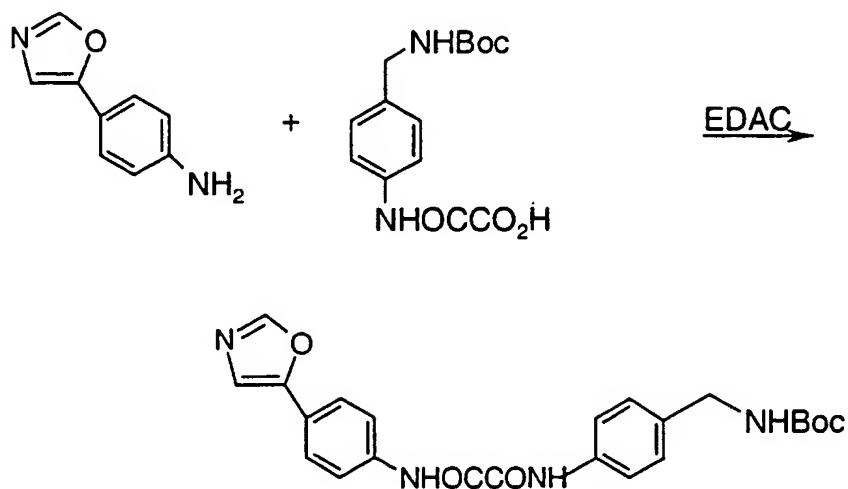
[0150] The starting material was prepared as follows:

i) 586 mg (4.78 mmol) of methyl oxalyl chloride were added to a solution of 1 g (4.5 mmol) of tert-butyl (3-aminobenzyl)carbamate and 508 mg (5.03 mmol) of triethylamine in 10 ml of dichloromethane. The resulting solution was stirred at room temperature for 30 minutes then washed with 5% citric acid solution and saturated sodium bicarbonate. The organic phase was dried over magnesium sulphate and the solvent removed by evaporation to give 1.5 g of methyl N-[3-[(tert-butoxyformamido)methyl]phenyl]oxamate as a viscous gum. ¹H NMR (400 MHz, CDCl₃) δ: 1.43 (9H,s), 3.96 (3H,s), 4.31 (2H,d), 4.9-5.0 (br.s, 1H), 7.11 (1H,d), 7.33 (1H,t), 7.51 (1H,s), 7.52 (1H,d), 8.86 (br.s, 1H).

ii) A mixture of 1.232 g (4 mmol) of methyl N-[3-[(tert-butoxy formamido)methyl]phenyl]oxamate and 0.24 g (6 mmol) of sodium hydroxide in 15 ml of methanol/water (2:1) was stirred at room temperature for 2 hours. The solvent was removed by evaporation and the residue dissolved in water and diethyl ether. The aqueous layer was acidified with citric acid and washed twice with ethyl acetate. The combined organic solutions were dried over magnesium sulphate and the solvent removed by evaporation to give 670 mg of N-[3-[(tert-butoxyformamido)methyl]phenyl]oxamic acid as a white solid. ¹H NMR (400 MHz, DMSO) δ: 1.48 (9H,s), 4.17 (2H,d), 7.09 (1H,d), 7.36 (1H,t), 7.49 (1H,t), 7.64 (1H,d), 7.74 (1H,s), 10.75 (1H,s).

Example 26Tert-butyl [2-[[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate**[0151]**

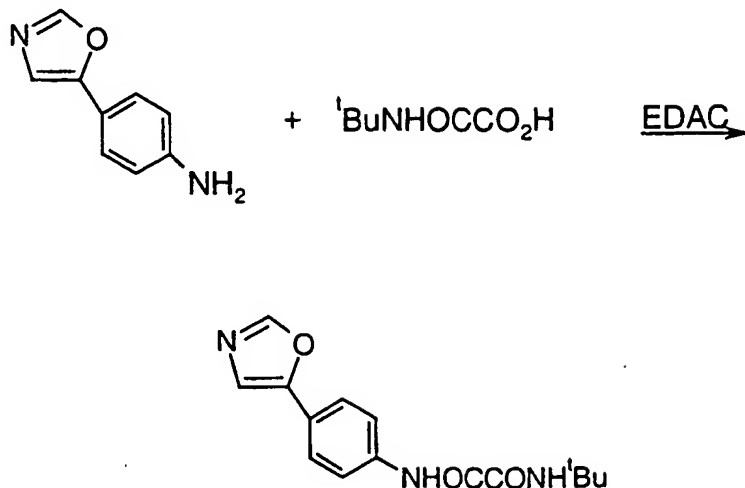
[0152] In an analogous manner to that described in Example 25 but replacing N-[3-[(tert-butoxyformamido)methyl]phenyl]oxamic acid with N-[2-[(tert-butoxyformamido)methyl]phenyl]oxamic acid there was obtained tert-butyl [2-[[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate as a white solid MS: m/e 437.0 [M+H]⁺.

Example 27Tert-butyl [4-[[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate**[0153]**

[0154] In an analogous manner to that described in Example 25 but replacing N-[3-[(tert-butoxyformamido)methyl]phenyl]oxamic acid with N-[4-[(tert-butoxyformamido)methyl]phenyl]oxamic acid there was obtained tert-butyl [4-[[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate as a white solid. MS: m/e 436.6 [M]⁺.

Example 28N-Tert-butyl-N'-[4-(5-oxazolyl)phenyl]oxalamide

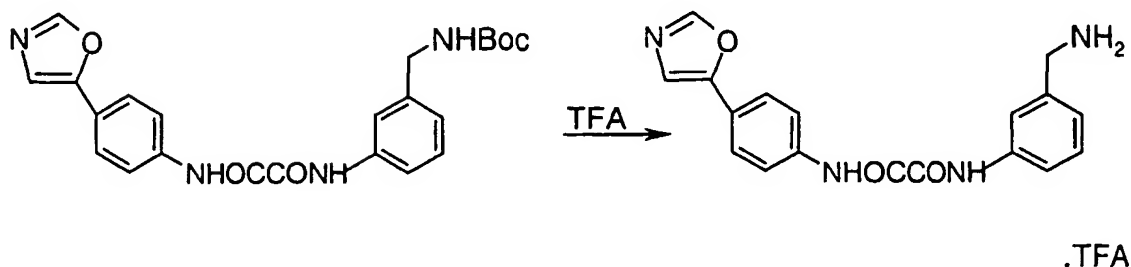
[0155]



[0156] In an analogous manner to that described in Example 1 but replacing 3-methoxy-4-(5-oxazolyl)aniline with 4-(5-oxazolyl)aniline there was obtained N-tert-butyl-N'-[4-(5-oxazolyl)phenyl]oxalamide as a pale yellow solid. MS: m/e 329.0 $[\text{M}+\text{H}+\text{MeCN}]^+$.

Example 29N-[3-(Aminomethylphenyl)-N'-[4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate

[0157]



[0158] In an analogous manner to that described in Example 3 but replacing tert-butyl [3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxaly]amino]benzyl]carbamate with tert-butyl [3-[[[4-(5-oxazolyl)oxaly]amino]benzyl]carbamate there was obtained N-[3-(aminomethylphenyl)-N'-[4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate as a white solid. MS: m/e 336 $[\text{M}]^+$.

Examples 30-193

[0159] In a manner analogous to that described in Example 1, starting with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid (prepared as described in Example 1, parts (i) and (ii)) and the appropriate amine the compounds shown

in Table 3 were also prepared:

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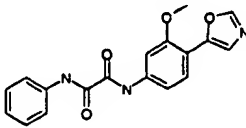
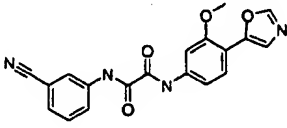
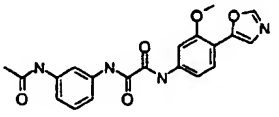
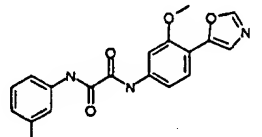
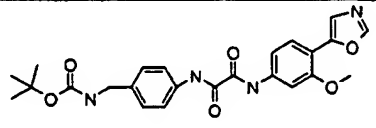
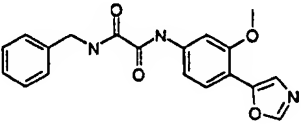
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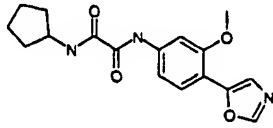
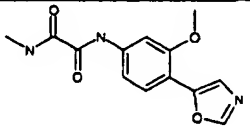
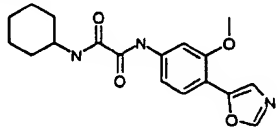
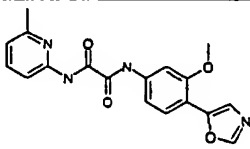
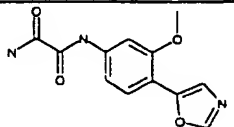
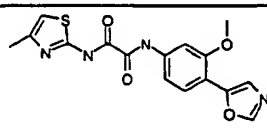
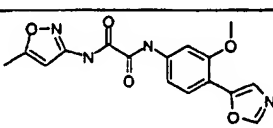
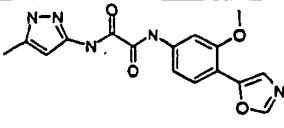
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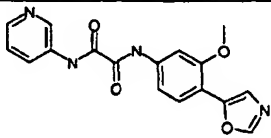
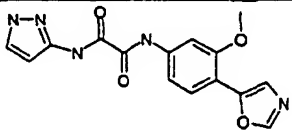
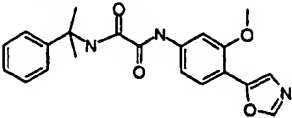
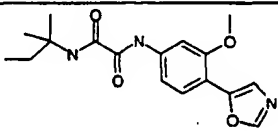
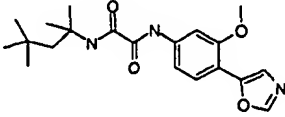
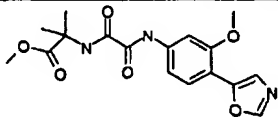
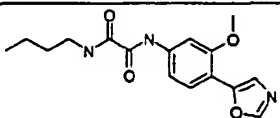
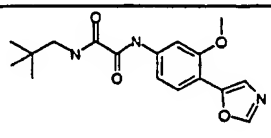
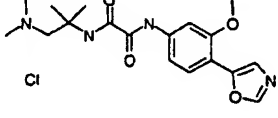
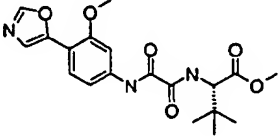
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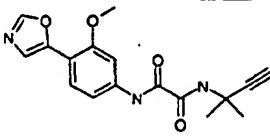
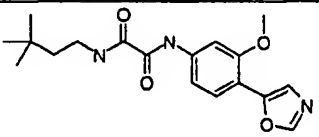
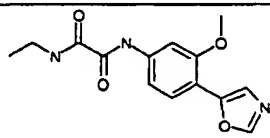
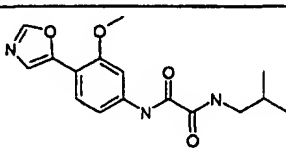
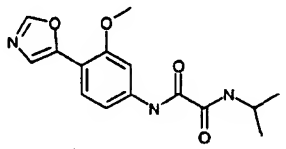
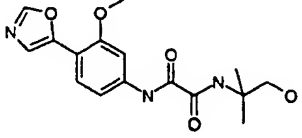
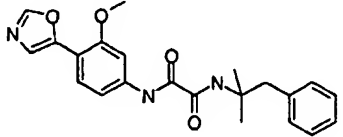
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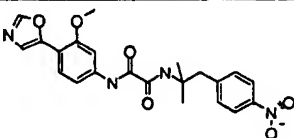
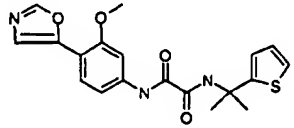
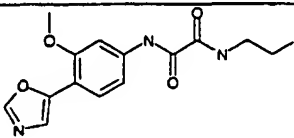
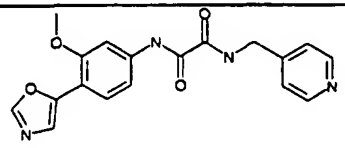
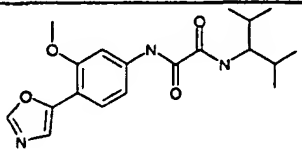
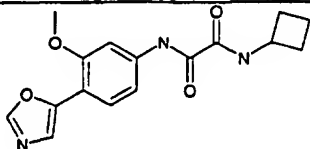
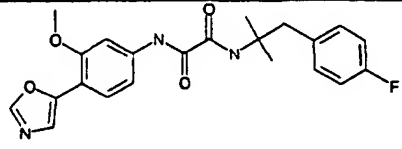
Table 3

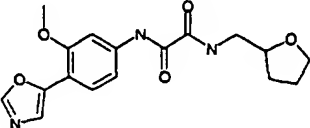
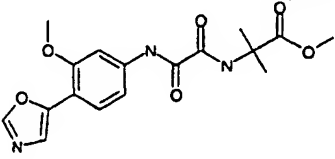
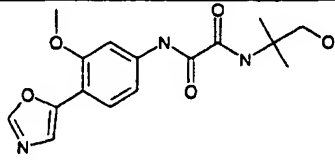
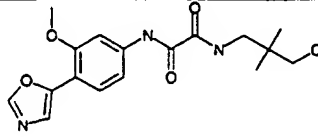
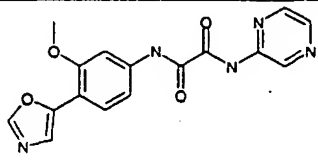
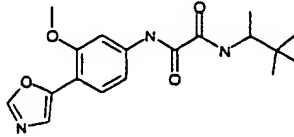
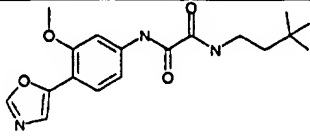
Example	Structure	MS(ES)
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32.		395.0
33.		352.0
34.		466 (M ⁺ ;EI)
35.		352.0

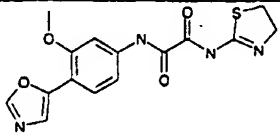
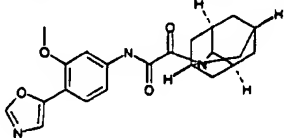
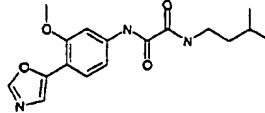
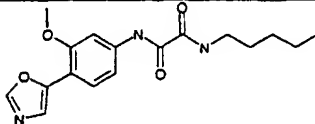
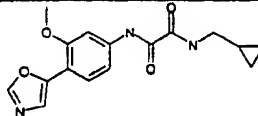
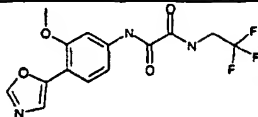
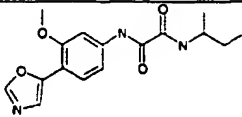
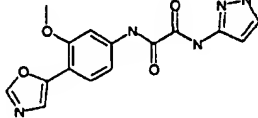
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43.		341.9

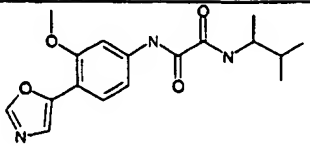
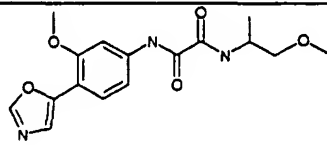
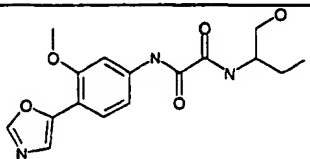
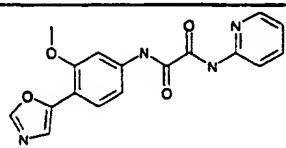
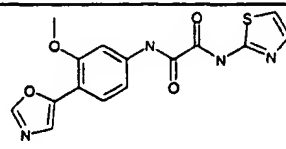
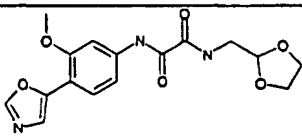
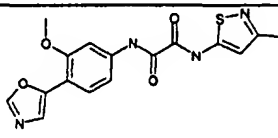
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53.		389.9

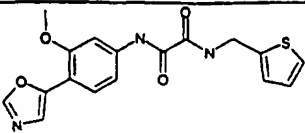
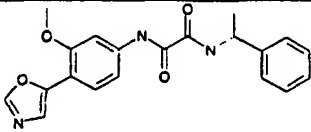
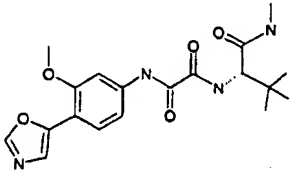
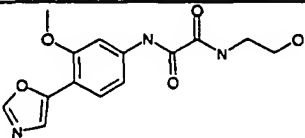
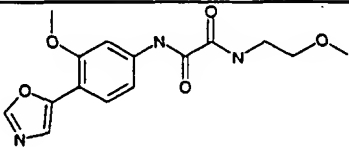
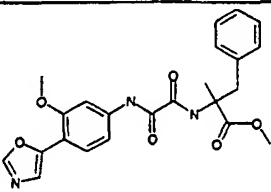
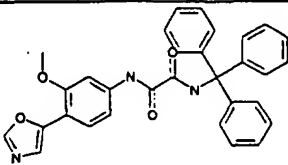
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58.		304.0
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60.		394.0

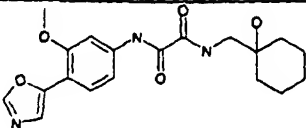
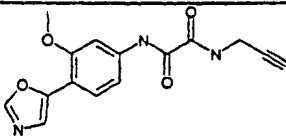
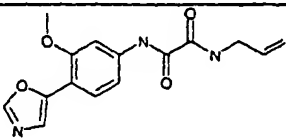
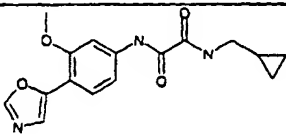
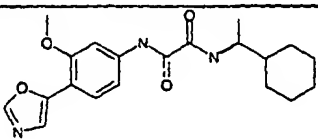
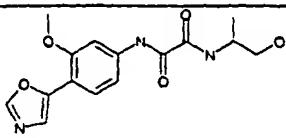
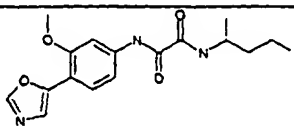
61.		439 (M ⁺ ;EI)
62.		386 (M ⁺ ;EI)
63.		304.0
64.		353.2
65.		360.2
66.		316.2
67.		412.2

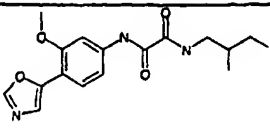
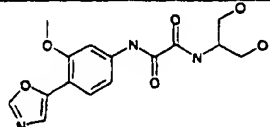
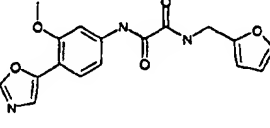
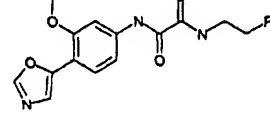
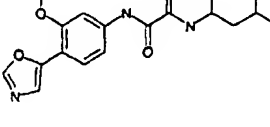
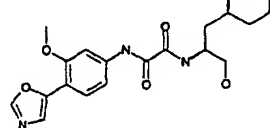
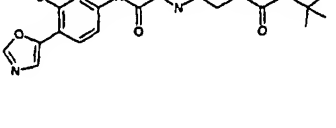
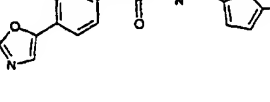
5 10 15 20 25 30 35 40 45 50 55	68.		345.8
	69.		362.4
	70.		334.2
	71.		348.0
	72.		340.0
	73.		345.8
	74.		346.0

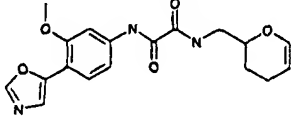
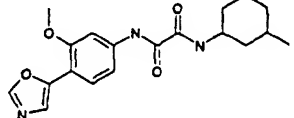
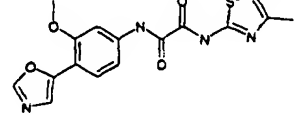
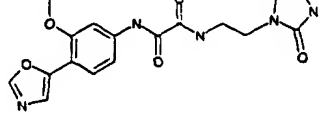
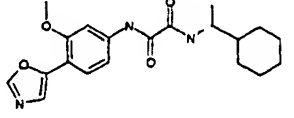
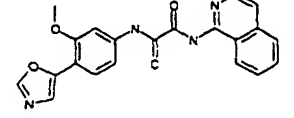
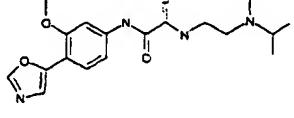
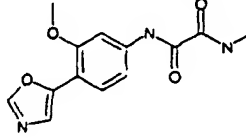
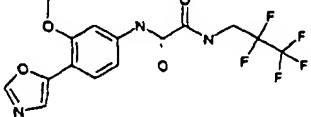
75.		346.8
76.		395.8
77.		332.4
78.		332.4
79.		316.2
80.		344.0
81.		317.8
82.		328.2

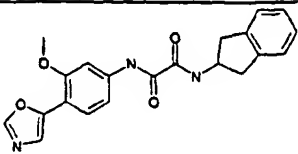
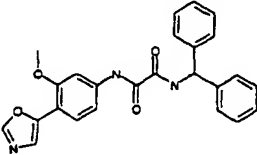
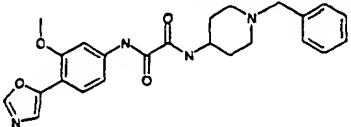
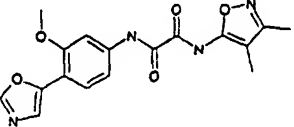
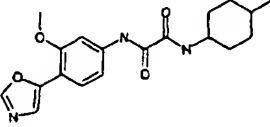
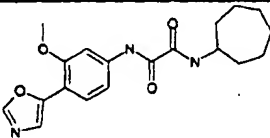
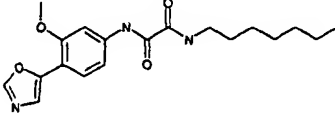
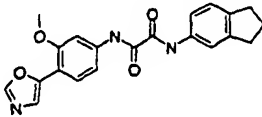
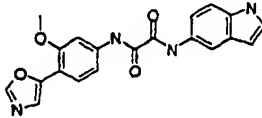
83.		332.4
84.		334.2
85.		334.2
86.		339.2
87.		344.8
88.		348.0
89.		359.2

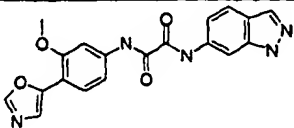
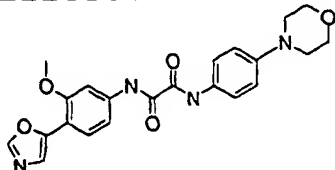
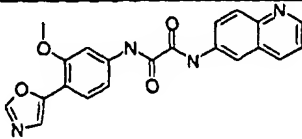
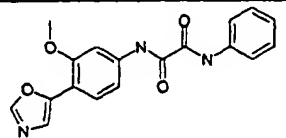
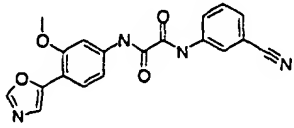
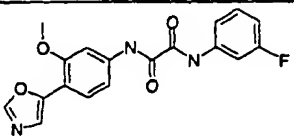
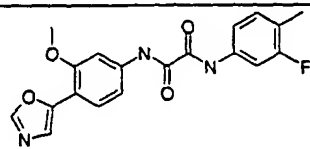
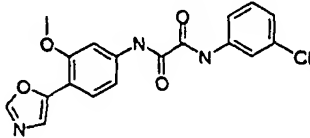
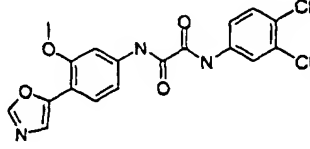
5	90.		358.2
10	91.		366.2
15	92.		389.4
20	93.		306.2
25	94.		319.8
30	95.		438.0
35	96.		504.2
40			
45			
50			
55			

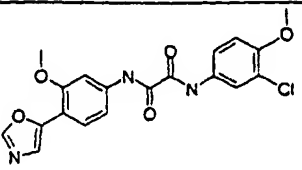
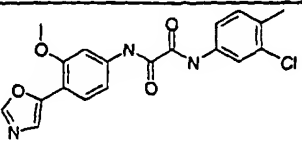
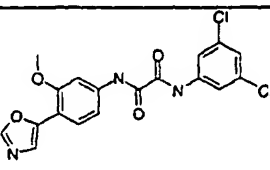
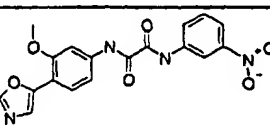
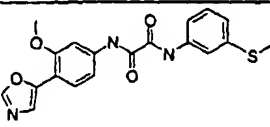
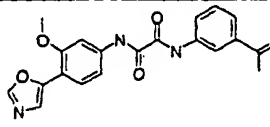
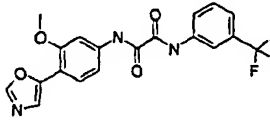
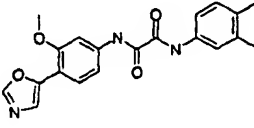
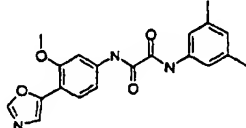
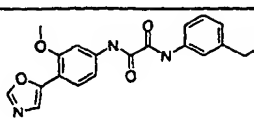
97.		374.0
98.		299.8
99.		302.2
100.		316.2
101.		372.0
102.		319.8
103.		332.4

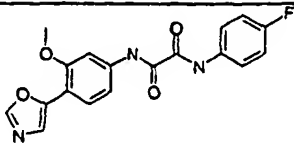
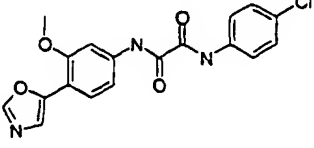
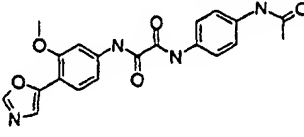
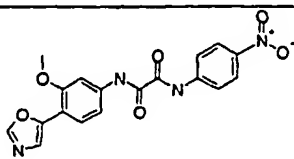
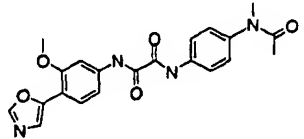
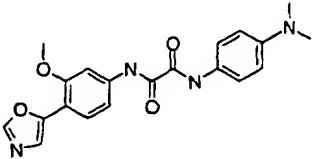
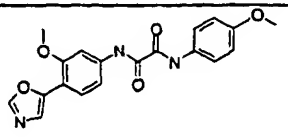
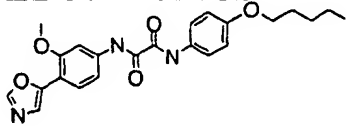
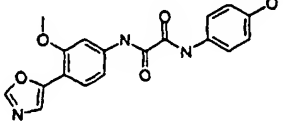
104.		332.4
105.		336.6
106.		342.0
107.		308.0
108.		345.8
109.		402.0
110.		405.2
111.		356.0

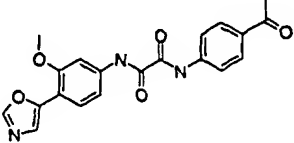
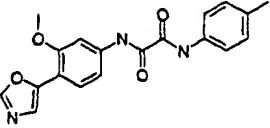
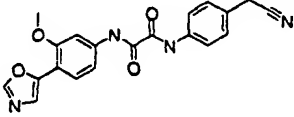
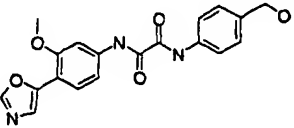
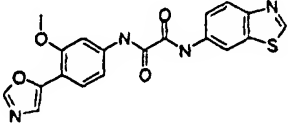
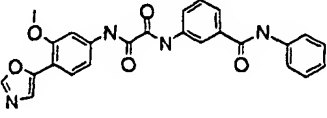
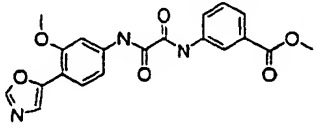
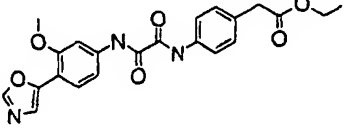
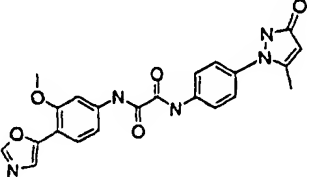
112.		358.2
113.		358.2
114.		359.2
115.		374.0
116.		372.0
117.		389.2
118.		389.4
119.		276.0
120.		394 (M ⁺ ;EI)

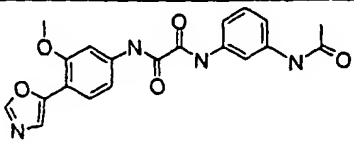
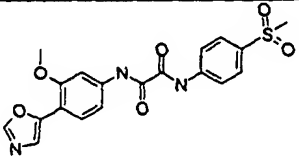
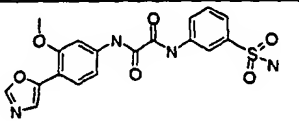
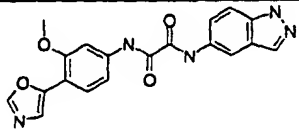
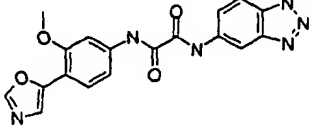
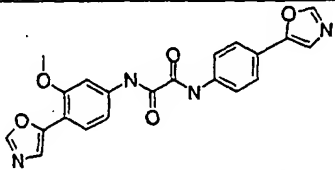
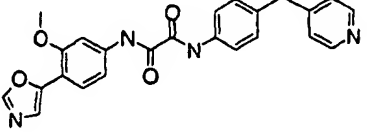
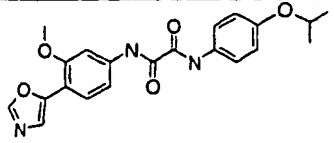
121.		378.4
122.		428 (M ⁺ ;EI)
123.		435.2
124.		357.2
125.		358.2
126.		358.2
127.		360.2
128.		378.4
129.		377.4

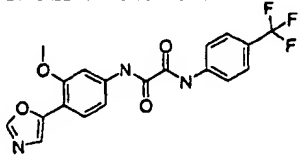
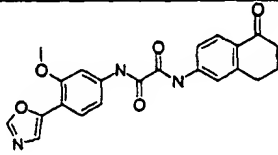
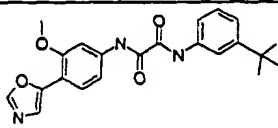
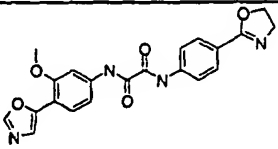
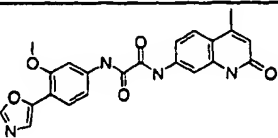
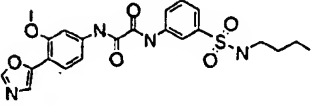
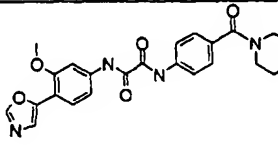
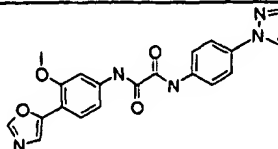
130.		378.4
131.		423
132.		389.4
133.		338.2
134.		363.4
135.		356
136.		370
137.		371.8
138.		406.2

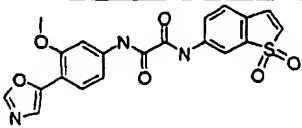
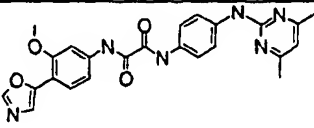
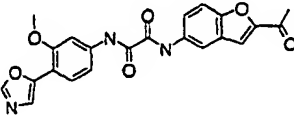
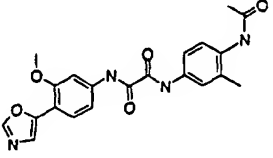
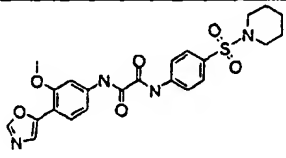
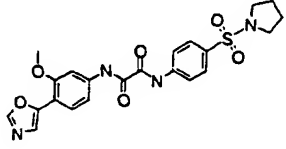
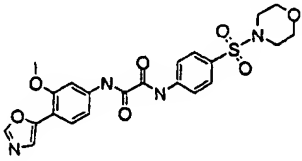
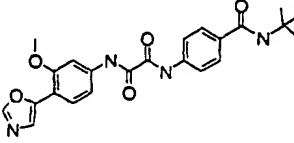
139.		402.2
140.		386.2
141.		406.2
142.		383.2
143.		384
144.		380.2
145.		406.2
146.		366.2
147.		366.2
148.		368.2

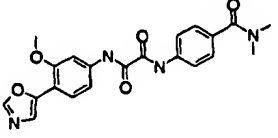
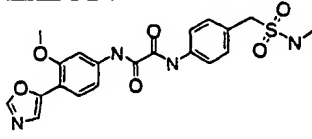
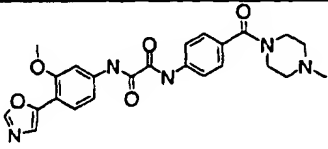
149.		356
150.		371.8
151.		395
152.		383.2
153.		409.4
154.		380.8
155.		368.2
156.		424.2
157.		354.2

158.		380.2
159.		352.4
160.		377.4
161.		368.2
162.		395
163.		457.4
164.		396
165.		424
166.		434.2

167.		395
168.		416.4
169.		417.4
170.		378.4
171.		379.2
172.		405.2
173.		428.8
174.		396

175.		406.2
176.		406.2
177.		394.2
178.		407
179.		507.2
180.		473.2
181.		451.2
182.		405.2

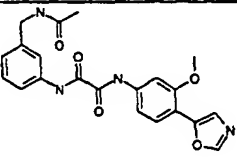
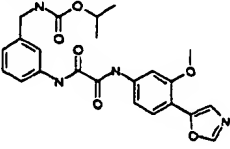
183.		426
184.		459.2
185.		420.2
186.		409.4
187.		485.4
188.		471.6
189.		487.2
190.		437.2

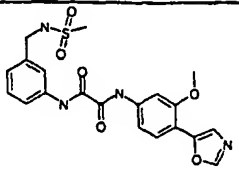
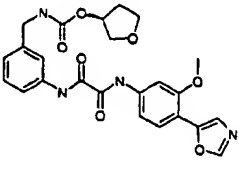
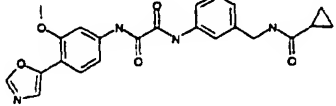
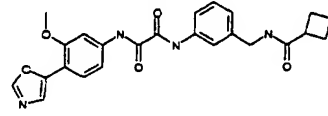
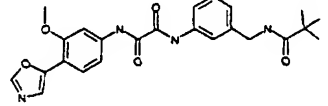
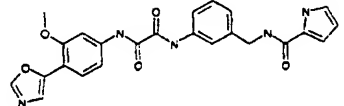
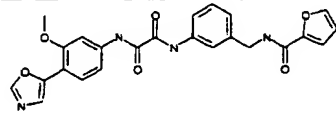
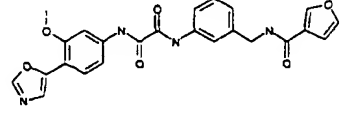
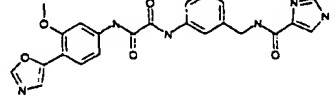
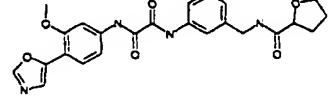
191.		409.4
192.		445.2
193.		464

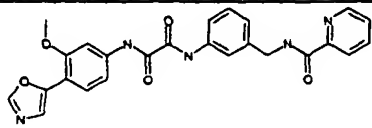
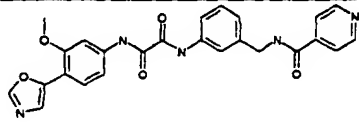
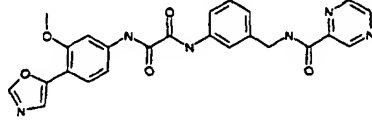
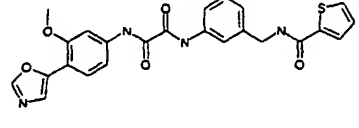
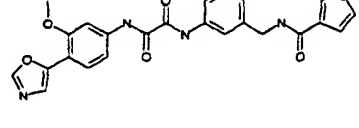
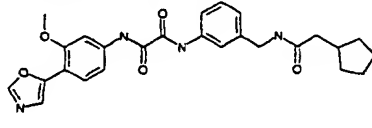
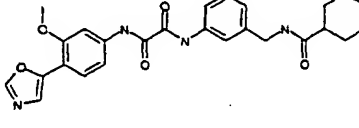
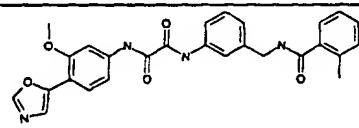
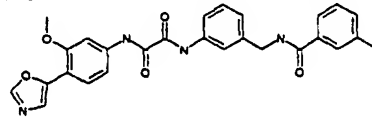
Examples 194- 214

[0160] In a manner analogous to that described in Example 4, starting with N-[3-(aminomethyl)phenyl]-N'-[3-methoxy-4-(5-(oxazolyl)phenyl]oxalamide trifluoroacetate (prepared as described in Example 3) and the appropriate carboxylic acid derivative the compounds shown in Table 5 also were prepared:

Table 5

Example	Structure	MS(ES)
194.		409.1
195.		453.0

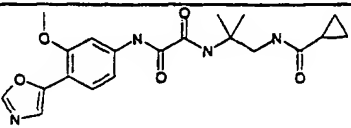
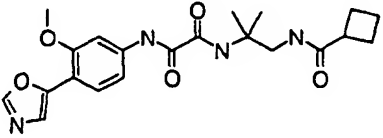
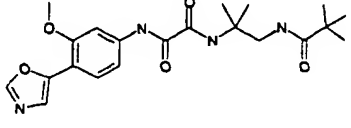
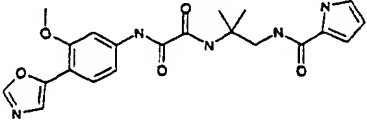
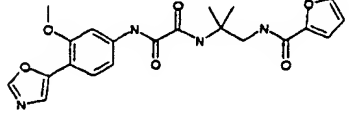
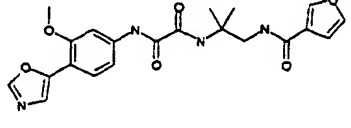
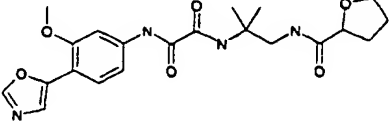
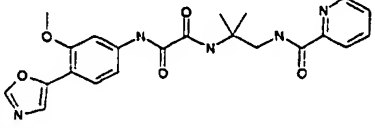
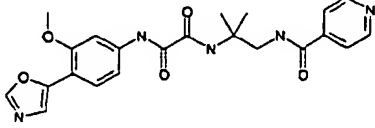
196.		445.0
197.		481.0
198.		435.1
199.		449.1
200.		451.2
201.		460.0
202.		461.1
203.		461.0
204.		461.0
205.		465.1

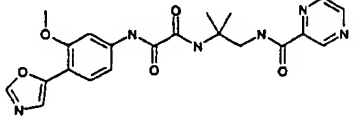
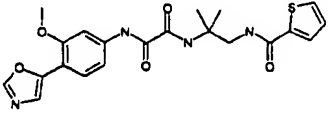
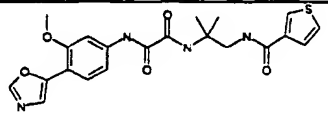
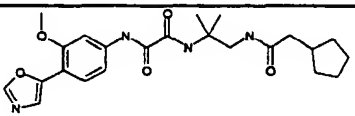
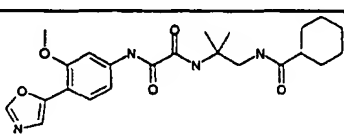
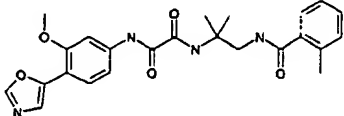
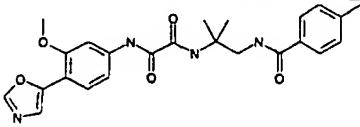
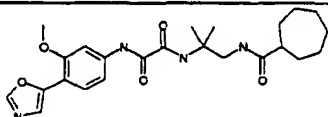
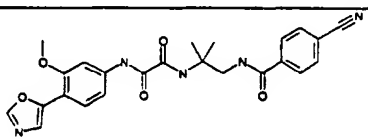
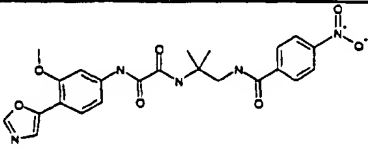
206.		472.1
207.		472.0
208.		473.0
209.		477.0
210.		477.0
211.		477.2
212.		477.2
213.		485.1
214.		485.2

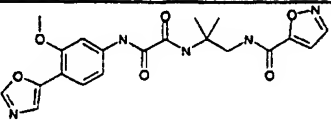
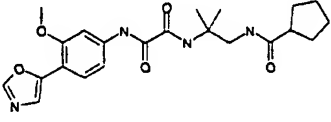
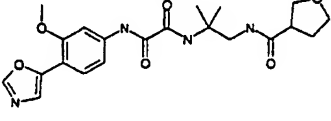
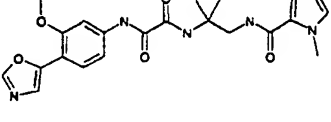
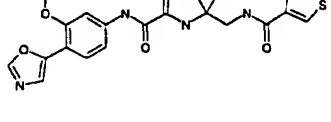
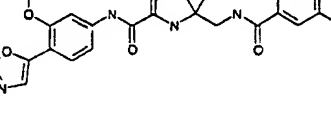
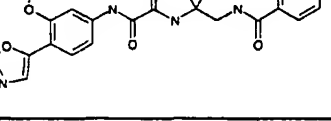
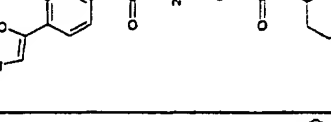
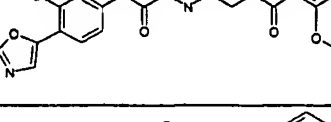
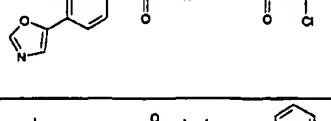
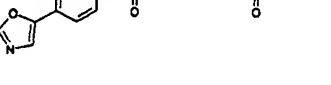
Examples 215 - 301

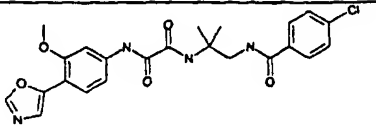
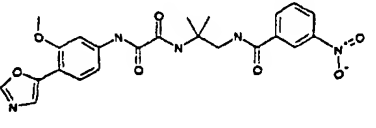
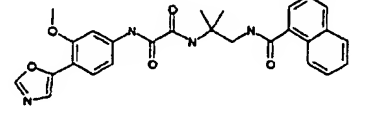
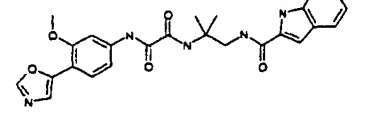
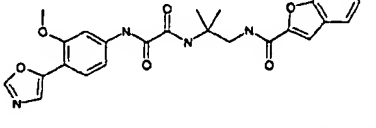
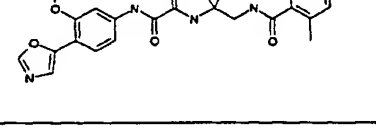
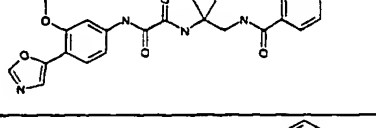
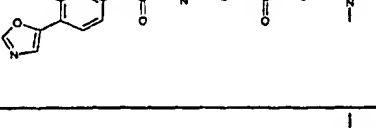
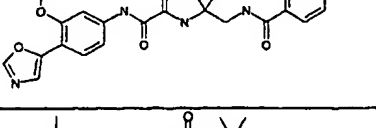
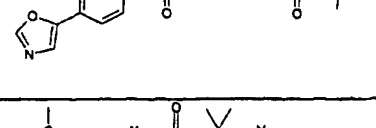
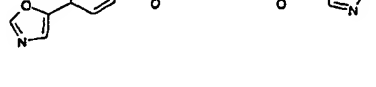
[0161] In a manner analogous to that described in Example 10, starting with N-[2-amino-1,1-dimethylethyl)-N'-(3-methoxy-4-oxazol-5-ylphenyl)oxalamide (prepared as described in Example 9) and the appropriate carboxylic acid the compounds shown in table 4 were also prepared:

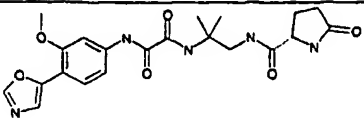
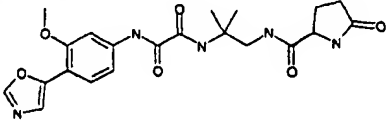
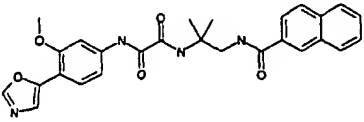
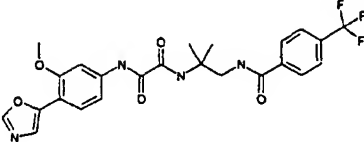
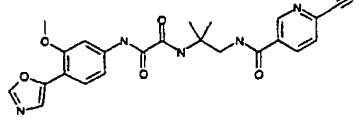
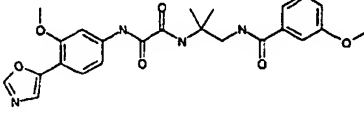
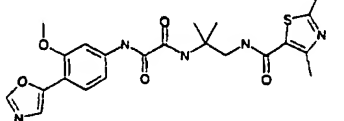
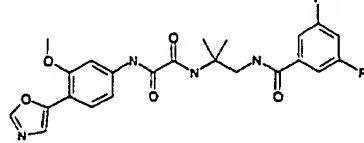
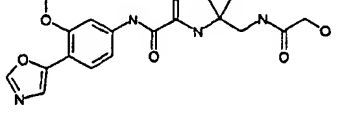
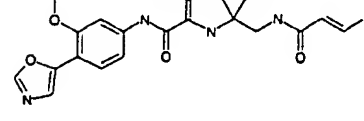
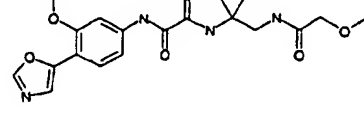
Table 4

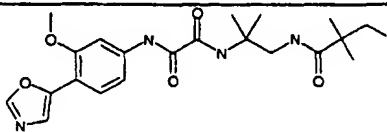
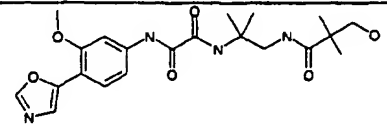
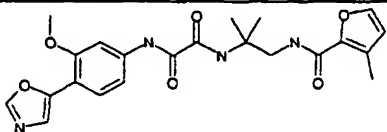
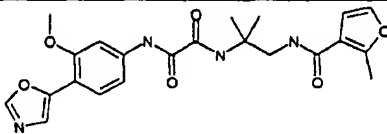
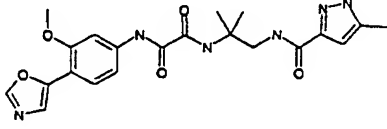
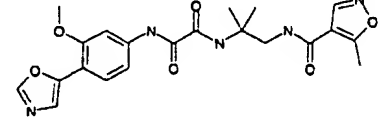
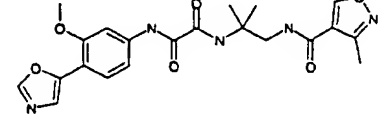
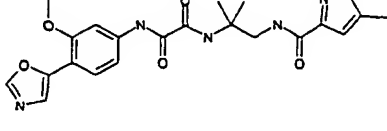
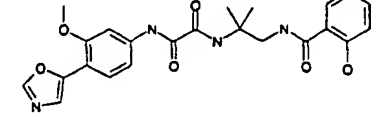
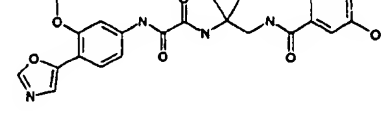
Example	Structure	MS(ES)
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216.		415.0
217.		417.0
218.		426.0
219.		427.0
220.		427.0
221.		431.0
222.		438.0
223.		

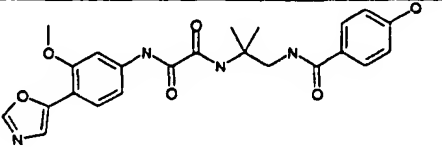
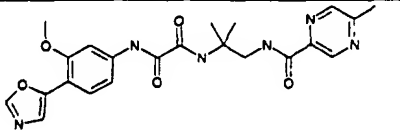
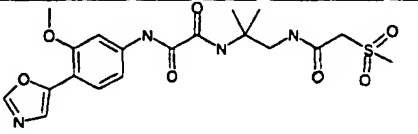
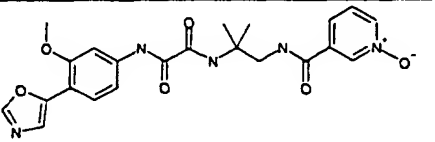
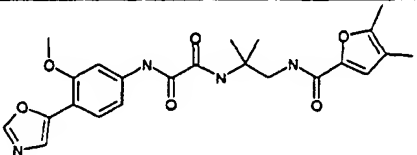
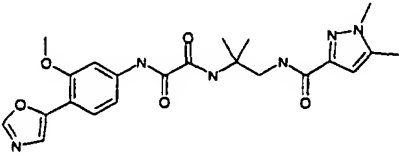
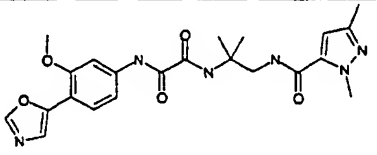
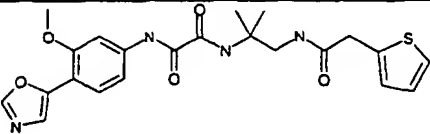
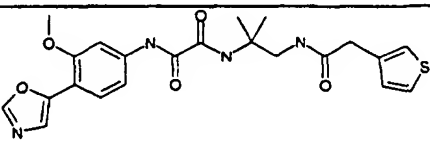
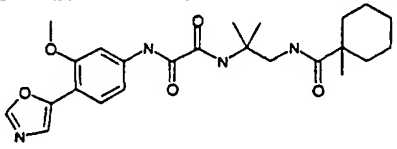
		438.0
224.		439.0
225.		443.0
226.		443.0
227.		443.1
228.		443.1
229.		451.0
230.		451.0
231.		457.1
232.		462.0
233.		482.0

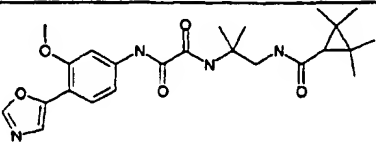
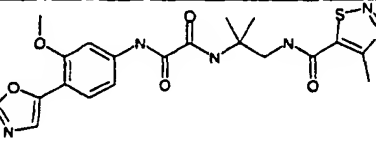
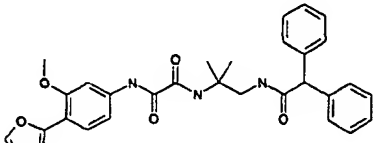
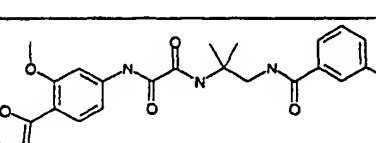
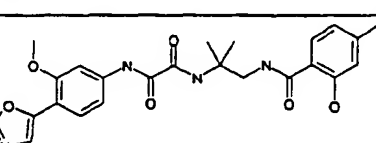
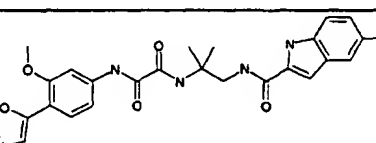
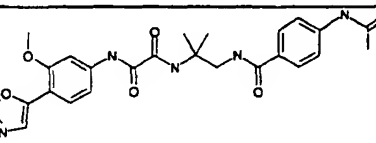
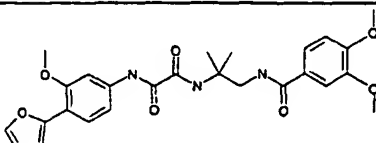
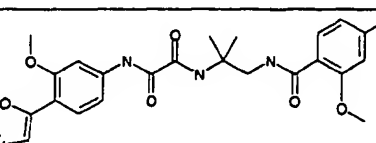
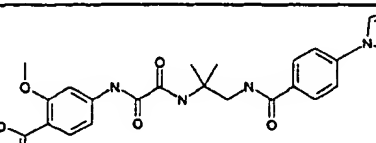
234.		428.0
235.		429.1
236.		431.0
237.		440.0
238.		445.0
239.		455.0
240.		455.0
241.		457.1
242.		467.1
243.		471.0
244.		471.0

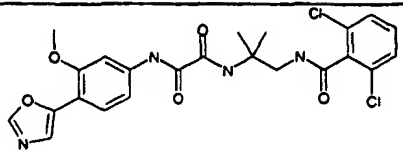
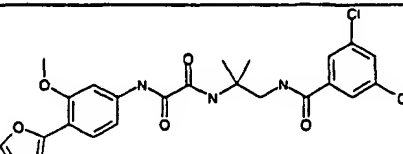
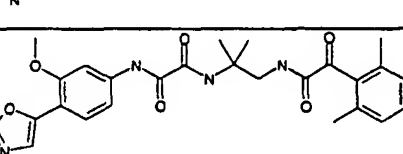
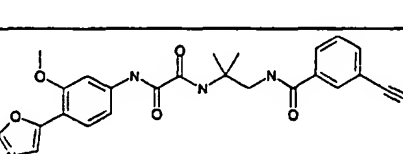
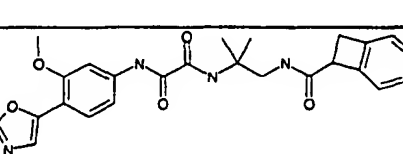
245.		471.0
246.		482.0
247.		487.1
248.		476.1
249.		477.1
250.		479.1
251.		479.1
252.		480.1
253.		480.1
254.		431.1
255.		443.0

256.		444.0
257.		444.0
258.		487.1
259.		505.1
260.		463.0
261.		467.1
262.		472.0
263.		473.0
264.		391.0
265.		401.0
266.		

		405.0
267.		431.1
268.		433.0
269.		441.0
270.		441.0
271.		441.0
272.		442.0
273.		442.0
274.		442.0
275.		453.0
276.		453.0

277.		453.0
278.		453.0
279.		453.0
280.		454.0
281.		455.0
282.		455.0
283.		455.0
284.		457.0
285.		457.0
286.		457.1

287.		457.1
288.		459.0
289.		527.2
290.		563.0
291.		487.0
292.		494.1
293.		494.1
294.		497.1
295.		501.0
296.		502.1

297.		505.0
298.		505.0
299.		507.1
300.		462.0
301.		463.1

Examples 302-315 ; 438-458 and 653-663

[0162] Typical methods used for the preparation of compounds of table 1c are described below:

Example 440

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-4-pyridyl)ethyl]oxalamide

[0163] 30 mg (0.1 mmol) of 60% 3-chloroperoxybenzoic acid were added to a stirred solution of 20 mg (0.051 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-pyridyl)ethyl]oxalamide in 1 ml of dichloromethane. The mixture was stirred for 1 hour then diluted with ethyl acetate, washed with sodium bisulphite solution, sodium bicarbonate solution and brine. The organic solution was dried over magnesium sulphate, evaporated to dryness and the residue triturated with diethyl ether to give 13 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-4-pyridyl)ethyl]oxalamide as an off-white solid. MS: m/e 411 [M+H]⁺.

[0164] The starting material was prepared as follows:

i) A solution of 17.4 g (0.115 mol) of alpha, alpha-dimethyl-4-pyridineethanol in 115 ml of acetic acid was added dropwise to a mixture of 115 ml of acetic acid, 58 ml of concentrated sulphuric acid and 6.8 ml (0.126 mmol) of acetonitrile with cooling in an ice/salt bath. The resulting mixture was stirred for 2 hours at room temperature and the pH raised to 10 by the addition of 6M sodium hydroxide solution with ice cooling. The slurry was filtered, washed with ethyl acetate and the aqueous filtrate extracted twice with ethyl acetate. The combined organic extracts were dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/methanol (1:19), (1:9) and (3:17) for the gradient elution. There was obtained 1.87 g of N-[1,1-dimethyl-2-(4-pyridyl)ethyl]acetamide as an orange oil. ¹H NMR (400 MHz CDCl₃) δ: 1.29 (6H,s), 1.91 (3H,s), 3.11 (2H,s), 5.10 (1H,br.s.), 7.07 (2H,d), 8.50(2H,d).

ii) A solution of 1.8 g (9.3 mmol) of N-[1,1-dimethyl-2-(4-pyridyl)ethyl]acetamide, 2.66 g (9.3 mmol) of titanium (IV) isopropoxide and 2.56 g (14 mmol) of diphenylsilane in 10 ml of tetrahydrofuran was stirred at room temperature

for 20 hours. The resulting mixture was chromatographed on silica gel using dichloromethane/methanol/acetic acid/water (60:18:2:3) for the elution. The product was dissolved in 20 ml of concentrated hydrochloric acid and 50 ml of methanol and evaporated to dryness. The residue was evaporated with toluene five times to give 620 mg of alpha, alpha-dimethyl-4-pyridineethylamine hydrochloride (1:1), as a pale brown solid. ¹H NMR (400 MHz DM-SO) δ: 1.31 (6H,s), 3.26 (2H,s), 8.02 (2H,d), 8.4-8.6 (3H,br.s), 8.88 (2H,d).

iii) A mixture of 100 mg (0.45 mmol) of alpha, alpha-dimethyl-4-pyridineethylamine hydrochloride (1:1), 120 mg (0.45 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, 105 mg (0.68 mmol) of 1-hydroxybenzotriazole hydrate, 105 mg (0.54 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and 127 mg (1.1 mmol) of N-ethylmorpholine in 4 ml of dichloromethane was stirred for 20 hours at room temperature then diluted with ethyl acetate and washed with water and brine. The organic solution was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/methanol (19:1) for the elution. After trituration with diethyl ether there was obtained 32 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-pyridyl)ethyl]oxalamide as a white solid. MS: m/e 395 [M+H]⁺.

Example 455

2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylic acid

[0165] A solution of 68 mg (0.12 mmol) of benzyl 2-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylate in 10 ml of tetrahydrofuran was hydrogenated with 20 mg of 10% palladium on carbon for 4 hours. The resulting suspension was filtered, evaporated to dryness and the residue triturated with diethyl ether to give 41 mg of 2-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylic acid as a white solid. MS: m/e 477.9 [M+H]⁺.

[0166] The starting material was prepared as follows:

i) A solution of 1.976 g (22.46 mmol) of isobutyric acid in 8 ml of anhydrous tetrahydrofuran was added to a stirred suspension of 1.078 g (26.95 mmol) of 60% sodium hydride and 2.268 g (22.46 mmol) of diisopropylamine in 40 ml of anhydrous tetrahydrofuran under a nitrogen atmosphere and the mixture heated to reflux for 15 minutes. After cooling to 0°C a solution of 14.04 ml (22.46 mmol) of 1.6M butyllithium in hexanes was added maintaining the temperature at 0-5°C. After 5 minutes at 0°C the mixture was warmed to 30-35°C for 20 minutes, cooled to 0°C and a solution of 5.3 g (22.46 mmol) of 2-(bromomethyl)-5-benzofurancarbonitrile in 15 ml of anhydrous tetrahydrofuran was added maintaining the temperature at 0°C. The suspension was stirred for 5 minutes at 0°C then warmed to 30-35°C for 20 minutes before being cooled to 15°C and quenched by the careful addition of 50 ml of water and diluted with 50 ml of diethyl ether. The aqueous phase was separated, acidified with concentrated hydrochloric acid and extracted with diethyl ether. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (1:2) for the elution. There was obtained 670 mg of 5-cyano-alpha, alpha-dimethyl-2-benzofuranpropionic acid as a white solid. ¹H NMR (400 MHz CDCl₃) δ: 1.23 (6H,s), 3.01 (2H,s), 6.46 (1H,s), 7.38 (1H,d), 7.42 (1H,d), 7.75 (1H,s).

ii) A mixture of 652 mg (2.68 mmol) of 5-cyano-alpha, alpha-dimethyl-2-benzofuranpropionic acid, 732 mg (2.68 mmol) of diphenylphosphoryl azide and 269 mg (2.66 mmol) of triethylamine in 8 ml of tert-butanol was refluxed for 8 hours then evaporated to dryness and the residue dissolved in ethyl acetate and washed with saturated sodium bicarbonate solution. The organic phase was dried over magnesium sulphate, evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (2:3) for the elution to give 225 mg of white solid which was suspended in 10 ml of 2M sodium hydroxide solution and stirred and refluxed for 20 hours. The resulting suspension was cooled, evaporated to dryness and 5 ml of ethylene glycol and 400 mg of potassium hydroxide were added. After heating at 190°C for 20 minutes 2 ml of water were added and after a further 20 minutes another 15 ml of water were added and heating continued for 20 minutes until a thick paste remained which was cooled and dissolved in 20 ml of water. Concentrated hydrochloric acid was added to bring the pH to 2 then 25 ml of dioxan, 3 g (21.74 mmol) of potassium carbonate and 1.5 g (6.88 mmol) of di-tert-butyl dicarbonate were added and the mixture stirred for 24 hours. The solvent was removed by evaporation and the residue dissolved in diethyl ether and water. The aqueous phase was separated, acidified with 2M hydrochloric acid and extracted with diethyl ether. The organic phase was dried over magnesium sulphate and evaporated to dryness to give 106 mg of 2-[2-(tert-butoxyformamido)-2-methylpropyl]-5-benzofurancarboxylic acid as a colourless gum.

iii) A mixture of 105 mg (0.32 mmol) of 2-[2-(tert-butoxyformamido)-2-methylpropyl]-5-benzofurancarboxylic acid, 80 mg (0.53 mmol) of benzyl bromide, and 200 mg (1.45 mmol) of potassium carbonate in 4 ml of dimethylforma-

mide was stirred at room temperature for 1 hour then diluted with diethyl ether and water. The organic phase was washed twice with water, dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (1:5) for the elution. There was obtained 104 mg of benzyl 2-[2-(tert-butoxyformamido)-2-methylpropyl]-5-benzofurancarboxylate as a colourless gum. ¹H NMR (400 MHz CDCl₃) δ: 1.39 (6H,s), 1.50 (9H,s), 3.23 (2H,s), 4.49 (1H,s), 5.41 (2H,s), 6.52 (1H,s), 7.34-7.52 (6H,m), 8.02 (1H,d), 8.30 (1H,s).

iv) 103 mg (0.24 mmol) of benzyl 2-[2-(tert-butoxyformamido)-2-methylpropyl]-5-benzofurancarboxylate were dissolved in 5 ml of trifluoroacetic acid/dichloromethane (1:1) for 10 minutes then evaporated to dryness and the residue dissolved in 1 ml of dimethylformamide and added to a stirred solution of 66 mg (0.25 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, 115 mg (1 mmol) of N-ethylmorpholine, 45 mg (0.29 mmol) of 1-hydroxybenzotriazole hydrate and 70 mg (0.37 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in 2 ml of dimethylformamide and the resulting mixture stirred at room temperature for 18 hours. After dilution with ethyl acetate the organic solution was washed with 2M hydrochloric acid, saturated sodium bicarbonate solution and water, dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (45:55) for the elution. After trituration with diethyl ether there was obtained 81 mg of benzyl 2-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylate as a white solid. MS m/e 568 [M+H]⁺.

Example 443

2-[3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid

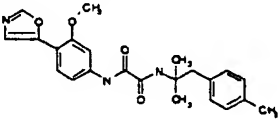
[0167] A solution of 45 mg (0.081 mmol) of benzyl 2-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetate in 5 ml of ethanol/tetrahydrofuran (1:1) was hydrogenated with 4 mg of 10% palladium on carbon catalyst for 5 hours. The resulting suspension was filtered, evaporated to dryness and triturated with diethyl ether to give 29 mg of 2-[3-[2-[[[3-methoxy-4-(5-oxazolyl)amino]-2-methylpropyl]phenoxy]acetic acid as a white solid. MS: m/e 468 [M+H]⁺.

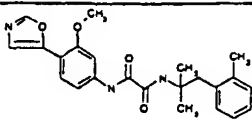
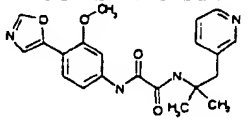
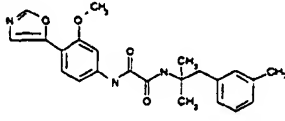
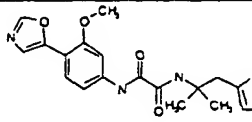
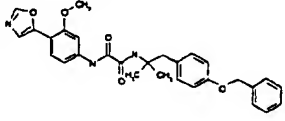
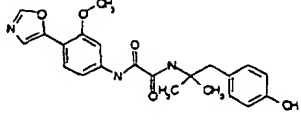
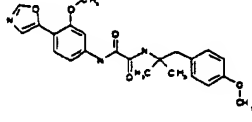
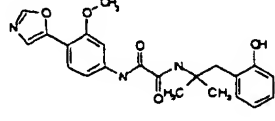
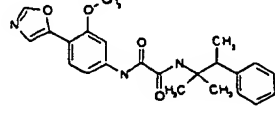
[0168] The starting material was prepared as follows:

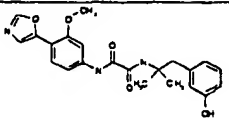
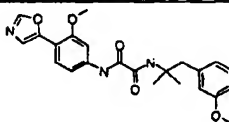
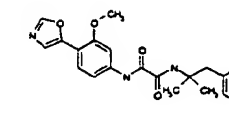
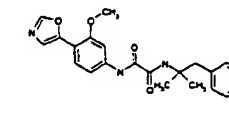
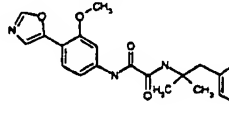
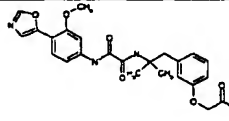
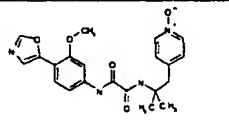
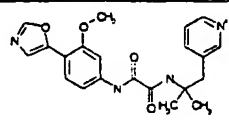
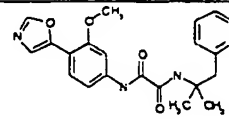
i) 8 mg (0.2 mmol) of 60% sodium hydride were added to a stirred solution of 85 mg (0.2 mmol) of N-[2-(3-hydroxyphenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide in 1 ml of dimethylformamide. After 10 minutes 55 mg (0.24 mmol) of benzyl bromoacetate were added and the mixture stirred at room temperature for 4 hours. The resulting solution was diluted with ethyl acetate, washed twice with water, dried over magnesium sulphate and evaporated to dryness. The residue was chromatographed on silica gel using ethyl acetate/petrol (2:1) for the elution. There was obtained 51 mg of benzyl 2-[3-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetate as a white solid. MS: m/e 558 [M+H]⁺.

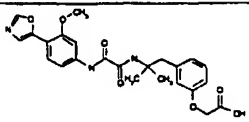
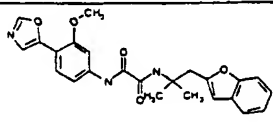
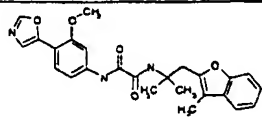
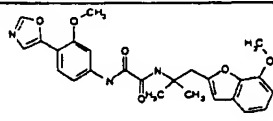
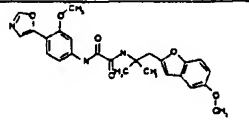
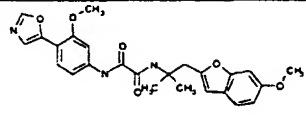
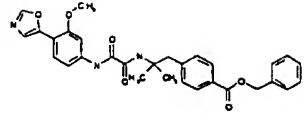
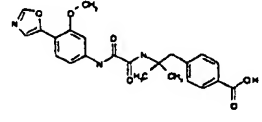
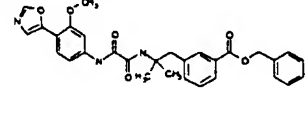
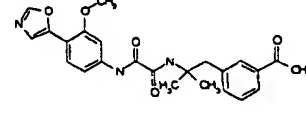
[0169] In a manner analogous to that described in Example 1, starting with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, prepared as described in Example 1, parts (i) and (ii), and the appropriate amine, additional compounds shown in table 1c were also prepared.

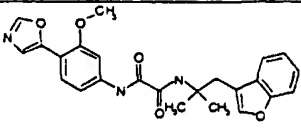
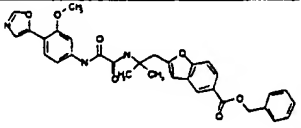
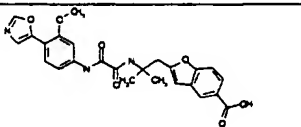
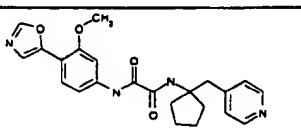
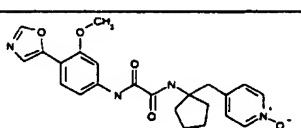
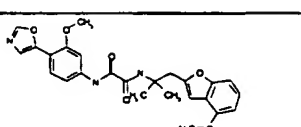
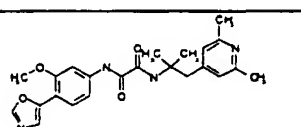
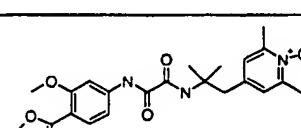
table 1c

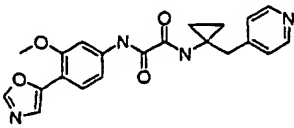
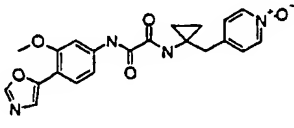
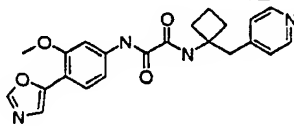
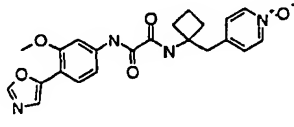
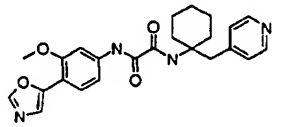
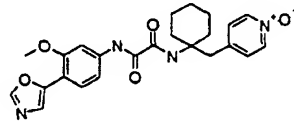
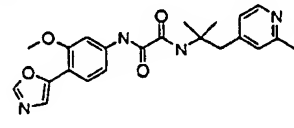
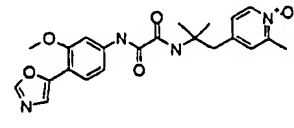
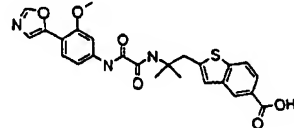
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-methylphenyl)ethyl]oxalamide		408	302

5	N-[1,1-Dimethyl-2-(2-methylphenyl)ethyl]-N'-(3-methoxy-4-(5-oxazolyl)phenyl)oxalamide		408	303
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-pyridyl)ethyl]oxalamide		395	304
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-methylphenyl)ethyl]oxalamide		408	305
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1-dimethyl-2-(2-thienyl)ethyl)oxalamide		400	306
25	N-[2-(4-Benzyloxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		500	307
30	N-[2-(4-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		410	308
35	N-(3-Methoxy-4-oxazol-5-yl-phenyl)-N'-[2-(4-methoxy-phenyl)-1,1-dimethyl-ethyl]-oxalamide		424	309
40	N-[2-(2-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		410	310
45	N-(1,1-Dimethyl-2-phenyl-propyl)-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		408	311
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5	N-[2-(3-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		410	312
10	N-(3-Methoxy-4-oxazol-5-yl-phenyl)-N'-[2-(3-methoxy-phenyl)-1,1-dimethyl-ethyl]-oxalamide		424	313
15	N-[2-[4-(Cyanomethoxy)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		449	314
20	2-[4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid		468	315
25	2-[2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid		468	438
30	2-[3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid		468	439
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-4-pyridyl)ethyl]oxalamide		411	440
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-3-pyridyl)ethyl]oxalamide		411	441
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-2-pyridyl)ethyl]oxalamide		411	442

5	2-[3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid		468	443
10	N-[2-(2-Benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		434	444
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-methyl-2-benzofuranyl)ethyl]oxalamide		448	445
20	N-[2-(7-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		464	446
25	N-[2-(5-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		464	447
30	N-[2-(6-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		464	448
35	Benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoate		528	449
40	4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoic acid		438	450
45	Benzyl 3-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoate		528	451
50	3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoic acid		438	452
55				

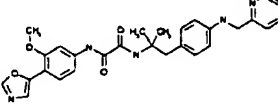
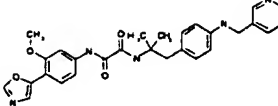
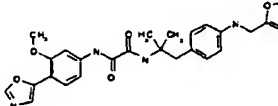
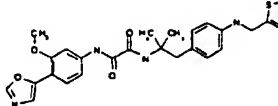
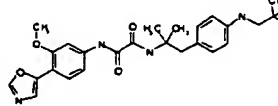
5	N-[2-(3-Benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		434	453
10	Benzyl 2-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylate		568	454
15	2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylic acid		477.9	455
20	N-[3-Methoxy-4-(5-oxazolylphenyl)-N'-[1-[(4-pyridyl)methyl]-1-cyclopentyl]oxalamide		421	456
25	N-[3-Methoxy-4-(5-oxazolylphenyl)-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclopentyl]oxalamide		437	457
30	N-[2-(4-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		464	458
35	N'-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-(2,6-dimethyl-4-pyridyl)-1,1-dimethylethyl]oxalamide		423.22	653
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2,6-dimethyl-1-oxido-4-pyridyl)ethyl]oxalamide		439.3	654

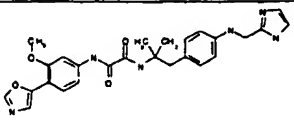
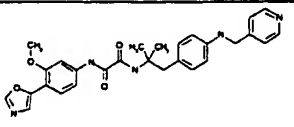
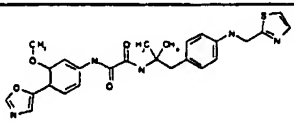
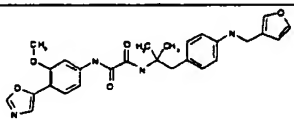
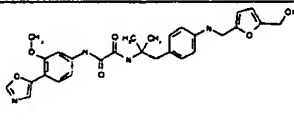
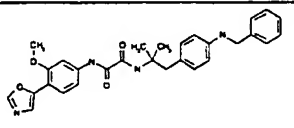
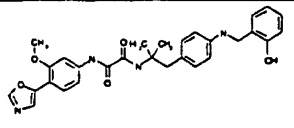
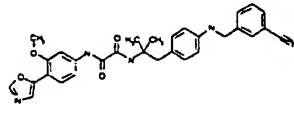
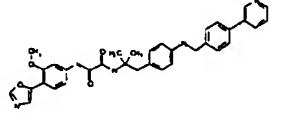
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclopropyl]oxalamide		393	655
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclopropyl]oxalamide		409	656
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclobutyl]oxalamide		407	657
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclobutyl]oxalamide		421	658
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclohexyl]oxalamide		435	659
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclohexyl]oxalamide		451	660
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-methyl-4-pyridyl)ethyl]oxalamide		409	661
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-methyl-1-oxido-4-pyridyl)ethyl]oxalamide		425	662
45	2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzothiophenecarboxylic acid		494	663
50				
55				

Examples 316-330:

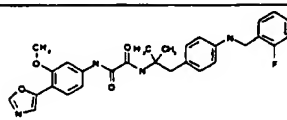
[0170] In a manner analogous to that described in Example 11 starting with N- [2-(4-aminophenyl)-1,1-dimethylethyl]-N'- [3-methoxy-4-(5-oxazolyl)phenyl] oxalamide, prepared as described in example 21, and the appropriate aldehyde compounds shown in table 1d were also prepared.

table 1d

Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-pyridinyl)methylamino]phenyl]ethyl]oxalamide		500.1	316
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(3-pyridyl)methylamino]phenyl]ethyl]oxalamide		500.1	317
N-[2-[4-(2-Furfurylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		489.1	318
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-Dimethyl-2-[4-(2-thenylamino)phenyl]ethyl]oxalamide		505.1	319
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,2-dimethylpropylamino)phenyl]ethyl]oxalamide		479.2	320

5	N-[2-[4-[(1H-Imidazol-2-yl)methylamino]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		489.1	321
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(4-pyridyl)methylamino]phenyl]ethyl]oxalamide		500.1	322
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-thiazolyl)methylamino]phenyl]ethyl]oxalamide		506.1	323
20	N-[2-[4-(3-Furfurylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		489.1	324
25	N-[2-[4-[5-(Hydroxymethyl)-2-furfurylamino]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		519.1	325
30	N-[2-(4-Benzylaminophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		499.1	326
35	N-[2-[4-(2-Hydroxybenzylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		515.1	327
40	N-[2-[4-(3-Cyanobenzylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		524.1	328
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[4-(3-pyridyl)benzylamino]phenyl]ethyl]oxalamide		576.2	329

N-[2-[4-(2-Fluorobenzylamino)phenyl]-
1,1-dimethylethyl]-N'-[3-methoxy-4-(5-
oxazolyl)phenyl]oxalamide



517.1

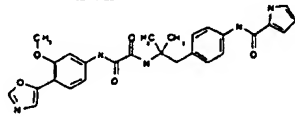
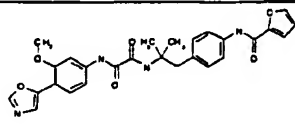
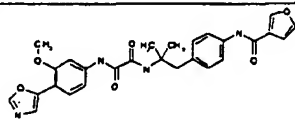
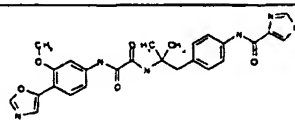
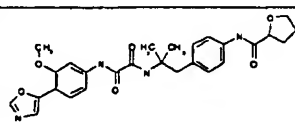
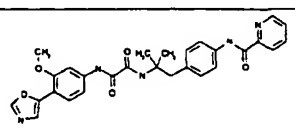
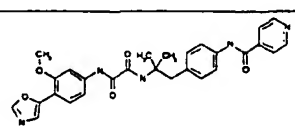
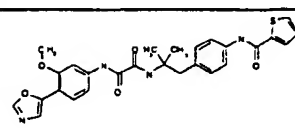
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Examples 331-395 and 596-597:

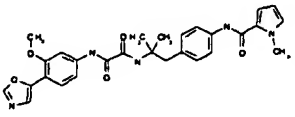
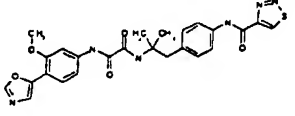
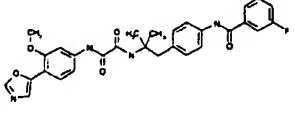
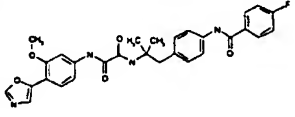
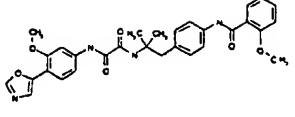
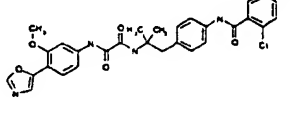
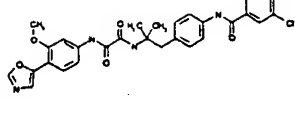
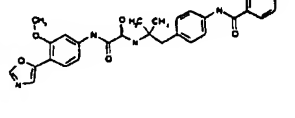
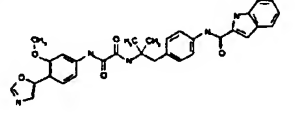
[0171] In a manner analogous to that described in Example 22 starting from N-[2-(4-aminophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide, prepared as described in example 21, and the appropriate carboxylic acid compounds shown in table 1e were also prepared.

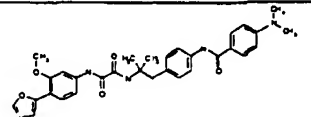
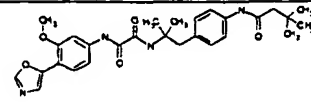
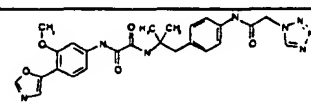
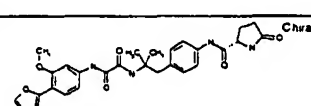
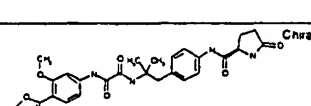
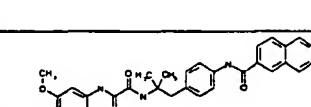
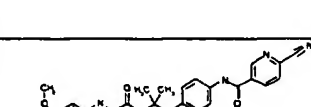

Table 1e

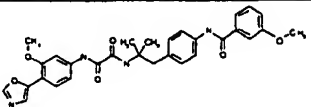
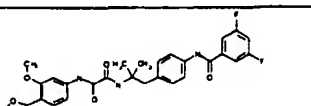
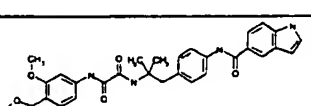
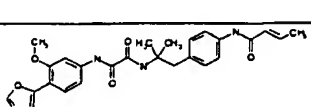
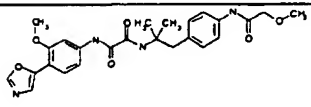
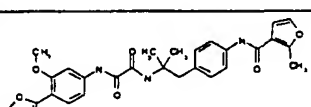
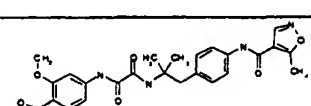
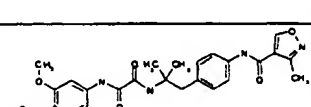
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[2-[4-(Cyclopropylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		477.1	331
N-[2-[4-(Cyclobutylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		491.1	332
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-pivalamidophenyl)-1,1-		493.1	333

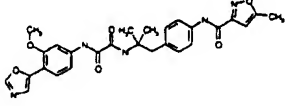
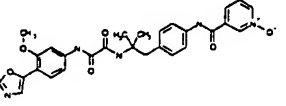
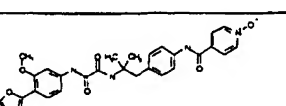
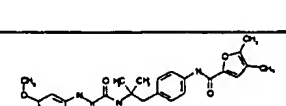
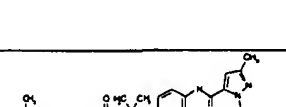



	dimethylethyl]oxalamide			
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(1H-pyrrol- 2-yl)carboxamido]phenyl]ethyl] oxalamide		502.1	334
10	N-[2-[4-[(2-Furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		503.1	335
15	N-[2-[4-[(3-Furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		503.1	336
20	N-[2-[4-[(1H-Imidazol-4-yl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		503.1	337
25	N-[2-[4-[(Tetrahydro-2(RS)-furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		507.2	338
30	N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-[4-[(2- pyridyl)carboxamido]phenyl]ethyl]ox alamide		514.1	339
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4-pyridyl) carboxamido]phenyl]ethyl]oxalamide		514.1	340
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(2-thienyl) carboxamido]phenyl]ethyl]oxalamide		519.1	341
45				
50				
55				

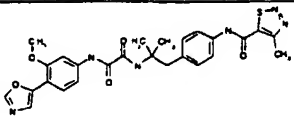
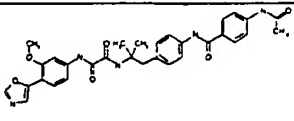
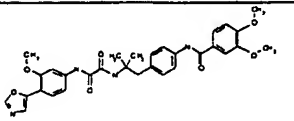
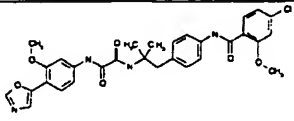
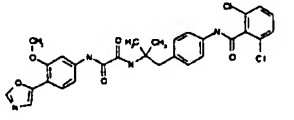
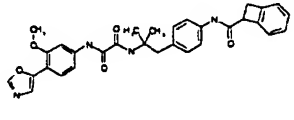
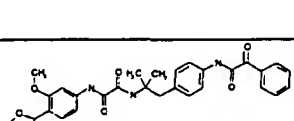
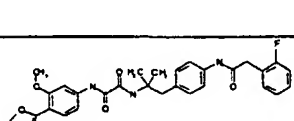
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N-[1,1-dimethyl-2-[4-[(3-thienyl) carboxamido]phenyl]ethyl]oxalamide		519.1	342
10	N-[2-[4-(2-Cyclopentylacetamido) phenyl]-1,1-dimethylethyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide		519.2	343
15	N-[3-Methoxy-4-(5-oxazolyl) phenyl] -N'-[1,1-dimethyl-2-[4-(2- methylbenzamido)phenyl]ethyl]oxala mide		527.2	344
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-(4- methylbenzamido)phenyl]ethyl]oxala mide		527.2	345
25	N-[2-[4-(Cycloheptylcarboxamido) phenyl]-1,1-dimethylethyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide		533.2	346
30	N-[2-[4-[(5-Isoxazolyl) carboxamido] phenyl]-1,1-dimethylethyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide		504.1	347
35	N-[2-[4-(Cyclopentylcarboxamido) phenyl]-1,1-dimethylethyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide		505.2	348
40	N-[2-[4-[(Tetrahydro-3(RS)-furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		507.1	349
45				
50				
55				

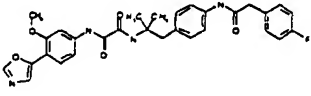
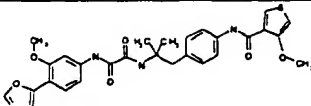
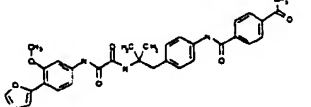
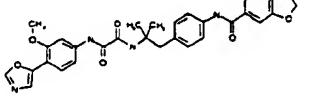
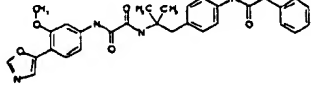
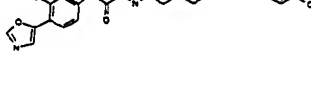
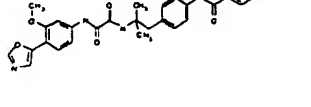
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(1-methyl-1H-pyrrol-2-yl)carboxamido]phenyl]ethyl]oxalamide		516.1	350
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1-dimethyl-2-[4-[(1,2,3-thiadiazol-4-yl)carboxamido]phenyl]ethyl]oxalamide		521.1	351
15	N-[2-[4-(3-Fluorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		531.1	352
20	N-[2-[4-(4-Fluorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		531.1	353
25	N-[2-[4-(2-Methoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		543.2	354
30	N-[2-[4-(2-Chlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		547.1	355
35	N-[2-[4-(3-Chlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		547.1	356
40	N-[2-[4-(4-Chlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		547.1	357
45	N-[2-[4-[(1H-Indol-2-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-			
50				
55				

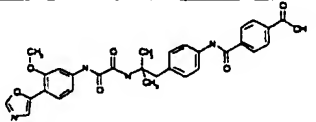
	oxazolyl)phenyl]oxalamide		552.1	358
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-(dimethylamino)benzamido)phenyl]ethyl]oxalamide		556.1	359
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3,3-dimethylbutyramido)]phenyl]ethyl]oxalamide		507.1	360
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-(1-tetrazolyl)acetamido)phenyl]ethyl]oxalamide		519.1	361
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-oxo-2(S)-pyrrolidinyl)carboxamido]phenyl]ethyl]oxalamide		520.1	362
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[(1,1-dimethyl-2-[4-[(5-oxo-2(R)-pyrrolidinyl)carboxamido]phenyl]ethyl]oxalamide		520.1	363
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-naphthyl)carboxamido]phenyl]ethyl]oxalamide		563.1	364
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-naphthyl)carboxamido]phenyl]ethyl]oxalamide		580.1	365
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-naphthyl)carboxamido]phenyl]ethyl]oxalamide		(M+H+	
45	N-[2-{4-[(6-Cyano-3-pyridyl)carboxamido]phenyl}-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		ACN)	
50				
55				

5	N-[2-[4-(3-Methoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		543.1	366
10	N-[2-[4-(3,5-Difluorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		549.1	367
15	N-[2-[4-[(1H-Indol-5-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		552.1	368
20	(E)-N-[2-[4-(2-Butenamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		477.1	369
25	N-[2-[4-(2-Methoxyacetamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		481.2	370
30	N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-methyl-3-furyl)carboxamido]phenyl]ethyl]oxal amide		517.1	371
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-4-isoxazolyl)carboxamido]phenyl]ethyl]oxalamide		518.1	372
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(3-methyl-4-isoxazolyl)carboxamido]phenyl]ethyl]oxalamide		518.1	373

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(5-methyl-3- isoxazolyl)carboxamido]phenyl]ethyl] oxalamide		518.1	374
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(1-oxido-3- pyridyl)carboxamido]phenyl]ethyl]ox alamide		530.1	375
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(1-oxido-4- pyridyl)carboxamido]phenyl]ethyl]ox alamide		530.1	376
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4,5- dimethyl-2-furyl)carboxamido] phenyl]ethyl]oxalamide		531.1	377
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(2,5- dimethyl-2H-pyrazol-3-yl) carboxamido]phenyl]-1,1- dimethylethyl]oxalamide		531.1	378
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(3-methyl-2- thienyl)carboxamido]phenyl]ethyl]ox alamide		533.1	379
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(2-(3- thienyl)acetamido]phenyl]ethyl]oxala mide		533.1	380
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4-methyl-2- thienyl)carboxamido]phenyl]ethyl]ox alamide		533.1	381
45				
50				
55				

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(4-methyl-1,2,3-thiadiazol-5-yl)carboxamido]phenyl]ethyl]oxalamide		535	382
10	N-[2-[4-(4-Acetamidobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		570.1	383
15	N-[2-[4-(3,4-Dimethoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		573.1	384
20	N-[2-[4-(4-Chloro-2-methoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		578.2	385
25	N-[2-[4-(2,6-Dichlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		581	386
30	N-[2-[4-[(Bicyclo[4.2.0]octa-1(6),2,4-triene-7(RS)-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		539.1	387
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-oxo-2-phenylacetamido)phenyl]ethyl]oxalamide		541.1	388
40	N-[2-[4-[2-(2-Fluorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		545	389

5	oxazolyl)phenyl]oxalamide			
10	N-[2-[4-[2-(4-Fluorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		545	390
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N-[2-[4-[(4-methoxy-3-thienyl)carboxamido]phenyl]-1,1-dimethylethyl]oxalamide		549	391
20	N-[2-[4-(4-Acetylbenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		555.1	392
25	N-[2-[4-[(1,3-Benzodioxol-5-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		557.1	393
30	N-[2-[4-[2-(2-Chlorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		561.1	394
35	N-[2-[4-[2-(4-Chlorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		561.1	395
40	tert-Butyl 4-[[[4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenyl]carbonyl]benzoate		613	596

<p>4-[[4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenyl]carbamoyl]benzoic acid</p>		557	597
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Examples 396-406; 433-437; 542-595 and 635-650

[0172] Typical methods used for the preparation of the compounds of tables 1f¹, 1f² and 1f³ are described below:

Example 398.

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide

[0173]

(i) A mixture of 0.5g (3.94 mmol) of 2,4,4-trimethyl-5,6-dihydro-1,3(4H)oxazine and 0.5g (3.6 mmol) of 4-nitrophenol were heated at 180°C under a nitrogen atmosphere for 6 hours. The resulting mixture was cooled and purified by chromatography on silica gel using ethyl acetate for the elution. There was obtained 524 mg of N-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]acetamide.

(ii) 693 mg (2.61 mmol) of N-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]acetamide, 815 mg (2.87 mmol) of titanium isopropoxide and 719 mg (3.91 mmol) of diphenylsilane were dissolved in 8 ml of tetrahydrofuran and left at room temperature for 18 hours. The resulting solution was dissolved in ethyl acetate and saturated sodium bicarbonate solution, filtered and the organic phase extracted twice with 2M hydrochloric acid. The combined acid extracts were basified with 2M sodium hydroxide solution, extracted with ethyl acetate and the organic extracts dried over magnesium sulphate, filtered and evaporated to dryness to give 266 mg of 1,1-dimethyl-3-(4-nitrophenoxy)propylamine. The 1,1-dimethyl-3-(4-nitrophenoxy)propylamine was then coupled to N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid by a procedure analogous to that described in example 1 to give N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide as a pale yellow solid. MS: m/e 469 [M+H]⁺.

Example 433

4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid.

[0174] A solution of 650 mg (1.17 mmol) of benzyl 4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoate in 20 ml of tetrahydrofuran was hydrogenated with 65 mg of 10% palladium on charcoal catalyst for 48 hours, a further 65 mg of catalyst being added after 24 hours and again after 44 hours. The resulting suspension was filtered, evaporated to dryness and the residue triturated with diethyl ether to give 415 mg of 4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid as a white solid. MS: m/e 468 [M+H]⁺.

[0175] The starting material was prepared as follows:

i) A mixture of 1.14 g (5 mmol) of benzyl 4-hydroxybenzoate and 800 mg (6.3 mmol) of 2,4,4-trimethyl-5,6-dihydro-1,3(4H)-oxazine was stirred and heated at 180°C for 3 hours. A further 600 mg (4.72 mmol) of oxazine were added and heating was continued for 21 hours. The resulting mixture was cooled and chromatographed on silica gel using ethyl acetate/petrol (3:1) for the elution. There was obtained 1.52 g of benzyl 4-(3-acetamido-3-methylbutoxy)benzoate as a white solid. ¹H NMR (400 MHz CDCl₃) δ: 1.43 (6H,s), 1.94 (3H,s), 2.26 (2H,t), 4.14 (2H,t), 5.36 (2H,s), 5.65 (1H,s), 6.91 (2H,d), 7.35-7.52 (5H,m), 8.05 (2H,d).

ii) A solution of 1.5 g (4.23 mmol) of benzyl 4-(3-acetamido-3-methylbutoxy) benzoate, 1.166 g (6.35 mmol) of diphenylsilane and 1.2 g (4.23 mmol) of titanium(IV) isopropoxide in 4 ml of tetrahydrofuran was stirred at room temperature for 6 hours. The resulting mixture was diluted with diethyl ether/2M sodium hydroxide solution, filtered

and the organic phase extracted twice with 2M hydrochloric acid. The combined aqueous extracts were basified with 2M sodium hydroxide solution and extracted with ether. The organic extract was dried over magnesium sulphate and evaporated to dryness to give 1.16 g of benzyl 4-(3-amino-3-methylbutoxy) benzoate as a pale coloured gum. ¹H NMR (400 MHz CDCl₃) δ: 1.22 (6H,s), 1.92 (2H,t), 4.08 (2H,t), 5.36 (2H,s), 6.90 (2H,d), 7.33-7.48 (5H, m), 8.05 (2H,d).

iii) A solution of 873 mg (3.33 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, 500 mg (3.27 mmol) of 1-hydroxybenzotriazole hydrate, 1.2 g (3.83 mmol) of benzyl 4-(3-amino-3-methylbutoxy) benzoate and 1 g (5.22 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in 10 ml of dimethylformamide was stirred at room temperature for 24 hours. The resulting mixture was diluted with ethyl acetate and washed with 2M hydrochloric acid, saturated sodium bicarbonate solution and water then dried over magnesium sulphate, evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (2:1) for the elution. After trituration with diethyl ether there was obtained 765 mg of benzyl 4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoate as a white solid. MS: m/e 558 [M+H]⁺.

Example 434

2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino] oxalyl]amino]-3-methylbutoxy]benzoic acid.

[0176] In an analogous manner to that described in Example 433 but replacing benzyl 4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoate with benzyl 2-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoate there was obtained 2-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid as a white solid. MS: m/e 468 [M+H]⁺.

[0177] The starting material was prepared as follows:

i) A solution of 917 mg (3.5 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, 650 mg (4.66 mmol) of 3-amino-3-methyl-1-butanol hydrochloride (1:1), 612 mg (4 mmol) of 1-hydroxybenzotriazole hydrate, 690 mg (6 mmol) of N-ethylmorpholine and 960 mg (5 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in 10 ml of dimethylformamide was stirred at room temperature for 20 hrs. The resulting mixture was diluted with ethyl acetate and washed with 2M hydrochloric acid, saturated sodium bicarbonate solution and water then dried over magnesium sulphate, evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (3:1) for the elution. There was obtained 410 mg of N-(3-hydroxy-1,1-dimethylpropyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as a pale yellow solid. MS: m/e 348 [M+H]⁺.

ii) A solution of 48 mg (0.276 mmol) of diethyl azodicarboxylate in 2 ml of tetrahydrofuran was added to a mixture of 72 mg (0.275 mmol) of triphenylphosphine, 57 mg (0.25 mmol) of benzyl salicylate and 87 mg (0.25 mmol) of N-(3-hydroxy-1,1-dimethylpropyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide and left at room temperature for 1 hour. The resulting mixture was chromatographed twice on silica gel using first ethyl acetate/petrol (1:1) then methanol/dichloromethane (1:49) for the elutions. There was obtained 29 mg of benzyl 2-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoate as a colourless gum. MS: m/e 558 [M+H]⁺.

Example 435

3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid.

[0178] In an analogous manner to that described in Example 433 but replacing benzyl 4-hydroxybenzoate with benzyl 3-hydroxybenzoate there was obtained 3-[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid as a white solid. MS: m/e 468 [M+H]⁺.

Example 553

4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropoxy]benzoic acid.

[0179] In an analogous manner to that described in Example 433 but replacing benzyl 4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino] oxalyl]amino]-3-methylbutoxy]benzoate with benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl] amino]-2-methylpropoxy]benzoate there was obtained 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropoxy]benzoic acid as a white solid. MS: m/e 454 [M+H]⁺.

[0180] The starting material was prepared as follows:

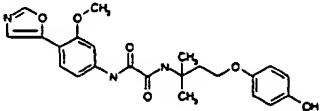
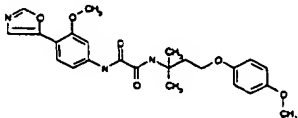
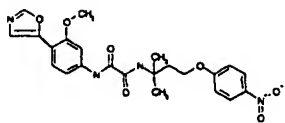
(i) A solution of 0.280 g (4 mmol) of 2,2-dimethylaziridine (Cairns, J. Am. Chem. Soc. 1941, 63, 871) and 9 g (40 mmol) of benzyl 4-hydroxybenzoate in 30 ml of chloroform was heated under reflux for 3 hr. The reaction mixture was allowed to cool and diluted with dichloromethane. The solution was washed with 2M sodium hydroxide solution, dried over anhydrous magnesium sulphate, and concentrated *in vacuo*. Column chromatography of the residue using (dichloromethane:methanol:acetic acid:water (240:12:3:2) afforded benzyl 4-(2-amino-2-methylpropoxy) benzoate (0.300g, 1 mmol, 25%).

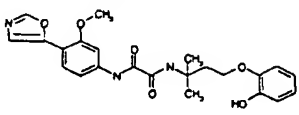
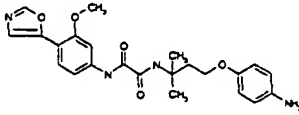
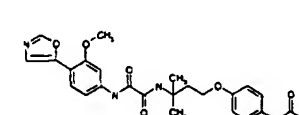
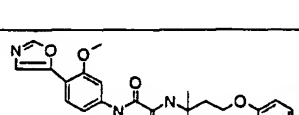
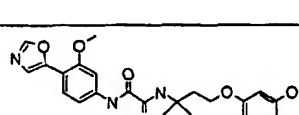
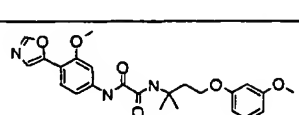
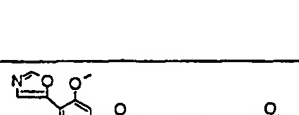
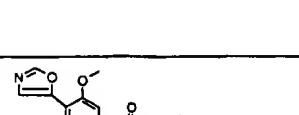
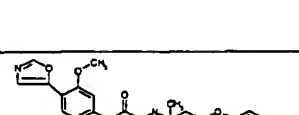
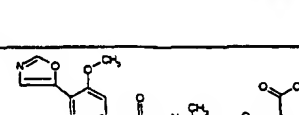
(ii) The benzyl 4-(2-amino-2-methylpropoxy)benzoate was coupled to N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalam-ic acid in a manner analogous to that described for example 433, part (iii) to give benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropoxy]benzoate as a white solid. Example 561 was prepared in a man-ner analogous to that described for example 433, parts (i) and (ii) where the benzyl 4-hydroxybenzoate was re-placed with 3-cyanophenol.

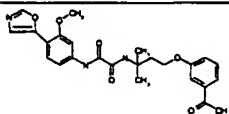
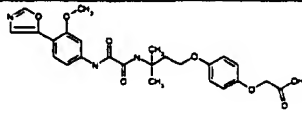
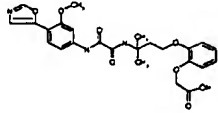
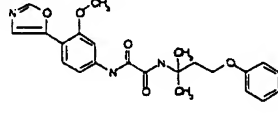
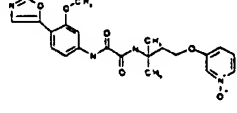
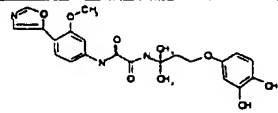
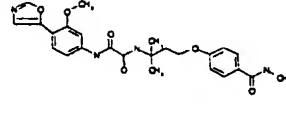
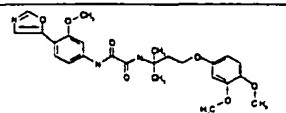
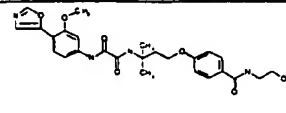
[0181] Examples 585, 588 and 589 were prepared from the compounds of examples 583, 587 and 586 respectively, by reacting the nitrile substituent with trimethylsilyl azide and dibutyl tin oxide according to the method of S.J. Witten-berger and B.G.J. Donner, J. Org. Chem., 1993, 58, 4139-4141.

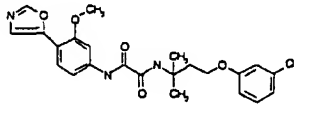
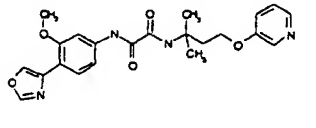
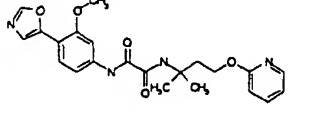
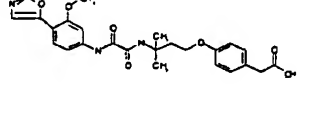
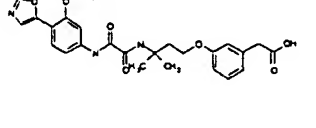
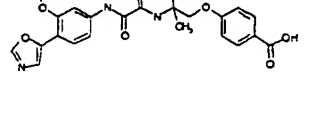
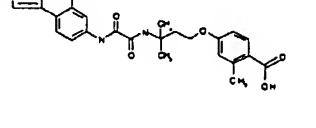
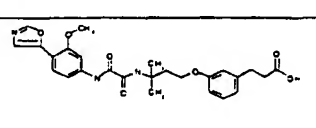
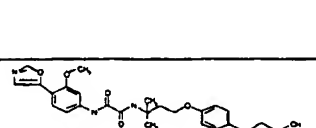
[0182] For examples in table 1f¹ containing unprotected hydroxyl or amino groups suitable protecting groups were used, such as benzyl for hydroxyl and benzyloxycarbonyl for amino or similar groups, hereinbefore mentioned and well known in the art.

table 1f¹

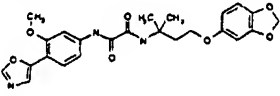
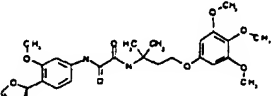
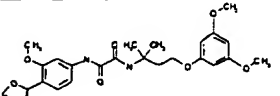
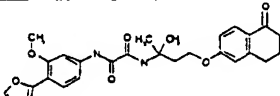
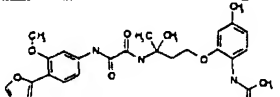
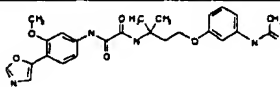
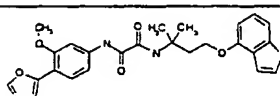
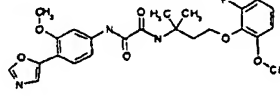
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-(4-Hydroxy-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		440	396
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(4-methoxyphenoxy)-1,1-dimethylpropyl]oxalamide		454	397
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide		469	398

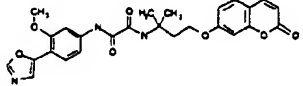
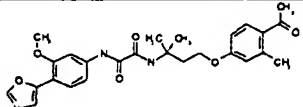
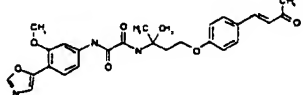
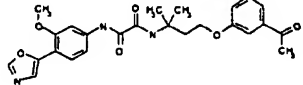
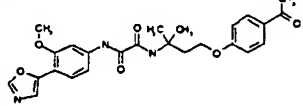
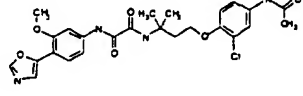
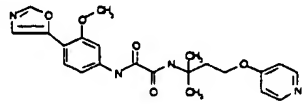
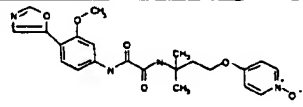
5	N-[3-(2-Hydroxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		440	399
10	N-[3-(4-Amino-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		439	400
15	N-[3-(4-Acetylamino-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide		481	401
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(3-pyridyloxy)propyl]oxalamide		425	402
25	N-[3-(3-Hydroxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		440	403
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3-methoxyphenoxy)-1,1-dimethylpropyl]oxalamide		454	404
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(3-nitrophenoxy)propyl]oxalamide		469	405
40	N-[3-(3-Aminophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		439	406
45	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		468	433
50	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-		468	434
55				

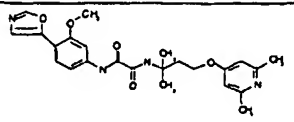
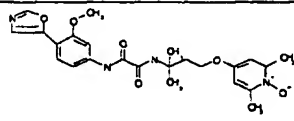
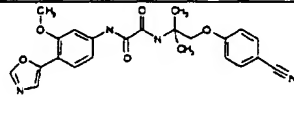
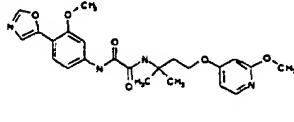
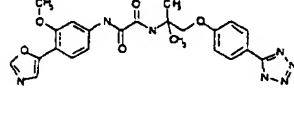
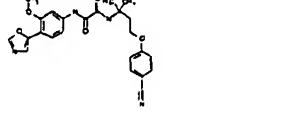
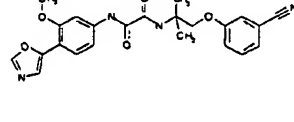
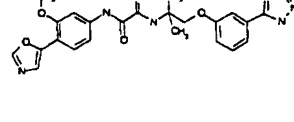
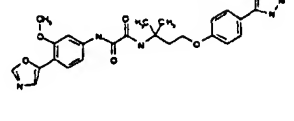
5	methylbutoxy]benzoic acid			
10	3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		468	435
15	2-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid		498	436
20	2-[2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid		498	437
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1-dimethyl-3-phenoxypropyl)oxalamide		424	542
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1-dimethyl-3-(1-oxido-3-pyridyloxy)propyl)oxalamide		441	543
35	N-[3-(3,4-Dihydroxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		456	544
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1-dimethyl-3-[4-(methylcarbamoyl)phenoxy]propyl)oxalamide		481	545
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3,4-dimethoxyphenoxy)-1,1-dimethylpropyl]oxalamide		484	546
50	N-[3-[4-[(2-Hydroxyethyl)carbamoyl]phenoxy]-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-		511	547
55				

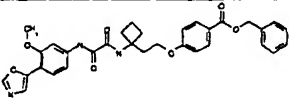
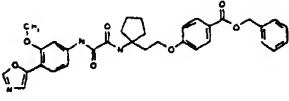
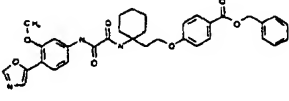
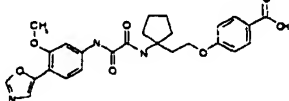
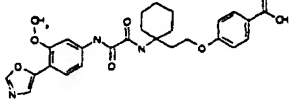
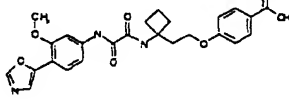
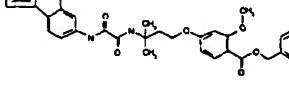
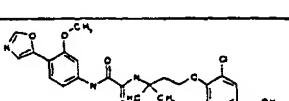
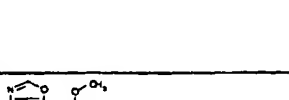
oxazolyl)phenyl]oxalamide			
N-[3-(3-Chlorophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		458	548
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(3-pyridyloxy)propyl]oxalamide		425	549
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-pyridyloxy)propyl]oxalamide		425	550
2-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]acetic acid		482	551
2-[3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]acetic acid		482	552
4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropoxy]benzoic acid		454	553
4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-methylbenzoic acid		482	554
3-[3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]propionic acid		496	555
3-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]propionic acid		496	556

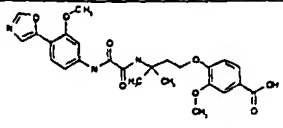
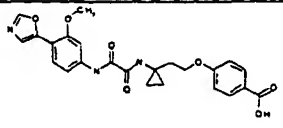
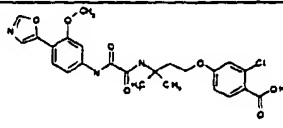
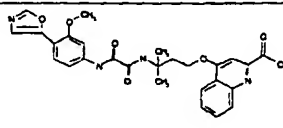
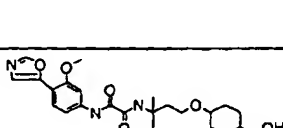
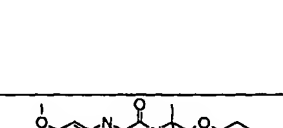

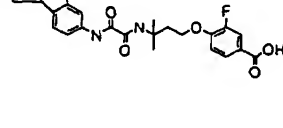
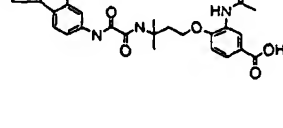
acid			
3-[2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]propionic acid		496	557
2-[3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid		498	558
4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-3-methylbenzoic acid		482	559
N-[3-(4-Cyano-2-methoxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		479	560
N-[3-(3-Cyanophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		449.6	561
N-[3-[4-(4-Acetyl-1-piperazinyl)phenoxy]-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		550.4	562
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-morpholinophenoxy)propyl]oxalamide		531.4 (M + Na) ⁺	563
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[3-(dimethylamino)phenoxy]propyl]oxalamide		489.6 (M + Na) ⁺	564

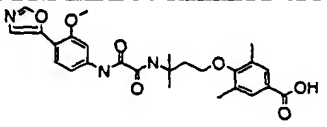
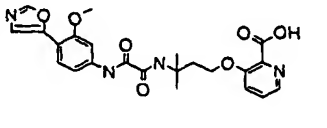
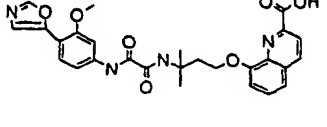
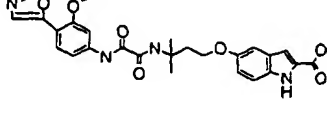
	propyl]oxalamide			
5				
10	N-[3-(1,3-Benzodioxol-5-yloxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		468.4	565
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3,4,5-trimethoxyphenoxy)-1,1-dimethylpropyl]oxalamide		514.4	566
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3,5-dimethoxyphenoxy)-1,1-dimethylpropyl]oxalamide		506 (M + Na) ⁺	567
25				
30	N-[3-(5,6,7,8-Tetrahydro-5-oxo-2-naphthyloxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		492.4	568
35	N-[3-(2-Acetamido-5-methylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		517.6 (M + Na) ⁺	569
40	N-[3-(3-Acetamidophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		503.6 (M + Na) ⁺	570
45				
50	N-[3-(1H-Indol-4-yloxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		485.2 (M + Na) ⁺	571
55	N-[3-(2-Fluoro-6-methoxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-		472.2	572

	(5-oxazolyl)phenyl]oxalamide		
5			
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-oxo-2H-1-benzopyran-7-yloxy)propyl]oxalamide		492.4 573
15	N-[3-(4-Acetyl-3-methylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		480.2 574
20	(E)-N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[4-(3-oxo-1-butenyl)phenoxy]propyl]oxalamide		492.4 575
25			
30	N-[3-(3-Acetylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		466.4 576
35	N-[3-(4-Acetylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		466.2 577
40	N-[3-(4-Acetamido-2-chlorophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		515.6 578
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-pyridyloxy)propyl]oxalamide		425 579
50	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(1-oxido-4-pyridyloxy)propyl]oxalamide		441 580
55			

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2,6-dimethyl-4-pyridyloxy)propyl]oxalamide		453	581
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2,6-dimethyl-1-oxido-4-pyridyloxy)propyl]oxalamide		469	582
15	N-[2-(4-Cyanophenoxy)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		435	583
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(2-methoxy-4-pyridyloxy)-1,1-dimethylpropyl]oxalamide		455	584
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(1H-tetrazol-5-yl)phenoxy]ethyl]oxalamide		478	585
30	N-[3-(4-Cyanophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		449	586
35	N-[2-(3-Cyanophenoxy)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		476	587
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[3-(1H-tetrazol-5-yl)phenoxy]ethyl]oxalamide		478	588
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[4-(1H-tetrazol-5-yl)phenoxy]propyl]oxalamide		492	589

oxalamide			
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclobutyl]ethoxy]benzoate		570.2	590
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoate		584.3	591
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoate		598.3	592
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoic acid		494.2	593
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoic acid		508.2	594
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclobutyl]ethoxy]benzoic acid		480.2	595
Benzyl 2-methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoate		588	635
3-Chloro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		502	636
2-Methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		498	637

5	3-Methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		498	638
10	4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopropyl]ethoxy]benzoic acid		466	639
15	2-Chloro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		502	640
20	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-quinolinecarboxylic acid		519	641
25	(cis/trans)-4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-1-cyclohexanecarboxylic acid		474	642
30	(cis/trans)-4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropoxy]-1-cyclohexanecarboxylic acid		460	643
35	3-Fluoro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		486	644
40	3-Acetamido-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		525	645
45	3-(Methanesulfonamido)-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid		561	646

5	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-3,5-dimethylbenzoic acid		496	647
10	3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-pyridinecarboxylic acid		469	648
15	8-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-quinolinecarboxylic acid		519	649
20	5-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-indolecarboxylic acid		507	650
25				
30				

Examples 615-631 and 664-670

Example 615

[0183] N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl] oxalamide.

(i) A mixture of 2g (17.7 mmol) of 2,4,4-trimethyl-2-oxazoline and 1.95 g (17.7 mmol) of thiophenol were heated at 120°C for 18 hours. After cooling the resulting solid was triturated with diethyl ether/petrol (1:2) and filtered off to give 2.55 g of N-[1,1-dimethyl-2-(phenylthio)ethyl]acetamide as a white solid.

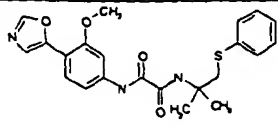
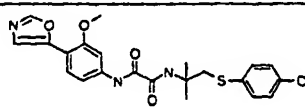
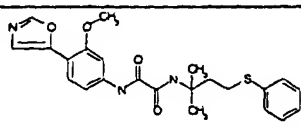
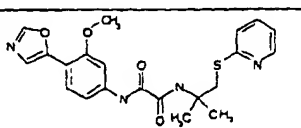
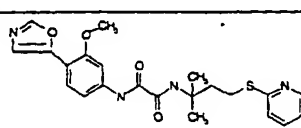
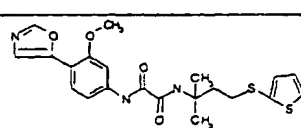
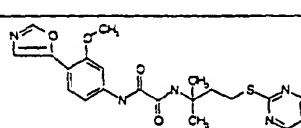
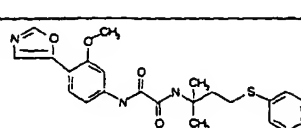
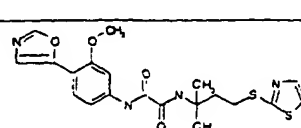
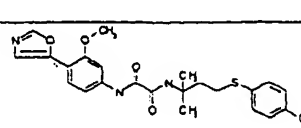
(ii) A solution of 2.5 g (11.2 mmol) of N-[1,1-dimethyl-2-(phenylthio)ethyl]acetamide, 3.18 g (11.2 mmol) of titanium isopropoxide and 3.09 g (16.8 mmol) of diphenylsilane in 12 ml of tetrahydrofuran were stirred at room temperature for 18 hours. The resulting mixture was chromatographed on silica gel using 3%, 6% and 10% methanol in dichloromethane for the elution. There was obtained 2 g of 1,1-dimethyl-2-(phenylthio)ethylamine as a pale orange oil. The 1,1-dimethyl-2-(phenylthio)ethylamine was then coupled to N-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamic acid by a procedure analogous to that described in example 1 to afford N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide. MS: m/e 426 [M+H]⁺.

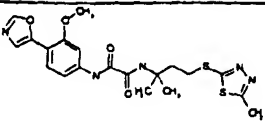
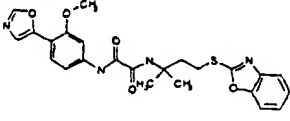
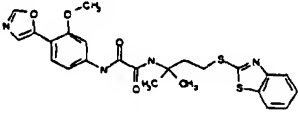
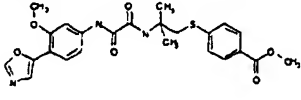
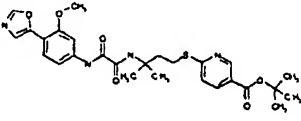
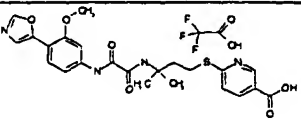
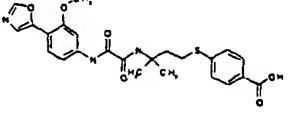
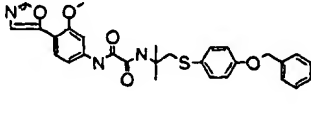
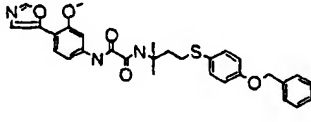
[0184] Example 616 was prepared by an analogous method to that described for example 615 but using 4-benzyloxythiophenol in place of the thiophenol and removing the protecting group using a mixture of hydrogen bromide in acetic acid.

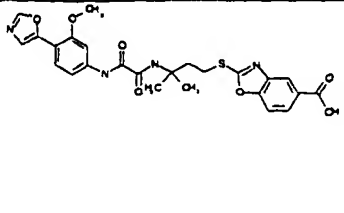
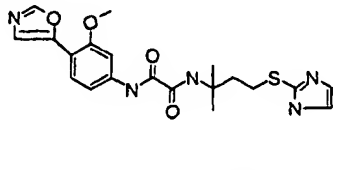
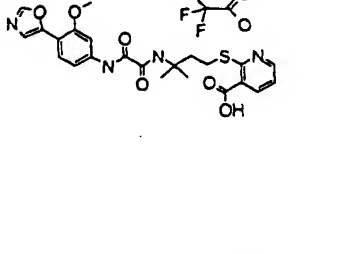
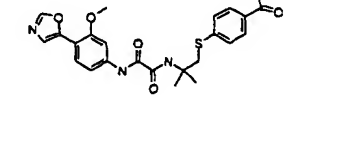
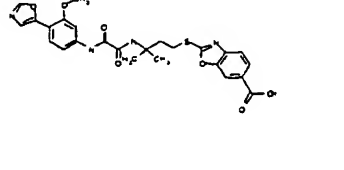
[0185] The additional compounds in table 1f² were prepared in an analogous manner to that described for example 615 by reaction of the appropriate thiol with either 2,4,4-trimethyl-2-oxazoline or 2,4,4-trimethyl-5,6-dihydro-1,3(4H)oxazine and, where necessary, removal of any protecting groups by conventional methods.

table 1f²

Name	Structure	MS(ES) (M+H) ⁺	Ex No
------	-----------	------------------------------	-------

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide		426	615
10	N-[2-(4-Hydroxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		442	616
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide		440	617
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-pyridylthio)ethyl]oxalamide		427	618
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-pyridylthio)propyl]oxalamide		441	619
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-thienylthio)propyl]oxalamide		446	620
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-pyrimidylthio)propyl]oxalamide		442	621
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-pyridylthio)propyl]oxalamide		441	622
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-thiazolylthio)propyl]oxalamide		447	623
50	N-[3-(4-Hydroxyphenylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		456	624

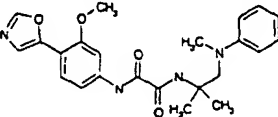
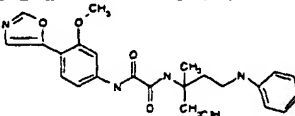
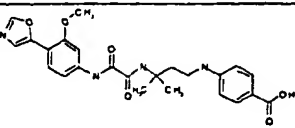
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(5-methyl-1,3,4-thiadiazol-2-ylthio)propyl]oxalamide		462	625
10	N-[3-(2-Benzooxazolylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		481	626
15	N-[3-(2-Benzothiazolylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		497	627
20	Methyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropylthio]benzoate		484	628
25	tert-Butyl 6-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylate		541	629
30	6-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylic acid trifluoroacetate (1:1)		485	630
35	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]benzoic acid		484	631
40	N-[2-(4-Benzyloxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		532	664
45	N-[2-(4-Benzyloxyphenylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		546	665

5	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-5-benzoxazolecarboxylic acid		525	666
10	N-[3-(1H-Imidazol-2-ylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		430	667
15	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylic acid		485	668
20	trifluoroacetate (1:1)			
25	4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropylthio]benzoic acid		470	669
30	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-6-benzoxazolecarboxylic acid		525	670
35				

Examples 632-634

[0186] The compounds in table 1f³ were prepared in an analogous manner to that described for example 398 in table 1f¹ by replacing the 4-nitrophenol with the appropriate aniline and reaction with either 2,4,4-trimethyl-2-oxazoline or 2,4,4-trimethyl-5,6-dihydro-1,3(4H)oxazine and, where necessary, removal of any protecting groups by conventional methods.

table 1f³

Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(N-methylanilino) ethyl] oxalamide		423	632
N-(3-Anilino-1,1-dimethylpropyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide hydrochloride (1:1)		423	633
4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylamino]benzoic acid		467	634

Examples 407-414; 459-541 and 651-652

[0187] Typical methods used for the preparation of the compounds of table 1g are described below:

Example 408.

[0188] N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl] oxalamide.

(i) A stirred solution of 3.23 g (16.8 mmol) of 1-(4-methoxyphenyl)piperazine, 2.00 g (16.8 mmol) of 2-methyl-2-nitropropan-1-ol and 5.34 g (50.4 mmol) of sodium carbonate in 40ml of n-butanol was refluxed for 16h. The reaction mixture was allowed to cool and diluted with 100ml of dichloromethane. The solution was filtered and concentrated in vacuo. The residue was purified by flash chromatography on silica gel using petroleum ether/ethyl acetate (10:1) for the elution to afford 1.86 g (6.34 mmol, 38%) of 1-(4-methoxyphenyl)-4-(2-methyl-2-nitropropyl) piperazine as a white solid.

(ii) A solution of 1.86 g (6.34 mmol) of 1-(4-methoxyphenyl)-4-(2-methyl-2-nitropropyl)piperazine and 0.5 g of palladium on activated charcoal in 50 ml of ethanol was stirred at room temperature under an atmosphere of hydrogen for 48h. The reaction mixture was filtered and the filtrate concentrated in vacuo to afford 1.59 g (6.04 g mmol, 95%) of 2-[4-(4-methoxyphenyl)-piperazin-1-yl]-1,1-dimethylethylamine as a clear oil. The 2-[4-(4-methoxyphenyl)-piperazin-1-yl]-1,1-dimethylethylamine was then coupled to N-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamic acid by a procedure analogous to that described in example 1 to afford N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide as a white solid. MS: m/e 508 [M+H]⁺.

[0189] Examples 407, 409, 410, 411, 412 and similar structures were prepared by an analogous procedure by replacing the 1-(4-methoxyphenyl)piperazine with the appropriately substituted piperazine.

[0190] Examples 413 and 414 were prepared by an analogous procedure by replacing the 1-(4-methoxyphenyl)

piperazine with t-butyl-1-piperazinecarboxylate to give 4-(2-amino-2-methylpropyl)piperazine-1-carboxylic acid t-butyl ester which was then coupled to N-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamic acid. The resulting product could then be deprotected to give N- [3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-piperazinyl)ethyl]oxalamide that could be used for the preparation of examples 413,414 and a variety of additional N-acyl and N-sulfonyl derivatives, such as those shown in table 1g, by using the appropriate acylating or sulfonylating reagent.

Example 489.

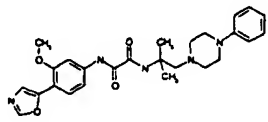
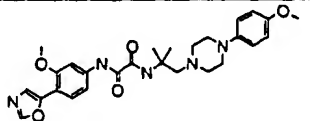
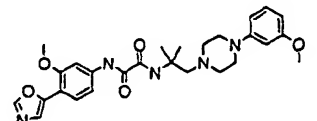
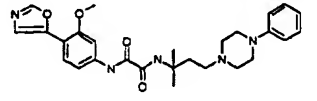
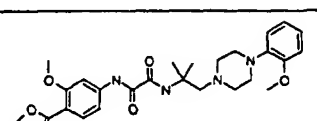
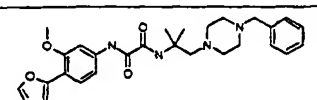
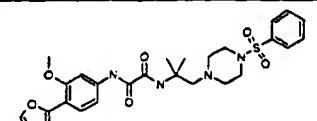
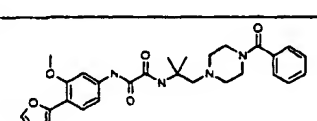
[0191] N-[2-[4-(Cyclohexylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide.

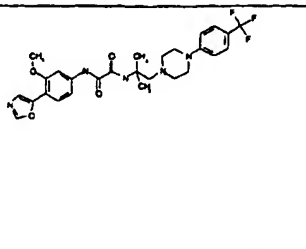
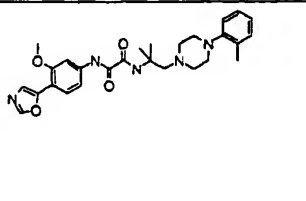
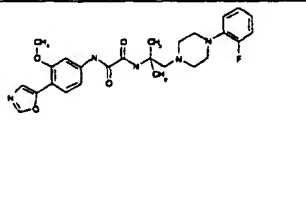
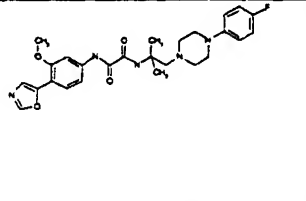
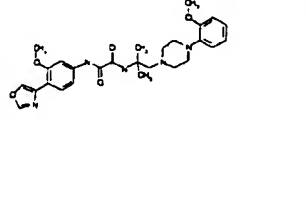
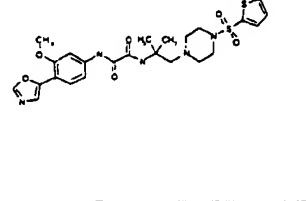
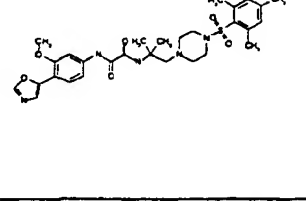
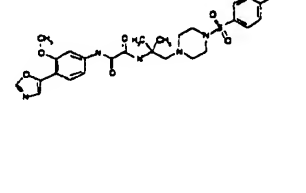
[0192] A stirred solution of 48mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-piperazinyl)ethyl] oxalamide (1.2mmol) and 13mg of cyclohexanecarboxaldehyde (1.2mmol) in 1ml of a 5% acetic acid / dichloromethane mixture was treated with a solution of 38mg of sodium triacetoxymethylborohydride (1.8mmol) in 1ml of a 5% acetic acid / dichloromethane mixture. After stirring overnight at room temperature the reaction mixture was diluted with 10ml of dichloromethane and washed with 8ml of a sodium bicarbonate solution followed by 8ml of water. The organic layer was then evaporated and purified using flash chromatography on a silica gel column eluting with 5% methanol / dichloromethane to give after evaporation of the fractions 14.3mg (0.3 mmol, 25%) of N-[2-[4-(cyclohexylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide in the form of a white solid. MS: m/e 498.2 [M+H]⁺.

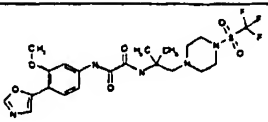
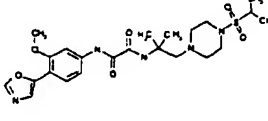
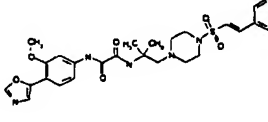
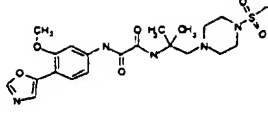
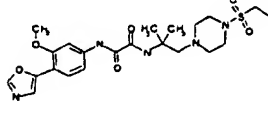
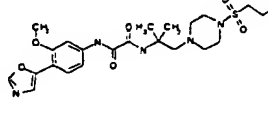
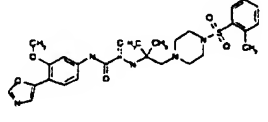
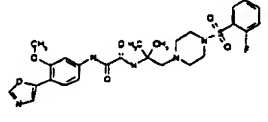
[0193] Additional N-alkylated compounds shown in table 1g were prepared by analogous methods.

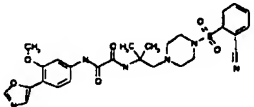
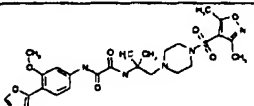
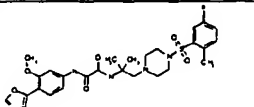
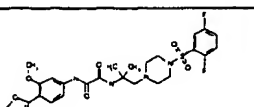
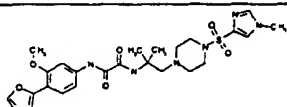
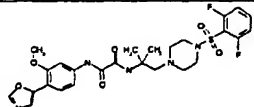
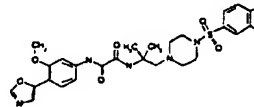
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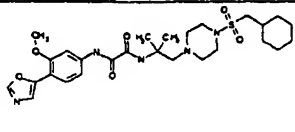
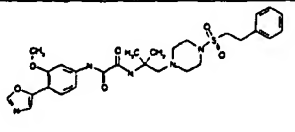
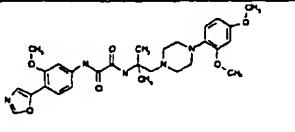
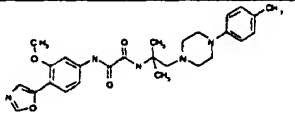
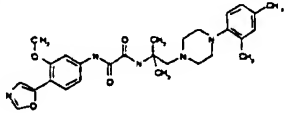
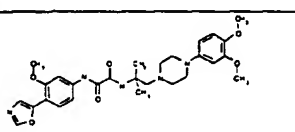
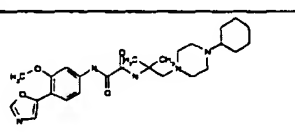
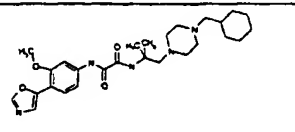
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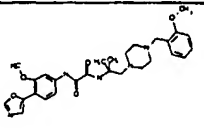
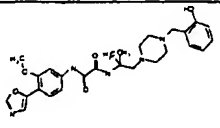
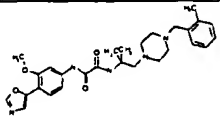
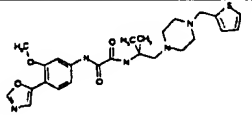
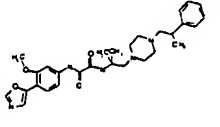
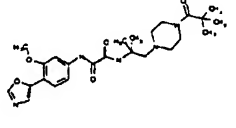
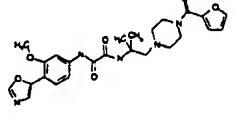
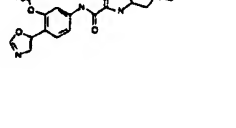
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-phenyl-1-piperazinyl)ethyl]oxalamide		478	407
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508	408
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508	409
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-phenyl-1-piperazinyl)propyl]oxalamide		492	410
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2-methoxy-phenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508	411
30	N-[2-(4-Benzyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		492	412
35	N-[2-[4-(Benzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		452	413
40	N-[2-(4-Benzoyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		506	414
45				
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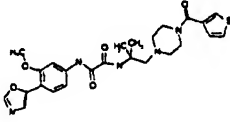
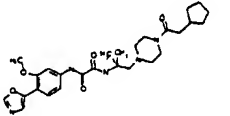
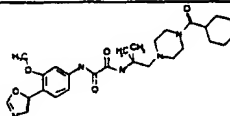
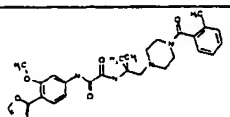
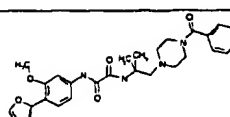
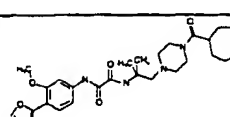
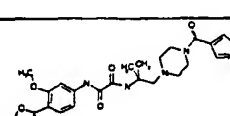
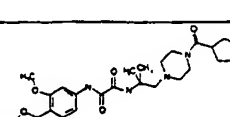
5	N-[2-[4-[4-(Trifluoromethyl)phenyl]-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		546	459
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl]oxalamide		492	460
15	N-[2-[4-(2-Fluorophenyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		496	461
20	N-[2-[4-(4-Fluorophenyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		496	462
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508	463
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thiophenesulfonyl)-1-piperazinyl]ethyl]oxalamide		548	464
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,4,6-trimethylbenzenesulfonyl)-1-piperazinyl]ethyl]oxalamide		584.1	465
40	N-[2-[4-(4-Fluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		560.1	466
45				
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55				

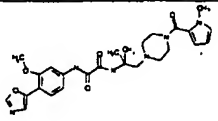
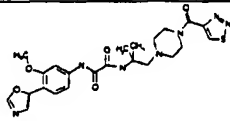
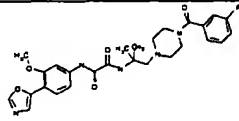
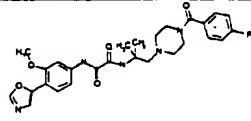
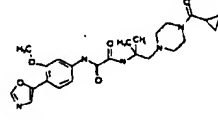
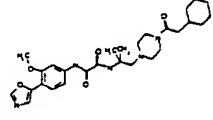
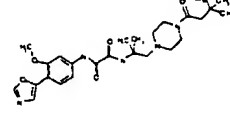
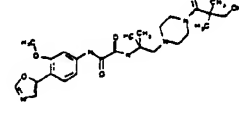
5	N-[2-[4-(Trifluoromethanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		534	467
10	N-[2-[4-(Isopropylsulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		508.1	468
15	(E)-N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(styrylsulfonyl)-1-piperazinyl]ethyl]oxalamide		568.1	469
20	N-[2-[4-(Ethanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		494.1	470
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(propanesulfonyl)-1-piperazinyl]ethyl]oxalamide		508.1	471
30	N-[2-[4-(3-Chloropropanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		542.1	472
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(o-toluenesulfonyl)-1-piperazinyl]ethyl]oxalamide		556.1	473
40	N-[2-[4-(2-Fluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		560.1	474
45				
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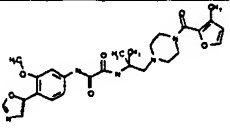
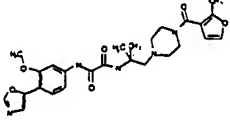
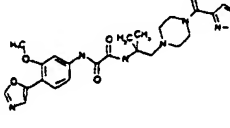
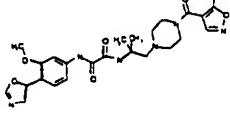
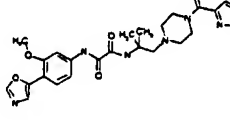
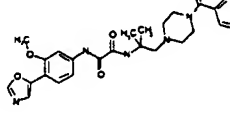
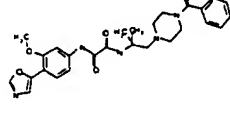
5	N-[2-[4-(2-Cyanobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		567.1	475
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,5-dimethyl-4-isoxazolylsulfonyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		561.1	476
15	N-[2-[4-(5-Fluoro-2-methylbenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		574.1	477
20	N-[2-[4-(2,5-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		578.1	478
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(1-methyl-1H-imidazole-4-sulfonyl)-1-piperazinyl]ethyl]oxalamide		546.1	479
30	N-[2-[4-(2,6-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		578.1	480
35	N-[2-[4-(3,4-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		578.1	481

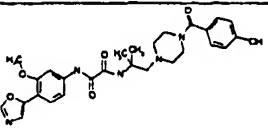
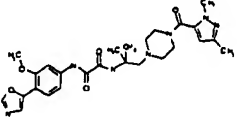
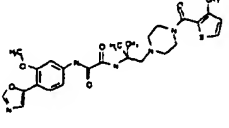
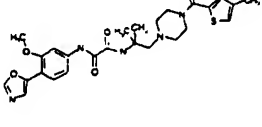
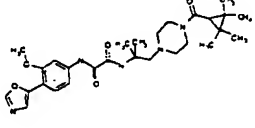
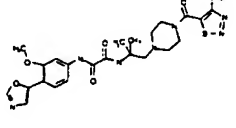
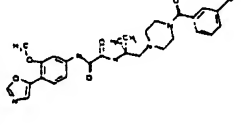
5	N-[2-[4-(Cyclohexylmethanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		562.2	482
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-phenylethanesulfonyl)-1-piperazinyl]ethyl]oxalamide		570.1	483
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2,4-dimethoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		538	484
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methylphenyl)-1-piperazinyl]ethyl]oxalamide		492	485
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,4-dimethylphenyl)-1-piperazinyl]ethyl]oxalamide		506	486
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		538	487
35	N-[2-(4-Cyclohexyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		484.4	488
40	N-[2-[4-(Cyclohexylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-		498.2	489

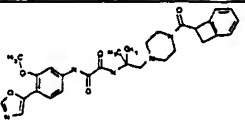
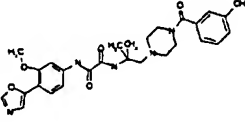
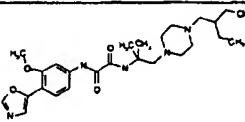
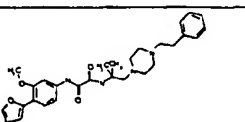
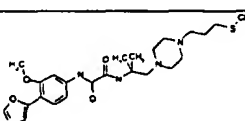
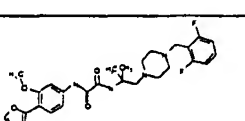
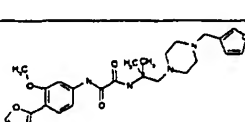
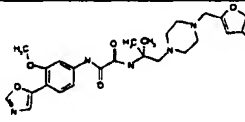
	oxazolyl)phenyl]oxalamide			
5	N-[2-[4-(2-Methoxybenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		522.1	490
10	N-[2-[4-(2-Hydroxybenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		508.1	491
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylbenzyl)-1-piperazinyl]ethyl]oxalamide		506.1	492
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thenyl)-1-piperazinyl]ethyl]oxalamide		498.1	493
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2(RS)-phenylpropyl)-1-piperazinyl]ethyl]oxalamide		520.2	494
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-pivaloyl-1-piperazinyl)ethyl]oxalamide		486.1	495
35	N-[2-[4-(2-Furoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		496.1	496
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thenoyl)-1-piperazinyl]ethyl]oxalamide		512.1	497
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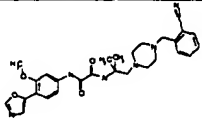
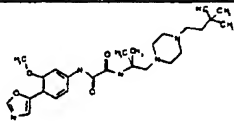
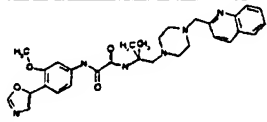
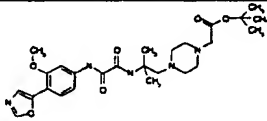
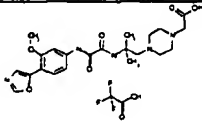
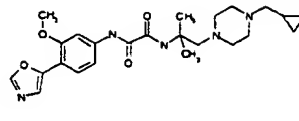
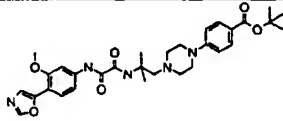
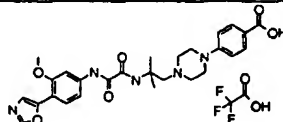
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3-thenoyl)-1-piperazinyl]ethyl]oxalamide		512	498
10	N-[2-[4-(2-Cyclopentylacetyl)-1-piperazinyl]-1,1-dimethyl-ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		512.1	499
15	N-[2-[4-(Cyclohexylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		512.1	500
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylbenzoyl)-1-piperazinyl]ethyl]oxalamide		520.1	501
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methylbenzoyl)-1-piperazinyl]ethyl]oxalamide		520.1	502
30	N-[2-[4-(Cycloheptylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		526.2	503
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(1H-pyrazol-4-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		496.1	504
40	N-[2-[4-(Cyclopentylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		498.1	505
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5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-Dimethyl-2-[4-[(1-methyl-1H-pyrrol-2-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		509.1	506
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(1,2,3-thiadiazol-4-yl)carbonyl]-1-piperazinyl]-ethyl]oxalamide		514.1	507
15	N-[2-[4-(3-Fluorobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		524.1	508
20	N-[2-[4-(4-Fluorobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		524.1	509
25	N-[2-[4-(Cyclopropylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		470.1	510
30	N-[2-[4-(2-Cyclohexylacetyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		526.2	511
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,3-dimethylbutyryl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		500.2	512
40	N-[2-[4-(3-Hydroxy-2,2-dimethylpropionyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		502.1	513
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5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3-methyl-2-furoyl)-1-piperazinyl]ethyl]oxalamide		510.1	514
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methyl-3-furoyl)-1-piperazinyl]ethyl]oxalamide		510.1	515
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		510.1	516
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-4-isoxazolyl)carbonyl]-1-piperazinyl]ethyl]oxalamide		511.1	517
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-3-isoxazolyl)carbonyl]-1-piperazinyl]ethyl]oxalamide		511.1	518
30	N-[2-[4-(4-Aminobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		521.1	519
35	N-[2-[4-(2-Hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		522.1	520
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5	N-[2-[4-(4-Hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		522.1	521
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2,5-dimethyl-2H-pyrazol-3-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		524.1	522
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3-methyl-2-thenoyl)-1-piperazinyl]ethyl]oxalamide		526.1	523
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methyl-2-thenoyl)-1-piperazinyl]ethyl]oxalamide		526.1	524
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2,2,3,3-tetramethyl-1-cyclopropyl)carbonyl]-1-piperazinyl]ethyl]oxalamide		526.2	525
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(4-methyl-1,2,3-thiadiazol-5-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide		528.1	526
35	N-[2-[4-(3-Cyanobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		531.1	527

5	N-[2-[4-[(Bicyclo[4.2.0]octa-1(6),2,4-trien-7-yl)carbonyl]-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		532.1	528
10	N-[2-[4-(3-Hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		522.1	529
15	N-[2-[4-(2-Ethylbutyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		486.1	530
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]oxalamide		506.2	531
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[3-(methylthio)propyl]-1-piperazinyl]ethyl]oxalamide		490.1	532
30	N-[2-[4-(2,6-Difluorobenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		528.1	533
35	N-[2-[4-(3-Furfuryl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		482.1	534
40	N-[2-[4-[(2-Benzofuranyl)methyl]-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		532.1	535
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55				

5	N-[2-[4-(2-Cyanobenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		517.1	536
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,3-dimethylbutyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		486.2	537
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-quinolinyl)methyl]-1-piperazinyl]ethyl]oxalamide		543.2	538
20	tert-Butyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazineacetate		516	539
25	4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazineacetic acid trifluoroacetate (1:1)		460	540
30	N-[2-[4-(Cyclopropylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		456	541
35	tert-Butyl 4-[4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazinyl]benzoate		578	651
40	4-[4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazinyl]benzoic acid trifluoroacetate (1:1)		522	652
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Examples 415-420:

[0194] In a manner analogous to that described in Example 4 starting with N-[3-(aminomethylphenyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide and the appropriate carboxylic acid chloride compounds shown in table 1h were prepared.

table 1h

Name	Structure	ME(ES) (M+H) ⁺	Ex No
Phenyl [3-[[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl] carbamate		487	415
N-[3-[(3-Fluorobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		489	416
N-[3-[(3-Chlorobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		505	417
N-[3-[(3-Methoxybenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		501.2	418
N-[3-[(3,4-Dimethoxybenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		531.2	419
N-[3-[(3-Cyanobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		496.1	420

oxazolyl)phenyl]oxalamide			
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Examples 421-427 and 598-614:

[0195] Typical methods used for the preparation of the compounds of table 1b are described below:

[0196] Examples 421 and 423 were prepared by reaction of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-piperidinyl)ethyl]oxalamide with the appropriate acylating reagent.

[0197] Example 424 was prepared in a manner analogous to that described in Example 1, starting with N-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamic acid, prepared as described in Example 1, parts (i) and (ii), and the appropriate amine.

Example 422

[0198] N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-1,1-dimethyl-2-(phenylthio)ethyl]oxalamide.

(i) A mixture of 2g (17.7 mmol) of 2,4,4-trimethyl-2-oxazoline and 1.95 g (17.7 mmol) of thiophenol were heated at 120°C for 18 hours. After cooling the resulting solid was triturated with diethyl ether/petrol (1:2) and filtered off to give 2.55 g of N-[1,1-dimethyl-2-(phenylthio)ethyl]acetamide as a white solid.

(ii) A solution of 2.5 g (11.2 mmol) of N-[1,1-dimethyl-2-(phenylthio)ethyl]acetamide, 3.18 g (11.2 mmol) of titanium isopropoxide and 3.09 g (16.8 mmol) of diphenylsilane in 12 ml of tetrahydrofuran were stirred at room temperature for 18 hours. The resulting mixture was chromatographed on silica gel using 3%, 6% and 10% methanol in dichloromethane for the elution. There was obtained 2 g of 1,1-dimethyl-2-(phenylthio)ethylamine as a pale orange oil. The 1,1-dimethyl-2-(phenylthio)ethylamine was then coupled to N-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamic acid by a procedure analogous to that described in example 1 to afford N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide. MS: m/e 426 [M+H]⁺.

[0199] Example 427 was prepared by an analogous method to that described for example 422 but using 4-benzyloxythiophenol in place of the thiophenol and removing the protecting' group using a mixture of hydrogen bromide in acetic acid.

[0200] Example 607 was prepared starting from benzofuran-3-acetic ethyl ester by alkylation iodomethane using potassium tertiary butoxide as base followed by alkaline hydrolysis, Curtius reaction, hydrolysis in ethylene glycol and water at 180°C. The resulting amine was then coupled to N-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamic acid as described in Example 1.

[0201] Example 426 was prepared in a manner analogous to that described for example 408 in table 1g using tetrahydro quinoline in place of 1-(4-methoxyphenyl)piperazine.

Example 610

N-[2-[1-(Methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide

[0202] 14 mg (0.12 mmol) of methanesulphonyl chloride were added to a solution of 40 mg (0.1 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-piperidinyl)ethyl]oxalamide in 1 ml of dichloromethane followed by 17 mg (0.15 mmol) of N-ethylmorpholine and the mixture stirred at room temperature for 4 hours. The resulting solution was diluted with ethyl acetate, washed with 2M hydrochloric acid and saturated sodium bicarbonate solution, dried over magnesium sulphate, evaporated to dryness and the residue triturated with diethyl ether. There was obtained 23 mg of N-[2-[1-(methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as an off-white solid. MS m/e 479 [M+H]⁺.

[0203] The starting material was prepared as follows:

i) A solution of 4.65 g (31 mmol) of alpha, alpha-dimethyl-4-pyridineethylamine, 15.6 g (0.154 mol) of triethylamine and 13.5g (61.9 mmol) of di-tert-butyl dicarbonate in 100 ml of methanol was stirred at room temperature for 2 days then evaporated to dryness. The residue was dissolved in ethyl acetate, washed with water, dried over mag-

nesium sulphate, evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (2:1) for the elution. There was obtained 2.12 g of tert-butyl [1,1-dimethyl-2-(4-pyridyl)ethyl]carbamate as a pale orange solid. ^1H NMR (400 MHz CDCl_3) δ : 1.29 (6H,s), 1.49 (9H,s), 3.04 (2H,s), 4.30 (1H, br.s), 7.10 (2H,d), 8.52 (2H,d).

ii) 2.1 g (8.4 mmol) of tert-butyl [1,1-dimethyl-2-(4-pyridyl)ethyl]carbamate, in 20 ml of methanol were hydrogenated with 400 mg of 10% palladium on carbon catalyst at 70°C and 7 Bar for 6 days. The resulting suspension was filtered, evaporated to dryness and the residue triturated with diethyl ether/petrol (1:9) to give 1.2 g of tert-butyl [1,1-dimethyl-2-(4-piperidinyl)ethyl]carbamate as a white solid. ^1H NMR (400 MHz DMSO) δ : 1.18 (6H,s), 1.28-1.41 (2H,m), 1.37 (9H,s), 1.52-1.69 (3H,m), 1.75-1.83 (2H,d), 2.74-2.84 (2H,t), 3.12-3.21 (2H,d), 6.40-6.48 (1H,br.s), 8.60-8.95 (1H,br.s).

iii) A solution of 1.2 g (4.68 mmol) of tert-butyl [1,1-dimethyl-2-(4-piperidinyl)ethyl]carbamate, 945 mg (9.36 mmol) of triethylamine and 2.33 g (9.36 mmol) of N-(benzyloxycarbonyloxy)succinimide in 20 ml of dichloromethane was stirred at room temperature for 18 hours then washed with 10% citric acid solution and saturated sodium bicarbonate solution. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (1:2) for the elution. There was obtained 1.89 g of benzyl 4-[2-(tert-butoxyformamido)-2-methylpropyl]-1-piperidinecarboxylate. ^1H NMR (400 MHz CDCl_3) δ : 1.15-1.32 (2H,m), 1.29 (6H,s), 1.42 (9H,s), 1.49-1.78 (5H,m), 2.75-2.90 (2H,m), 4.05-4.16 (2H,m), 4.41 (1H,br.s), 5.12 (2H,s), 7.27-7.42 (5H,m).

iv) A solution of 1.79 g (4.6 mmol) of benzyl 4-[2-(tert-butoxyformamido)-2-methylpropyl]-1-piperidinecarboxylate in 6 ml of trifluoroacetic acid/dichloromethane (1:1) was stirred at room temperature for 5 minutes then evaporated to dryness. The residue was dissolved in 20 ml of dichloromethane along with 1.2 g (4.58 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, 1.1 g (5.74 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 1.32g (11.5 mmol) of N-ethylmorpholine and 1.1 g (6.9mmol) of 1-hydroxy-7-azabenzotriazole. After stirring overnight the solution was diluted with ethyl acetate, washed with 10% citric acid solution and saturated sodium bicarbonate solution, dried over magnesium sulphate evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (1:1) for the elution. There was obtained 1.14 g of benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl]-1-piperidinecarboxylate as a white foam. MS: m/e 535 $[\text{M}+\text{H}]^+$.

v) A solution of 1.1 g (2.05 mmol) of benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl]-1-piperidinecarboxylate in 25 ml of methanol was hydrogenated with 100 mg of 10% palladium on carbon catalyst for 4 hours. The resulting suspension was filtered and evaporated to dryness to give 732 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-piperidinyl)ethyl]oxalamide as an off-white solid. MS: m/e 401 $[\text{M}+\text{H}]^+$.

[0204] Example 616 was prepared starting from benzofuran-3-acetic ethyl ester by alkylation iodomethane using potassium tertiary butoxide as base followed by alkaline hydrolysis, Curtius reaction, hydrolysis in ethylene glycol and water at 180°C. The resulting amine was then coupled to N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid as described in Example 1.

Example 619

N-[2-[1-(Methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide

[0205] 14 mg (0.12 mmol) of methanesulphonyl chloride were added to a solution of 40 mg (0.1 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-piperidinyl)ethyl] oxalamide in 1 ml of dichloromethane followed by 17 mg (0.15 mmol) of N-ethylmorpholine and the mixture stirred at room temperature for 4 hours. The resulting solution was diluted with ethyl acetate, washed with 2M hydrochloric acid and saturated sodium bicarbonate solution, dried over magnesium sulphate, evaporated to dryness and the residue triturated with diethyl ether. There was obtained 23 mg of N-[2-[1-(methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'- [3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as an off-white solid. MS m/e 479 $[\text{M}+\text{H}]^+$.

[0206] The starting material was prepared as follows:

i) A solution of 4.65 g (31 mmol) of alpha, alpha-dimethyl-4-pyridineethylamine, 15.6 g (0.154 mol) of triethylamine and 13.5g (61.9 mmol) of di-tert-butyl dicarbonate in 100 ml of methanol was stirred at room temperature for 2 days then evaporated to dryness. The residue was dissolved in ethyl acetate, washed with water, dried over magnesium sulphate, evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (2:1) for the

elution. There was obtained 2.12 g of tert-butyl [1,1-dimethyl-2-(4-pyridyl)ethyl]carbamate as a pale orange solid. ^1H NMR (400 MHz CDCl_3) δ : 1.29 (6H,s), 1.49 (9H,s), 3.04 (2H,s), 4.30 (1H, br.s), 7.10 (2H,d), 8.52 (2H,d).

ii) 2.1 g (8.4 mmol) of tert-butyl [1,1-dimethyl-2-(4-pyridyl)ethyl]carbamate, in 20 ml of methanol were hydrogenated with 400 mg of 10% palladium on carbon catalyst at 70°C and 7 Bar for 6 days. The resulting suspension was filtered, evaporated to dryness and the residue triturated with diethyl ether/petrol (1:9) to give 1.2 g of tert-butyl [1,1-dimethyl-2-(4-piperidiny)ethyl]carbamate as a white solid. ^1H NMR (400 MHz DMSO) δ : 1.18 (6H,s), 1.28-1.41 (2H,m), 1.37 (9H,s), 1.52-1.69 (3H,m), 1.75-1.83 (2H,d), 2.74-2.84 (2H,t), 3.12-3.21 (2H,d), 6.40-6.48 (1H,br.s), 8.60-8.95 (1H,br.s).

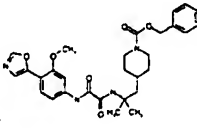
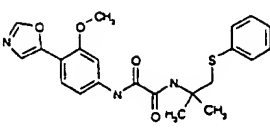
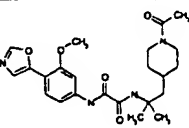
iii) A solution of 1.2 g (4.68 mmol) of tert-butyl [1,1-dimethyl-2-(4-piperidiny)ethyl]carbamate, 945 mg (9.36 mmol) of triethylamine and 2.33 g (9.36 mmol) of N-(benzyloxycarbonyloxy)succinimide in 20 ml of dichloromethane was stirred at room temperature for 18 hours then washed with 10% citric acid solution and saturated sodium bicarbonate solution. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (1:2) for the elution. There was obtained 1.89 g of benzyl 4-[2-(tert-butoxyformamido)-2-methylpropyl]-1-piperidinecarboxylate. ^1H NMR (400 MHz CDCl_3) δ : 1.15-1.32 (2H, m), 1.29 (6H,s), 1.42 (9H,s), 1.49-1.78 (5H,m), 2.75-2.90 (2H,m), 4.05-4.16 (2H,m), 4.41 (1H,br.s), 5.12 (2H,s), 7.27-7.42 (5H,m).

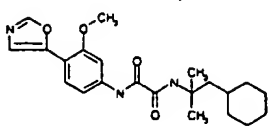
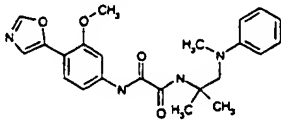
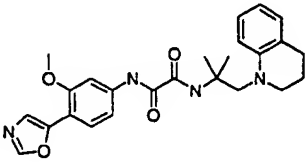
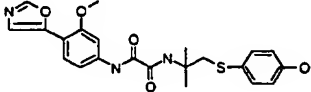
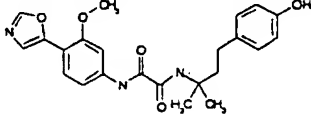
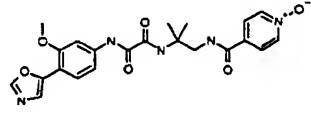
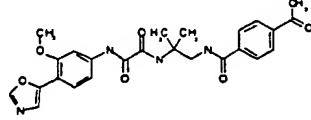
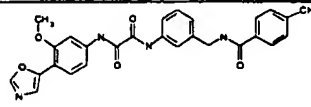
iv) A solution of 1.79 g (4.6 mmol) of benzyl 4-[2-(tert-butoxyformamido)-2-methylpropyl]-1-piperidinecarboxylate in 6 ml of trifluoroacetic acid/dichloromethane (1:1) was stirred at room temperature for 5 minutes then evaporated to dryness. The residue was dissolved in 20 ml of dichloromethane along with 1.2 g (4.58 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, 1.1 g (5.74 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 1.32g (11.5 mmol) of N-ethylmorpholine and 1.1 g (6.9mmol) of 1-hydroxy-7-azabenzotriazole. After stirring overnight the solution was diluted with ethyl acetate, washed with 10% citric acid solution and saturated sodium bicarbonate solution, dried over magnesium sulphate evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (1:1) for the elution. There was obtained 1.14 g of benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl]-1-piperidinecarboxylate as a white foam. MS: m/e 535 $[\text{M}+\text{H}]^+$.

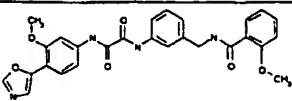
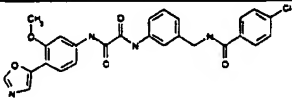
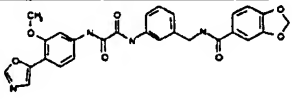
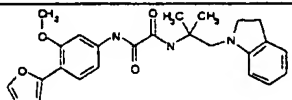
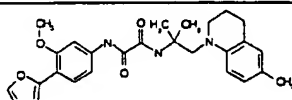
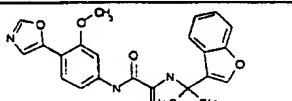
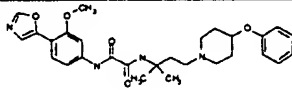
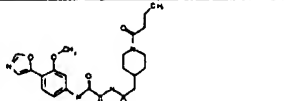
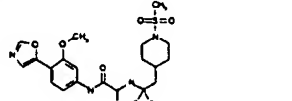
v) A solution of 1.1 g (2.05 mmol) of benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl]-1-piperidinecarboxylate in 25 ml of methanol was hydrogenated with 100 mg of 10% palladium on carbon catalyst for 4 hours. The resulting suspension was filtered and evaporated to dryness to give 732 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-piperidiny)ethyl] oxalamide as an off-white solid. MS: m/e 401 $[\text{M}+\text{H}]^+$.

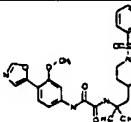
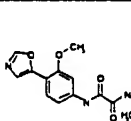
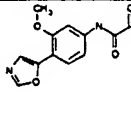
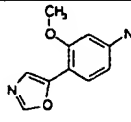
[0207] The remaining examples in table 1b were prepared by methods analogous to those described above, as appropriate to the structure, or by methods previously described for related structures.

table 1b

Name	Structure	MS(ES) (M+H) ⁺	Ex No
Benzyl 4-{2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl}-1-piperidinecarboxylate		535	421
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide		426	422
N-[2-(1-Acetyl-4-piperidiny)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		443	423

5	N-(2-Cyclohexyl-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		400	424
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(N-methylanilino)ethyl]oxalamide		423	425
15	N-[2-(1,2,3,4-Tetrahydro-1-quinolyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		449	426
20	N-[2-(4-Hydroxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		442	427
25	N-[3-(4-Hydroxyphenyl)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		424	598
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[(1-oxido-4-pyridyl)carboxamido]ethyl]oxalamide		454	599
35	N-[2-(4-Acetylbenzamido)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		479.1	600
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-[(4-methylbenzamido)methyl]phenyl]oxalamide		485.1	601
45				
50				
55				

5	N-[3-[(2-Methoxybenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		501.1	602
10	N-[3-[(4-Chlorobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		505.1	603
15	N-[3-[[[(1,3-Benzodioxol-5-yl)carboxamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		515.2	604
20	N-[2-(2,3-Dihydro-1-indolyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		435	605
25	N-[2-(3,4-Dihydro-6-methyl-2H-quinol-1-yl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		463	606
30	N-[1-(3-Benzofuranyl)-1-methylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		420	607
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-phenoxy-piperidino)propyl]oxalamide		507	608
40	N-[2-(1-Butyryl-4-piperidinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		471	609
45	N-[2-[1-(Methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)		479	610

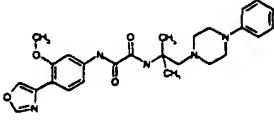
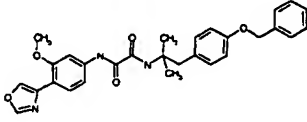
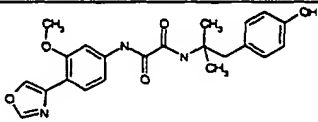
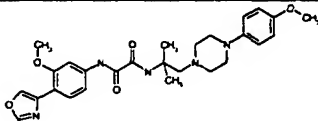
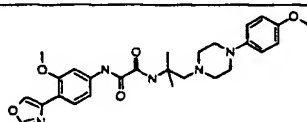
phenyl]oxalamide			
N-[2-[1-(Benzenesulfonyl)-4-piperidiny]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		541	611
N-[2-(1-Isobutyryl)-4-piperidiny]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		471	612
tert-Butyl 4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino] oxalyl]amino]-3-methylbutyl]-1-piperidinecarboxylate		515	613
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-piperidiny)propyl]oxalamide		415	614

Examples 428-432:

[0208] Examples 428, 431 and 432 of table li were prepared in a manner analogous to that described for example 408 in table 1g but using N-[3-methoxy-4-(4-oxazolyl)phenyl] oxalamic acid or N-[3-methoxy-4-(2-methyl-4-oxazolyl)phenyl] oxalamic acid in place of N-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamic acid for the coupling step.

[0209] Examples 429 and 430 of table 1i were prepared by analogous procedures to those described for the preparation of the compounds of table 1f.

table 1i

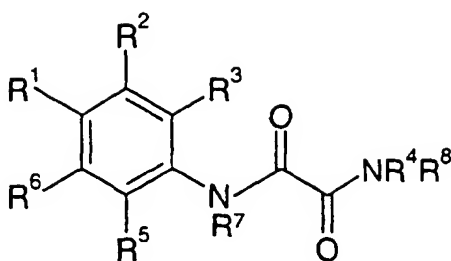
Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(4-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-phenyl-1-piperazinyl)ethyl]oxalamide		478	428
N-[2-(4-Benzyloxyphenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide		500	429
N-[2-(4-Hydroxyphenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide		410	430
N-[3-Methoxy-4-(4-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		508	431
N-[3-Methoxy-4-(2-methyl-4-oxazolyl)-phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		522.4	432

[0210] In the present specification "comprise" means "includes or consists of" and "comprising" means "including or consisting of".

[0211] The features disclosed in the foregoing description, or the following claims, or the accompanying drawings, expressed in their specific forms or in terms of a means for performing the disclosed function, or a method or process for attaining the disclosed result, as appropriate, may, separately, or in any combination of such features, be utilised for realising the invention in diverse forms thereof.

Claims

1. Compounds of the general formula



wherein

R¹ represents heterocyclyl;

R² represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, hydroxy or cyano;

R³ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁴ represents hydrogen, lower alkyl, lower cycloalkyl, aryl, or heterocyclyl;

R⁵ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

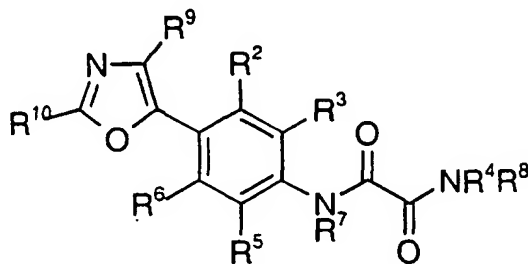
R⁶ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁷ represents hydrogen, or unsubstituted lower alkyl;

R⁸ represents hydrogen, or unsubstituted lower alkyl;

or R⁴ and R⁸ together with the nitrogen atom to which they are attached represent heterocyclyl;
and pharmaceutically acceptable salts thereof.

2. Compounds according to Claim 1 wherein at least one of R², R³, R⁵ and R⁶ is not hydrogen.
3. Compounds according to Claim 1 or Claim 2 wherein R¹ represents an optionally substituted oxazole ring.
4. Compounds according to any one of the preceding claims wherein R¹ represents an optionally substituted oxazole ring, according to the general formula:



(IX)

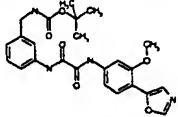
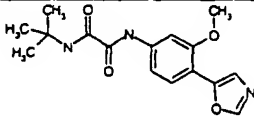
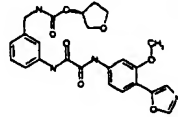
wherein R² to R⁸ are defined as in Claim 1, and

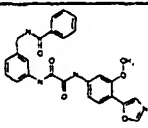
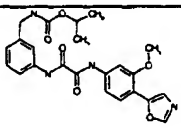
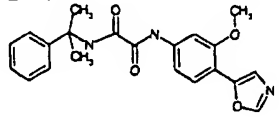
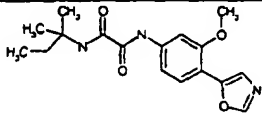
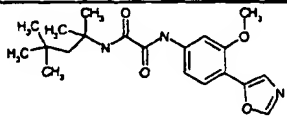
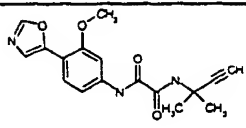
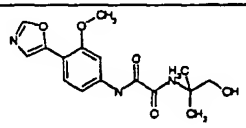
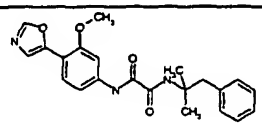
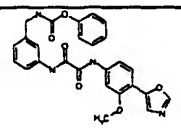
R⁹ represents hydrogen, lower alkyl, or aryl-lower alkyl;

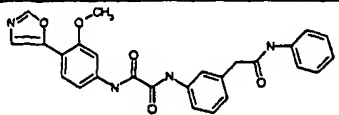
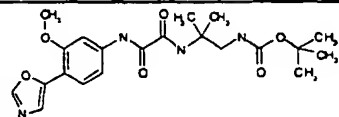
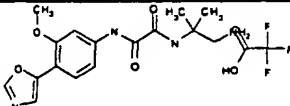
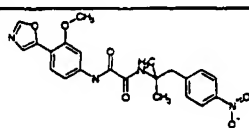
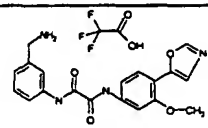
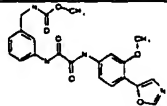
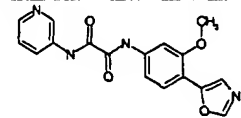
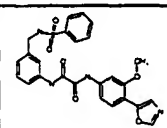
R¹⁰ represents hydrogen.

5. Compounds according to Claim 4 wherein R⁹ represents methyl, ethyl or benzyl.
6. Compounds according to Claim 4 wherein R⁹ and R¹⁰ are hydrogen.
7. Compounds according to Claim 1 or Claim 2 wherein R¹ represents triazolyl.
8. Compounds according to any one of the preceding claims wherein R² is lower alkoxy.

9. Compounds according to claim 8 wherein R² is methoxy.
10. Compounds according to any one of the preceding claims wherein R⁴ represents a lower alkyl group which is branched.
11. Compounds according to any one of the preceding claims wherein R⁷ is hydrogen.
12. Compounds according to any one of the preceding claims wherein R⁸ is hydrogen.
13. A compound according to any one of claims 1, 2, 3, 4, or 6, selected from:

	<p>tert-Butyl [3-[[[3-methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]benzyl]carbamate</p>
	<p>N-tert-Butyl-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide</p>
	<p>[3-[[[3-Methoxy-4-(5-oxazolyl)anilino] oxalyl]amino]benzyl]carbamic acid</p>

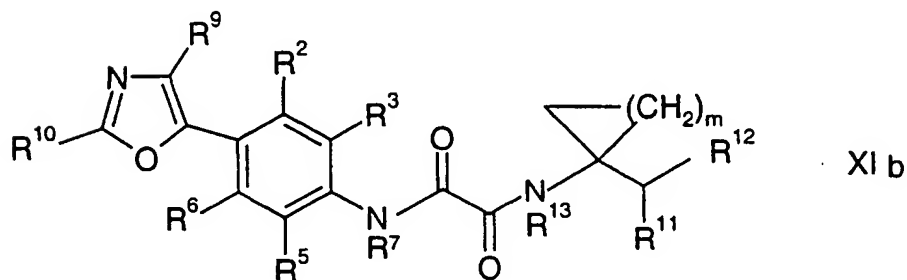
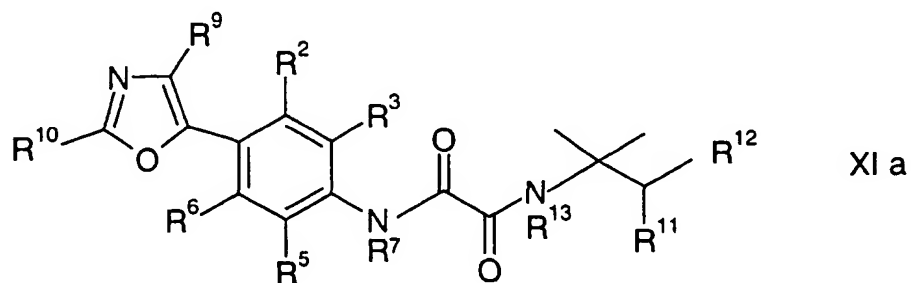
		tetrahydro-3(S)-furyl ester
5		N-[3-(Benzamidomethyl)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide
10		Isopropyl [3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate
15		
20		N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1-methyl-1-phenylethyl)oxalamide
25		N-(1,1-Dimethylpropyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide
30		N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1,3,3-tetramethyl-butyl)oxalamide
35		N-(1,1-Dimethylpropargyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide
40		N-(2-Hydroxy-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide
45		N-(1,1-Dimethyl-2-phenylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide
50		
55		Phenyl [3-[[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate

5		N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-[(phenylcarbamoyl)methyl]phenyl]oxalamide
10		tert-Butyl [2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]carbamate
15		N-(2-Amino-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate (1:1)
20		
25		N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-nitrophenyl)ethyl]oxalamide
30		N-[3-(Aminomethyl)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide trifluoroacetate (1:1)
35		
40		Methyl [3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]benzyl]carbamate
45		N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(3-pyridyl)oxalamide
50		N-[3-[(Benzenesulfonamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide
55		

5		N-(2-Dimethylamino-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide hydrochloride (1:1)
10		N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-methyl-1-(methylcarbamoyl)ethyl]oxalamide
15		N-tert-Butyl-N'-[3-chloro-4-(5-oxazolyl)phenyl]oxalamide
20		N-tert-Butyl-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide
25		

or a pharmaceutically acceptable salt thereof.

14. Compounds according to claim 1 of the formula



wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above

R¹¹ and R¹³ is H or lower alkyl, m=1 to 5 and

R¹² is heterocyclyl or aryl, with the proviso that R¹² does not stand for 4-fluorophenyl.

5 15. Compounds according to claim 14 wherein

R² is methoxy, R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen and wherein

10 R¹² is optionally substituted phenyl and optionally substituted heteroaryl, with the proviso that R¹² does not stand for 4-fluorophenyl.

16. Compounds according to claims 14 or 15 selected from

15 table 1c

20

Name	Structure
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5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-methylphenyl)ethyl]oxalamide	
10	N-[1,1-Dimethyl-2-(2-methylphenyl)ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-pyridyl)ethyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-methylphenyl)ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-methylphenyl)ethyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-thienyl)ethyl]oxalamide	
35	N-[2-(4-Benzoyloxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	
40	N-[2-(4-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	
45	N-(3-Methoxy-4-oxazol-5-yl-phenyl)-N'-[2-(4-methoxy-phenyl)-1,1-dimethyl-ethyl]-oxalamide	
50	N-[2-(2-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	
55	N-[2-(2-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	

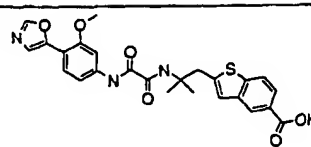
5	N-(1,1-Dimethyl-2-phenyl-propyl)-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	
10	N-[2-(3-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	
15	N-(3-Methoxy-4-oxazol-5-yl-phenyl)-N'-[2-(3-methoxy-phenyl)-1,1-dimethyl-ethyl]-oxalamide	
20	N-[2-[4-(Cyanomethoxy)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	2-[4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid	
30	2-[2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid	
35	2-[3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-4-pyridyl)ethyl]oxalamide	
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-3-pyridyl)ethyl]oxalamide	
50	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-3-pyridyl)ethyl]oxalamide	
55		

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-oxido-2-pyridyl)ethyl]oxalamide	
10	2-[3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenoxy]acetic acid	
15	N-[2-(2-Benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-methyl-2-benzofuranyl)ethyl]oxalamide	
25	N-[2-(7-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
30	N-[2-(5-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[2-(6-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
40	Benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoate	
45	4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoic acid	
50	Benzyl 3-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoate	
55		

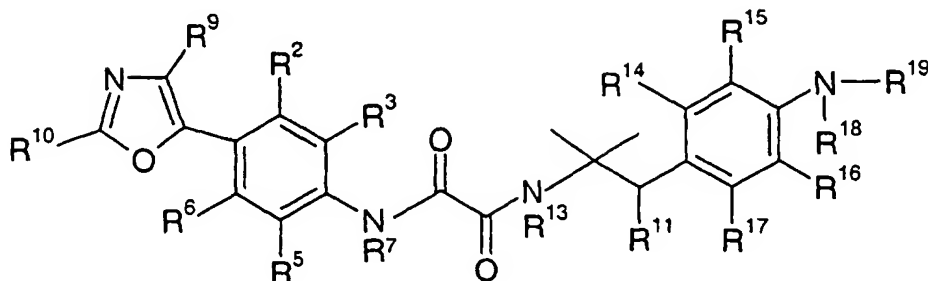
5	methylpropyl]benzoate	
10	3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoic acid	
15	N-[2-(3-Benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	Benzyl 2-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylate	
25	2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylic acid	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclopentyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclopentyl]oxalamide	
40	N-[2-(4-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
45	N'-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-(2,6-dimethyl-4-pyridyl)-1,1-dimethylethyl]oxalamide	

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2,6-dimethyl-1-oxido-4-pyridyl)ethyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclopropyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclopropyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclobutyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclobutyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(4-pyridyl)methyl]-1-cyclohexyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1-[(1-oxido-4-pyridyl)methyl]-1-cyclohexyl]oxalamide	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-methyl-4-pyridyl)ethyl]oxalamide	
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-methyl-1-oxido-4-pyridyl)ethyl]oxalamide	

2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzothiophenecarboxylic acid



17. Compounds according to claim 1 of the formula



XII

wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above,

R¹¹, R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are H or lower alkyl and

R¹⁹ is alkyl, cycloalkyl, heterocyclyl alkyl or aryl alkyl.

18. Compounds according to claim 17 wherein
R² is methoxy and R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.

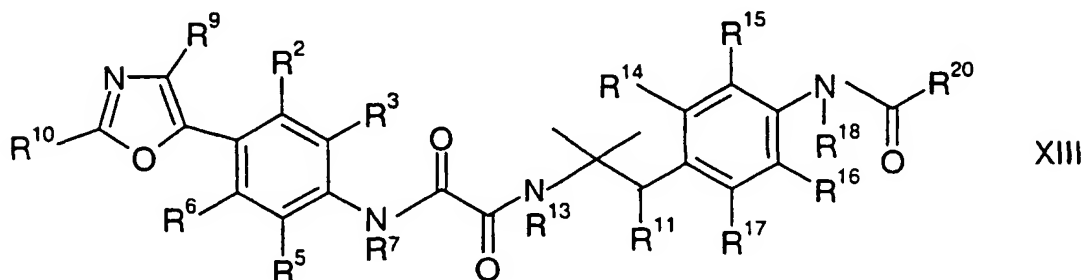
19. Compounds according to claim 17 or 18 selected from

table 1d

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-pyridinyl)methylamino]phenyl]ethyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(3-pyridyl)methylamino]phenyl]ethyl]oxalamide	
15	N-[2-[4-(2-Furfurylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-Dimethyl-2-[4-(2-thenylamino)phenyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,2-dimethylpropylamino)phenyl]ethyl]oxalamide	
30	N-[2-[4-[(1H-Imidazol-2-yl)methylamino]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(4-pyridyl)methylamino]phenyl]ethyl]oxalamide	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-thiazolyl)methylamino]phenyl]ethyl]oxalamide	
45	N-[2-[4-(3-Furfurylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-	

	oxazolyl)phenyl]oxalamide	
5	N-[2-[4-[5-(Hydroxymethyl)-2-furfurylamino]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10		
15	N-[2-(4-Benzylaminophenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[2-[4-(2-Hydroxybenzylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[2-[4-(3-Cyanobenzylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[4-(3-pyridyl)benzylamino]phenyl]ethyl]oxalamide	
35		
40	N-[2-[4-(2-Fluorobenzylamino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

20. Compounds according to claim 1 of the formula



wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above,

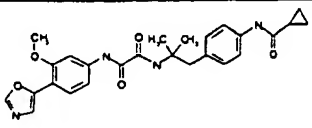
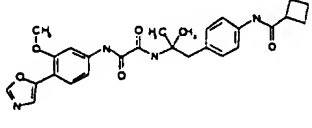
R¹¹, R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are H or lower alkyl and

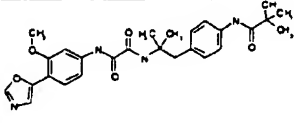
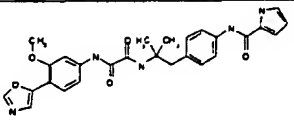
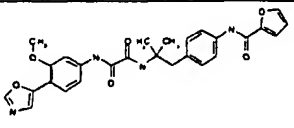
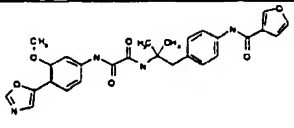
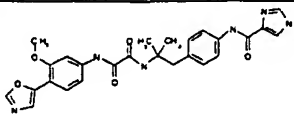
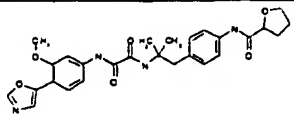
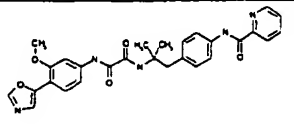
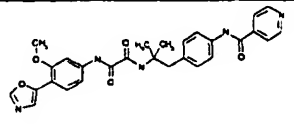
R²⁰ is alkyl, cycloalkyl, aryl, heterocyclyl.

21. Compounds according to claim 20 wherein
R² is methoxy and R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.

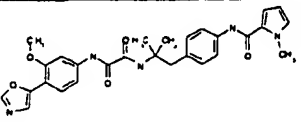
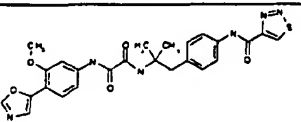
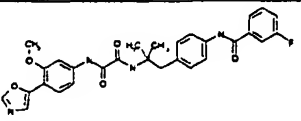
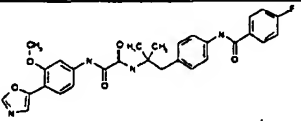
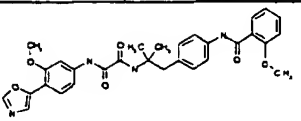
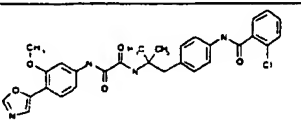
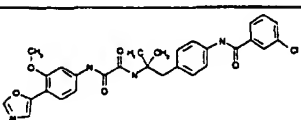
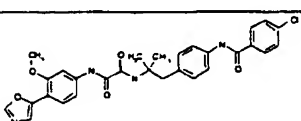
22. Compounds according to claim 20 or 21 selected from

table 1e

Name	Structure
N-[2-[4-(Cyclopropylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[2-[4-(Cyclobutylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]	

oxalamide	
<p>5 N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-(4- pivalamidophenyl)-1,1- dimethylethyl]oxalamide</p>	
<p>10 N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(1H-pyrrol- 2-yl)carboxamido]phenyl]ethyl] oxalamide</p>	
<p>20 N-[2-[4-[(2-Furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide</p>	
<p>25 N-[2-[4-[(3-Furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide</p>	
<p>30 N-[2-[4-[(1H-Imidazol-4-yl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide</p>	
<p>35 N-[2-[4-[(Tetrahydro-2(RS)-furyl) carboxamido]phenyl]-1,1- dimethylethyl]-N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide</p>	
<p>40 N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-[4-[(2- pyridyl)carboxamido]phenyl]ethyl]ox alamide</p>	
<p>45 N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4-pyridyl) carboxamido]phenyl]ethyl]oxalamide</p>	

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-thienyl)carboxamido]phenyl]ethyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N-[1,1-dimethyl-2-[4-[(3-thienyl)carboxamido]phenyl]ethyl]oxalamide	
15	N-[2-[4-(2-Cyclopentylacetamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylbenzamido)phenyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methylbenzamido)phenyl]ethyl]oxalamide	
30	N-[2-[4-(Cycloheptylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[2-[4-[(5-Isoxazolyl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
40	N-[2-[4-[(5-Isoxazolyl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
45	N-[2-[4-(Cyclopentylcarboxamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
50	N-[2-[4-[(Tetrahydro-3(RS)-furyl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-	
55		

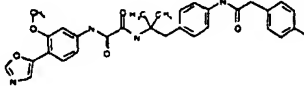
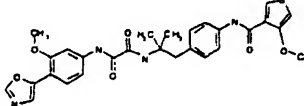
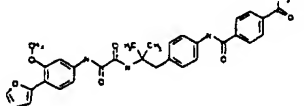
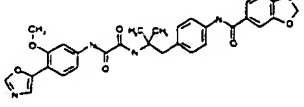
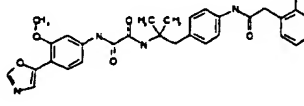
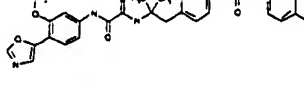
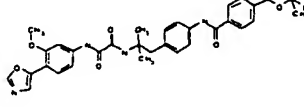
	oxazolyl)phenyl]oxalamide	
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(1-methyl-1H-pyrrol-2-yl)carboxamido]phenyl]ethyl]oxalamide	
10		
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1-dimethyl-2-[4-[(1,2,3-thiadiazol-4-yl)carboxamido]phenyl]ethyl]oxalamide	
20	N-[2-[4-(3-Fluorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[2-[4-(4-Fluorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
30	N-[2-[4-(2-Methoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35		
40	N-[2-[4-(2-Chlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
45	N-[2-[4-(3-Chlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
50	N-[2-[4-(4-Chlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
55		

5	N-[2-[4-[(1H-Indol-2-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[4-(dimethylamino)benzamido]phenyl]ethyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3,3-dimethylbutyramido)]phenyl]ethyl oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[2-(1-tetrazolyl)acetamido]phenyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-oxo-2(S)-pyrrolidinyl)carboxamido]phenyl]ethyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-oxo-2(R)-pyrrolidinyl)carboxamido]phenyl]ethyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-naphthyl)carboxamido]phenyl]ethyl]oxalamide	
40	N-[2-[4-[(6-Cyano-3-pyridyl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

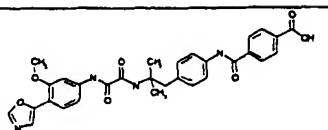
5	N-[2-[4-(3-Methoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[2-[4-(3,5-Difluorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[2-[4-[(1H-Indol-5-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	(E)-N-[2-[4-(2-Butenamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[2-[4-(2-Methoxyacetamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
30	N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-methyl-3-furyl)carboxamido]phenyl]ethyl]oxal amide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-4-isoxazolyl)carboxamido]phenyl]ethyl]oxalamide	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(3-methyl-4-isoxazolyl)carboxamido]phenyl]ethyl]oxalamide	
45		
50		
55		

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(5-methyl-3- isoxazolyl)carboxamido]phenyl]ethyl] oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(1-oxido-3- pyridyl)carboxamido]phenyl]ethyl]ox alamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(1-oxido-4- pyridyl)carboxamido]phenyl]ethyl]ox alamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4,5- dimethyl-2-furyl)carboxamido] phenyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(2,5- dimethyl-2H-pyrazol-3-yl) carboxamido]phenyl]-1,1- dimethylethyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(3-methyl-2- thienyl)carboxamido]phenyl]ethyl]ox alamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(3-methyl-2- thienyl)carboxamido]phenyl]ethyl]ox alamide	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(3-methyl-2- thienyl)carboxamido]phenyl]ethyl]ox alamide	
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(3-methyl-2- thienyl)carboxamido]phenyl]ethyl]ox alamide	
50	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4-methyl-2- thienyl)carboxamido]phenyl]ethyl]ox alamide	
55	N-[3-Methoxy-4-(5-oxazolyl)phenyl] -N'-[1,1-dimethyl-2-[4-[(4-methyl-2- thienyl)carboxamido]phenyl]ethyl]ox alamide	

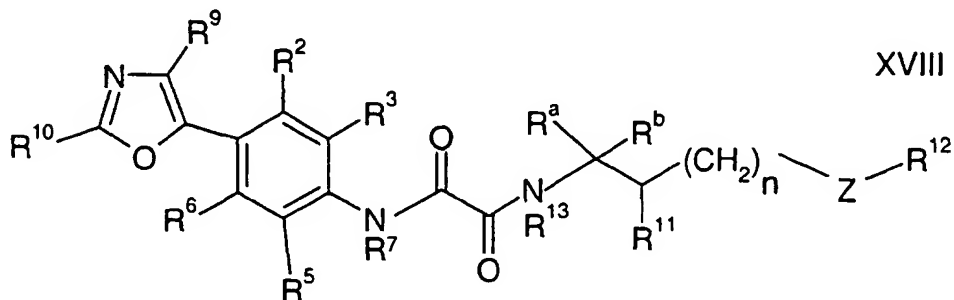
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(4-methyl-1,2,3-thiadiazol-5-yl)carboxamido]phenyl]ethyl]oxalamide	
10	N-[2-[4-(4-Acetamidobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[2-[4-(3,4-Dimethoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[2-[4-(4-Chloro-2-methoxybenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[2-[4-(2,6-Dichlorobenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
30	N-[2-[4-[(Bicyclo[4.2.0]octa-1(6),2,4-triene-7(RS)-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-oxo-2-phenylacetamido)phenyl]ethyl]oxalamide	
40	N-[2-[4-[2-(2-Fluorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

oxazolyl)phenyl]oxalamide	
N-[2-[4-[2-(4-Fluorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N-[2-[4-[(4-methoxy-3-thienyl)carboxamido]phenyl]-1,1-dimethylethyl]oxalamide	
N-[2-[4-(4-Acetylbenzamido)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[2-[4-[(1,3-Benzodioxol-5-yl)carboxamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[2-[4-[2-(2-Chlorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[2-[4-[2-(4-Chlorophenyl)acetamido]phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
tert-Butyl 4-[[4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]phenyl]carbamoyl]benzoate	

4-[[4-[2-[[[3-Methoxy-4-(5-oxazolyl)
anilino]oxalyl]amino]-2-
methylpropyl]phenyl]carbonyl]benz
oic acid



23. Compounds according to claim 1 of the formula



wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above,

R^{11} and R^{13} are H or lower alkyl,

$n = 0$ or 1 ,

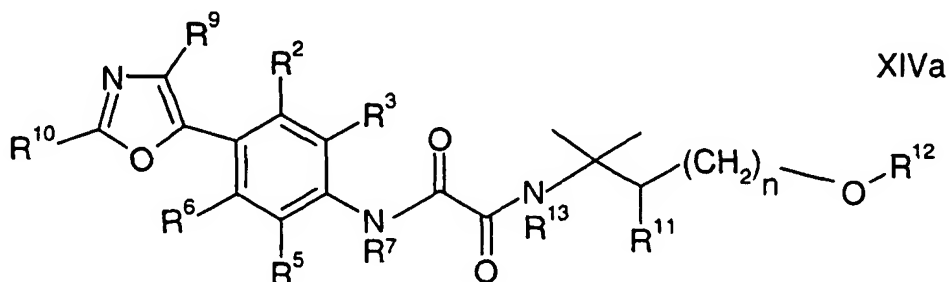
R^a , R^b are lower alkyl or R^a and R^b taken together with the carbon atom to which they are attached form a 3 to 7 member carbocycle, and

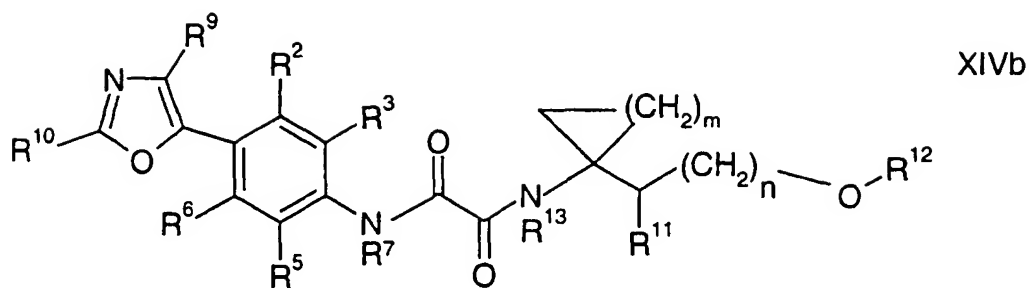
R^{12} is heterocyclyl, aryl or lower cycloalkyl

and Z is O, S or NR^{28} ,

wherein R^{28} is H or lower alkyl.

24. Compounds according to claim 23 of the formulas:





15 wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above

20 R^{11} and R^{13} is H or lower alkyl,

$n = 0$ or 1 , $(CH_2)_m$, $m = 1$ to 5 and,

R^{12} is heterocyclyl, aryl or lower cycloalkyl.

25 **25.** Compounds according to claims 23 and 24 wherein
 R^2 is methoxy and R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen.

26. Compounds according to claim 23 to 25 selected from

table 1f¹

Name	Structure
N-[3-(4-Hydroxy-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(4-methoxyphenoxy)-1,1-dimethylpropyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide	
N-[3-(2-Hydroxyphenoxy)-1,1-dimethylpropyl]-N'-(3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[3-(4-Amino-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	
N-[3-(4-Acetylamino-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(3-pyridyloxy)propyl]oxalamide	
N-[3-(3-Hydroxyphenoxy)-1,1-dimethylpropyl]-N'-(3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3-methoxyphenoxy)-1,1-dimethylpropyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(3-nitrophenoxy)propyl]oxalamide	
15	N-[3-(3-Aminophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
25	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
30	3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
35	2-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid	
40	2-[2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid	
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1-dimethyl-3-phenoxypropyl)oxalamide	
50	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(1-oxido-3-pyridyloxy)propyl]	
55		

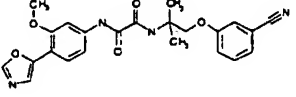
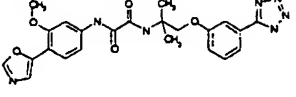
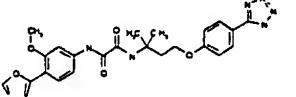
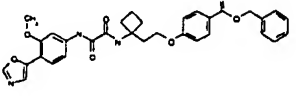
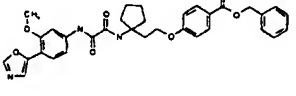
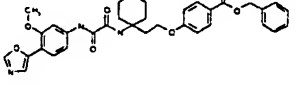
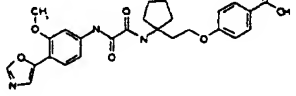
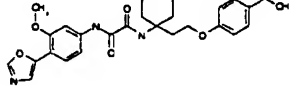
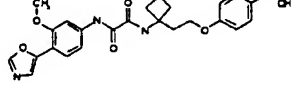
oxalamide	
N-[3-(3,4-Dihydroxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[4-(methylcarbamoyl)phenoxy]propyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3,4-dimethoxyphenoxy)-1,1-dimethylpropyl]oxalamide	
N-[3-[4-[(2-Hydroxyethyl)carbamoyl]phenoxy]-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[3-(3-Chlorophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(3-pyridyloxy)propyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-pyridyloxy)propyl]oxalamide	
2-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]acetic acid	
2-[3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-	

	methylbutoxy]phenyl]acetic acid	
5		
10	4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropoxy]benzoic acid	
15	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-methylbenzoic acid	
20	3-[3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]propionic acid	
25	3-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]propionic acid	
30		
35	3-[2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenyl]propionic acid	
40	2-[3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid	
45	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-3-methylbenzoic acid	
50	N-[3-(4-Cyano-2-methoxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
55		

5	N-[3-(3-Cyanophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-[4-(4-Acetyl-1-piperazinyl)phenoxy]-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-morpholinophenoxy)propyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[3-(dimethylamino)phenoxy]propyl]oxalamide	
25	N-[3-(1,3-Benzodioxol-5-yloxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3,4,5-trimethoxyphenoxy)-1,1-dimethylpropyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(3,5-dimethoxyphenoxy)-1,1-dimethylpropyl]oxalamide	
40	N-[3-(5,6,7,8-Tetrahydro-5-oxo-2-naphthyloxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
45		
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5	N-[3-(2-Acetamido-5-methylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-(3-Acetamidophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[3-(1H-Indol-4-yloxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[3-(2-Fluoro-6-methoxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-oxo-2H-1-benzopyran-7-yloxy)propyl]oxalamide	
30	N-[3-(4-Acetyl-3-methylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	(E)-N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[4-(3-oxo-1-butenyl)phenoxy]propyl]oxalamide	
40	N-[3-(3-Acetylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
45	N-[3-(4-Acetylphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
50		
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5	N-[3-(4-Acetamido-2-chlorophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-pyridyloxy)propyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(1-oxido-4-pyridyloxy)propyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2,6-dimethyl-4-pyridyloxy)propyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2,6-dimethyl-1-oxido-4-pyridyloxy)propyl]oxalamide	
30	N-[2-(4-Cyanophenoxy)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-(2-methoxy-4-pyridyloxy)-1,1-dimethylpropyl]oxalamide	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(1H-tetrazol-5-yl)phenoxy]ethyl]oxalamide	
45	N-[3-(4-Cyanophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-	

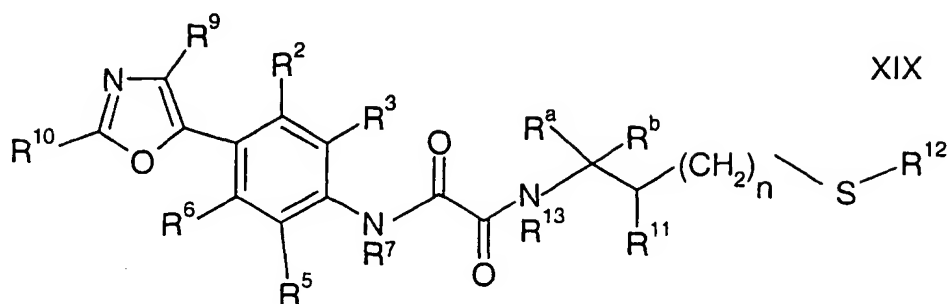
(5-oxazolyl)phenyl]oxalamide	
N-[2-(3-Cyanophenoxy)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[3-(1H-tetrazol-5-yl)phenoxy]ethyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-[4-(1H-tetrazol-5-yl)phenoxy]propyl]oxalamide	
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclobutyl]ethoxy]benzoate	
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoate	
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoate	
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoic acid	
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoic acid	
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclobutyl]ethoxy]benzoic acid	

5	Benzyl 2-methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoate	
10	3-Chloro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
15	2-Methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
20	3-Methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
25	4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopropyl]ethoxy]benzoic acid	
30	2-Chloro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
35	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-quinolinecarboxylic acid	
40	(cis/trans)-4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-1-cyclohexanecarboxylic acid	
45	(cis/trans)-4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropoxy]-1-cyclohexanecarboxylic acid	

5	3-Fluoro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
10	3-Acetamido-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
15	3-(Methanesulfonamido)-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
20	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-3,5-dimethylbenzoic acid	
25	3-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-pyridinecarboxylic acid	
30	8-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-quinolinecarboxylic acid	
35	5-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]-2-indolecarboxylic acid	
40	3-Amino-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	

This example would be added to the bottom of table 1f1. If added a change to the heading of this section, to include this example number, would be required as well as amendments to the claims tables.

27. Compounds according to claim 23 of the formula



wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above,

R^{11} and R^{13} are H or lower alkyl,

$n = 0$ or 1 ,

R^a , R^b are lower alkyl or R^a and R^b taken together with the carbon atom to which they are attached form a 3 to 7 member carbocycle,

R^{12} is heterocyclyl, aryl or lower cycloalkyl.

28. Compounds according to claim 27 wherein

R^2 is methoxy and R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen.

29. Compounds according to claim 23, 27 and 28 selected from

table 1f²

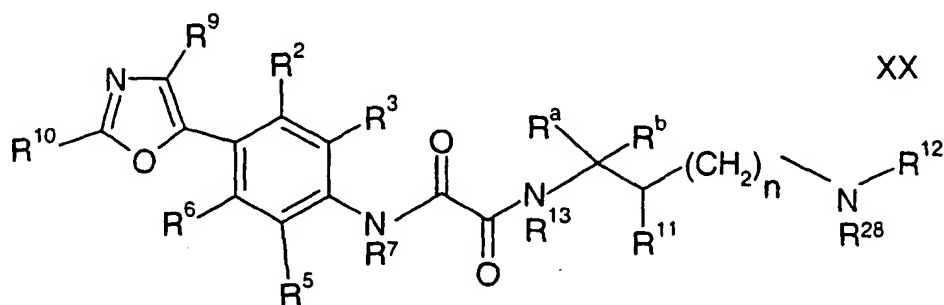
Name	Structure
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide	

5	N-[2-(4-Hydroxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-pyridylthio)ethyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-pyridylthio)propyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-thienylthio)propyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-pyrimidylthio)propyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-pyridylthio)propyl]oxalamide	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(2-thiazolylthio)propyl]oxalamide	
45	N-[3-(4-Hydroxyphenylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
50	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(5-methyl-1,3,4-thiadiazol-2-ylthio)propyl]oxalamide	
55		

5	N-[3-(2-Benzooxazolylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-(2-Benzothiazolylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	Methyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropylthio]benzoate	
20	tert-Butyl 6-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylate	
25	6-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylic acid	
30	trifluoroacetate (1:1)	
35	4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]benzoic acid	
40	N-[2-(4-Benzyloxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
45	N-[2-(4-Benzyloxyphenylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
50	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-5-benzoxazolecarboxylic acid	
55		

5	N-[3-(1H-Imidazol-2-ylthio)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylic acid trifluoroacetate (1:1)	
15	4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropylthio]benzoic acid	
20	2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-6-benzoxazolecarboxylic acid	

30. Compound according to claim 23 of the formula



wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above,

R^{11} , R^{13} and R^{28} are H or lower alkyl,

$n = 0$ or 1 ,

R^a , R^b are lower alkyl or R^a and R^b taken together with the carbon atom to which they are attached form a 3 to 7 member carbocycle, and

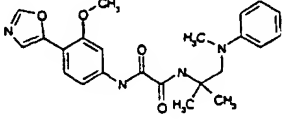
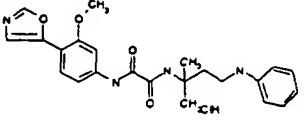
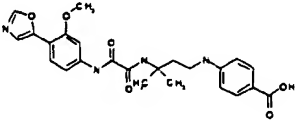
R^{12} is heterocyclyl, aryl or lower cycloalkyl.

31. Compound according to claim 30, wherein

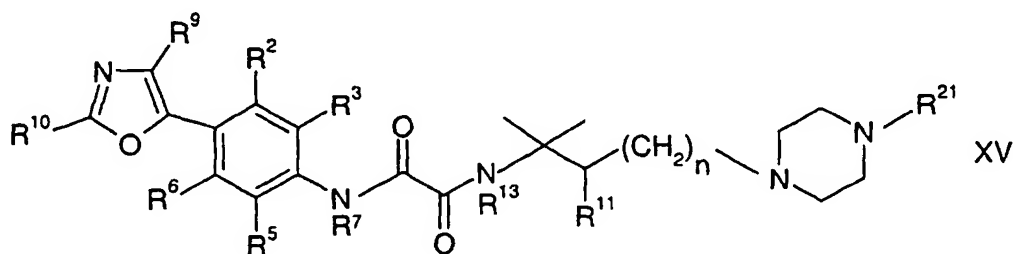
R^2 is methoxy and R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen and R^{28} is hydrogen or methyl.

32. Compounds according to claims 23, 30 and 31 selected from

table 1f³

Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(N-methylanilino) ethyl] oxalamide		423	632
N-(3-Anilino-1,1-dimethylpropyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide hydrochloride (1:1)		423	633
4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylamino]benzoic acid		467	634

33. Compounds according to claim 1 of the formula

wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above,R¹¹ and R¹³ is H or lower alkyl,

n = 0 or 1

R²¹ is optionally substituted phenyl, optionally substituted phenyl alkyl, optionally substituted phenyl carbonyl, optionally substituted phenyl sulfonyl.34. Compounds according to claim 33 wherein
wherein R² is methoxy, R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.

35. Compounds according to claims 33 or 34 selected from

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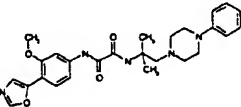
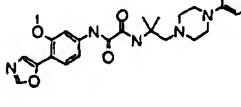
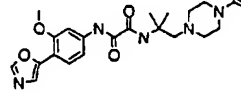
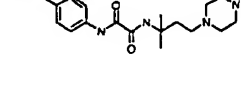
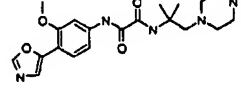
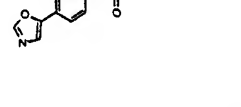


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table 1g

Name	Structure
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-phenyl-1-piperazinyl)ethyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-phenyl-1-piperazinyl)propyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
N-[2-(4-Benzyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[2-[4-(Benzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
N-[2-(4-Benzoyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

5	N-[2-[4-(4-(Trifluoromethyl)phenyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl]oxalamide	
15	N-[2-[4-(2-Fluorophenyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[2-[4-(4-Fluorophenyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thiophenesulfonyl)-1-piperazinyl]ethyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thiophenesulfonyl)-1-piperazinyl]ethyl]oxalamide	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,4,6-trimethylbenzenesulfonyl)-1-piperazinyl]ethyl]oxalamide	
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,4,6-trimethylbenzenesulfonyl)-1-piperazinyl]ethyl]oxalamide	
50	N-[2-[4-(4-Fluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
55	N-[2-[4-(4-Fluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

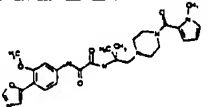
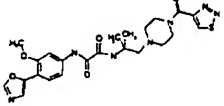
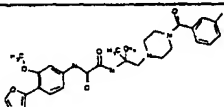
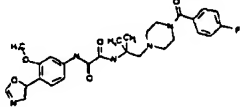
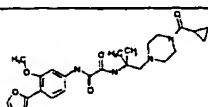
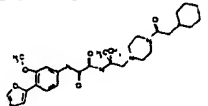
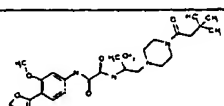
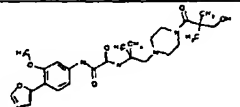
5	N-[2-[4-(Trifluoromethanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[2-[4-(Isopropylsulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	(E)-N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(styrylsulfonyl)-1-piperazinyl]ethyl]oxalamide	
20	N-[2-[4-(Ethanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(propanesulfonyl)-1-piperazinyl]ethyl]oxalamide	
30	N-[2-[4-(3-Chloropropanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(o-toluenesulfonyl)-1-piperazinyl]ethyl]oxalamide	
40	N-[2-[4-(2-Fluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

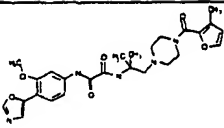
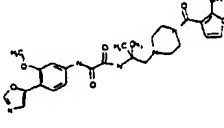
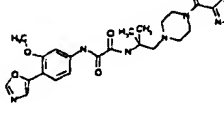
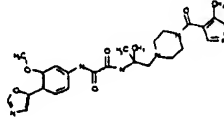
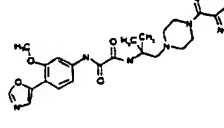
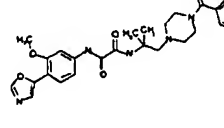
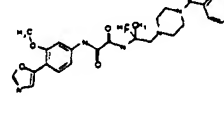
5	N-[2-[4-(2-Cyanobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,5-dimethyl-4-isoxazolylsulfonyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
15	N-[2-[4-(5-Fluoro-2-methylbenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[2-[4-(2,5-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(1-methyl-1H-imidazole-4-sulfonyl)-1-piperazinyl]ethyl]oxalamide	
30	N-[2-[4-(2,6-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[2-[4-(2,6-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
40	N-[2-[4-(3,4-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
45	N-[2-[4-(3,4-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
50	N-[2-[4-(3,4-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
55	N-[2-[4-(3,4-Difluorobenzenesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

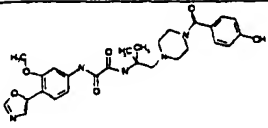
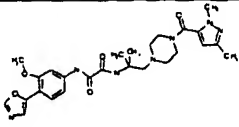
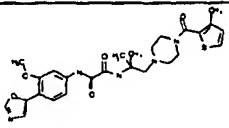
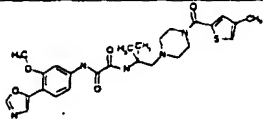
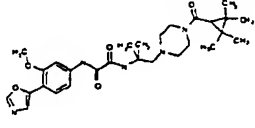
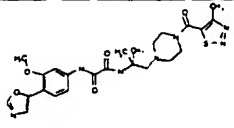
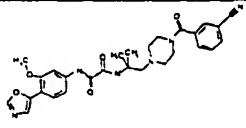
5	N-[2-[4-(Cyclohexylmethanesulfonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-phenylethanesulfonyl)-1-piperazinyl]ethyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2,4-dimethoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methylphenyl)-1-piperazinyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2,4-dimethylphenyl)-1-piperazinyl]ethyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
35	N-[2-(4-Cyclohexyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
40	N-[2-[4-(Cyclohexylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

5	N-[2-[4-(2-Methoxybenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[2-[4-(2-Hydroxybenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylbenzyl)-1-piperazinyl]ethyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thenyl)-1-piperazinyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thenyl)-1-piperazinyl]ethyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2(RS)-phenylpropyl)-1-piperazinyl]ethyl]oxalamide	
35	N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-pivaloyl-1-piperazinyl)ethyl]oxalamide	
40	N-[2-[4-(2-Furoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thenoyl)-1-piperazinyl]ethyl]oxalamide	
50	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thenoyl)-1-piperazinyl]ethyl]oxalamide	
55	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-thenoyl)-1-piperazinyl]ethyl]oxalamide	

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3-thenoyl)-1-piperazinyl]ethyl]oxalamide	
10	N-[2-[4-(2-Cyclopentylacetyl)-1-piperazinyl]-1,1-dimethyl-ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[2-[4-(Cyclohexylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylbenzoyl)-1-piperazinyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methylbenzoyl)-1-piperazinyl]ethyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methylbenzoyl)-1-piperazinyl]ethyl]oxalamide	
35	N-[2-[4-(Cycloheptylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(1H-pyrazol-4-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide	
45	N-[2-[4-(Cyclopentylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-Dimethyl-2-[4-[(1-methyl-1H-pyrrol-2-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(1,2,3-thiadiazol-4-yl)carbonyl]-1-piperazinyl]-ethyl]oxalamide	
15	N-[2-[4-(3-Fluorobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[2-[4-(4-Fluorobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[2-[4-(Cyclopropylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
30	N-[2-[4-(2-Cyclohexylacetyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,3-dimethylbutyryl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
40	N-[2-[4-(3-Hydroxy-2,2-dimethylpropionyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

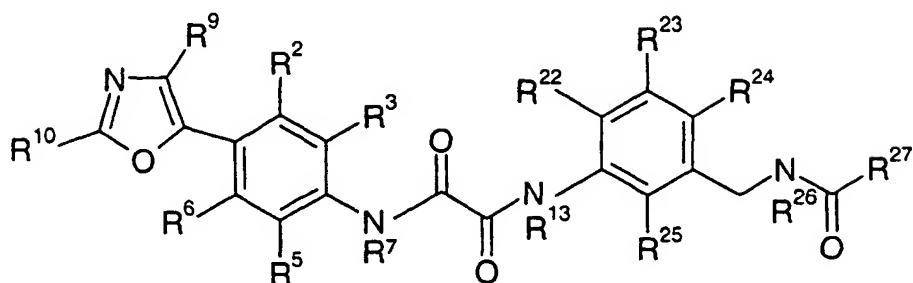
5	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3-methyl-2-furoyl)-1-piperazinyl]ethyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methyl-3-furoyl)-1-piperazinyl]ethyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-4-isoxazolyl)carbonyl]-1-piperazinyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(5-methyl-3-isoxazolyl)carbonyl]-1-piperazinyl]ethyl]oxalamide	
30	N-[2-[4-(4-Aminobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[2-[4-(2-Hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
40		
45		
50		
55		

5	N-[2-[4-(4-Hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2,5-dimethyl-2H-pyrazol-3-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(3-methyl-2-thenoyl)-1-piperazinyl]ethyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(4-methyl-2-thenoyl)-1-piperazinyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2,2,3,3-tetramethyl-1-cyclopropyl)carbonyl]-1-piperazinyl]ethyl]oxalamide	
30	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(4-methyl-1,2,3-thiadiazol-5-yl)carbonyl]-1-piperazinyl]ethyl]oxalamide	
35	N-[2-[4-(3-Cyanobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

5	N-[2-[4-[(Bicyclo[4.2.0]octa-1(6),2,4-trien-7-yl)carbonyl]-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[2-[4-(3-Hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[2-[4-(2-Ethylbutyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]oxalamide	
25	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[3-(methylthio)propyl]-1-piperazinyl]ethyl]oxalamide	
30	N-[2-[4-(2,6-Difluorobenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[2-[4-(3-Furfuryl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
40	N-[2-[4-[(2-Benzofuranyl)methyl]-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

5	N-[2-[4-(2-Cyanobenzyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3,3-dimethylbutyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-[(2-quinolinyl)methyl]-1-piperazinyl]ethyl]oxalamide	
20	tert-Butyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazineacetate	
25	4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazineacetic acid trifluoroacetate (1:1)	
30	N-[2-[4-(Cyclopropylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	tert-Butyl 4-[4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazinyl]benzoate	
40	4-[4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-1-piperazinyl]benzoic acid trifluoroacetate (1:1)	

36. Compounds according to claim 1 of the formula



XVI

wherein R², R³, R⁵, R⁶, R⁷, R⁹, R¹⁰ and R¹³ are defined as above

R²², R²³, R²⁴, R²⁵ and R²⁶ are H or lower alkyl

R²⁷ is alkyl, aryl or heterocyclyl, alkoxy, aryloxy, heterocyclyl oxy.

37. Compounds according to claim 36 wherein

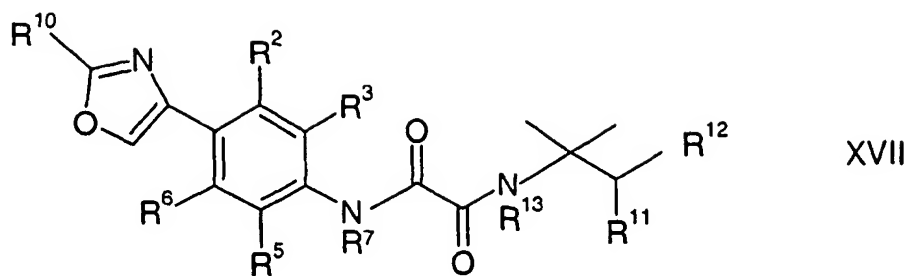
R² is methoxy, R³, R⁵, R⁶, R⁹, R¹⁰, R¹³, R²², R²³, R²⁴, R²⁵ and R²⁶ are hydrogen.

38. Compounds according to claims 36 or 37 selected from

table 1h

5	Phenyl [3-[[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl] carbamate	
10	N-[3-[(3-Fluorobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[3-[(3-Chlorobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[3-[(3-Methoxybenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[3-[(3,4-Dimethoxybenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
30	N-[3-[(3-Cyanobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

39. Compounds according to claim 1 of the formula



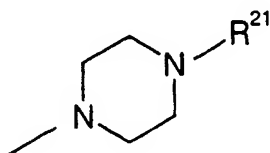
wherein R², R³, R⁵, R⁶, R⁷ and R¹⁰ are defined as above

R¹¹ and R¹³ is H or lower alkyl and

R¹² is heterocyclyl, aryl or lower cycloalkyl.

40. Compounds according to claim 39 wherein

R² is methoxy, R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen and wherein R¹² is optionally substituted phenyl or

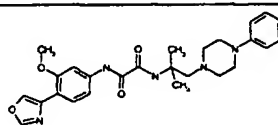


wherein R²¹ is as above.

41. Compounds according to claims 39 or 40 selected from

table 1i

N-[3-Methoxy-4-(4-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-phenyl-1-piperazinyl)ethyl]oxalamide



5	N-[2-(4-Benzoyloxyphenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide	
10	N-[2-(4-Hydroxyphenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide	
15	N-[3-Methoxy-4-(4-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	
20	N-[3-Methoxy-4-(2-methyl-4-oxazolyl)-phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	

42. Compounds according to claim 1 of the formula

table 1b

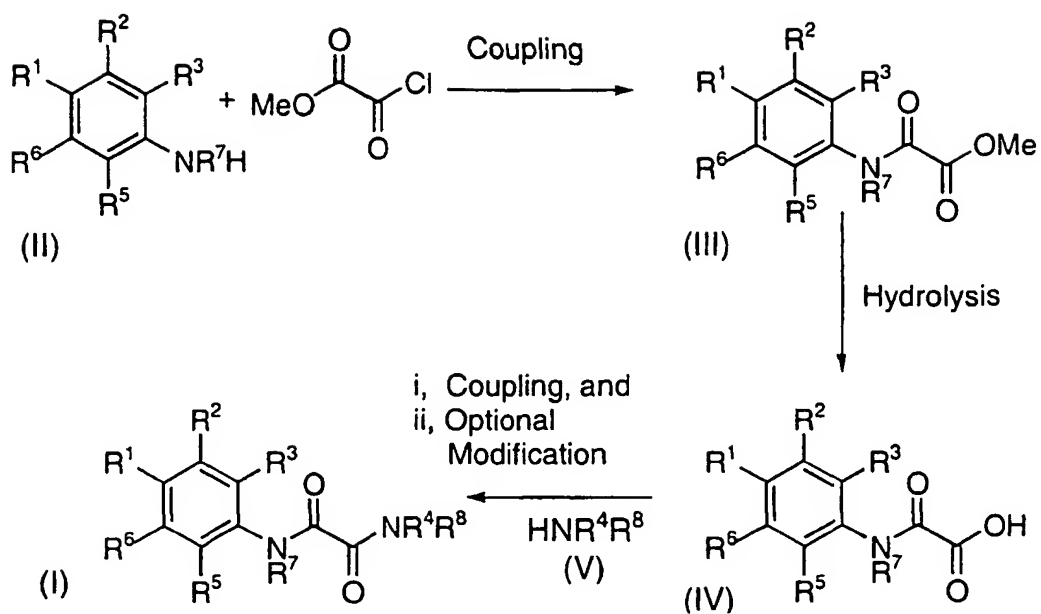
Name	Structure
Benzyl 4-{2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl}-1-piperidinecarboxylate	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide	

5	N-[2-(1-Acetyl-4-piperidiny)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide	
10	N-(2-Cyclohexyl-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(N-methylanilino)ethyl]oxalamide	
20	N-[2-(1,2,3,4-Tetrahydro-1-quinolyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[2-(4-Hydroxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide	
30	N-[3-(4-Hydroxyphenyl)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[(1-oxido-4-pyridyl)carboxamido]ethyl]oxalamide	
40	N-[2-(4-Acetylbenzamido)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
45	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[3-[(4-methylbenzamido)methyl]phenyl]	

5	l)oxalamide	
10	N-[3-[(2-Methoxybenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[3-[(4-Chlorobenzamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[3-[[1,3-Benzodioxol-5-yl)carboxamido)methyl]phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	N-[2-(2,3-Dihydro-1-indolyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
30	N-[2-(3,4-Dihydro-6-methyl-2H-quinol-1-yl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
35	N-[1-(3-Benzofuranyl)-1-methylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
40	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-phenoxy-piperidino)propyl]oxalamide	
45	N-[2-(1-Butyryl-4-piperidiny)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	

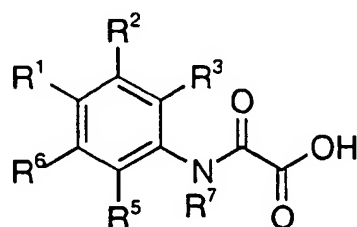
5	N-[2-[1-(Methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
10	N-[2-[1-(Benzenesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
15	N-[2-(1-Isobutyryl-4-piperidinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
20	N-[2-(1-Isobutyryl-4-piperidinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
25	tert-Butyl 4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino] oxalyl] amino]-3-methylbutyl]-1-piperidinecarboxylate	
30	tert-Butyl 4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino] oxalyl] amino]-3-methylbutyl]-1-piperidinecarboxylate	
35	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-piperidinyl)propyl]oxalamide	

43. A process for the manufacture of the compounds of formula (I) claimed in any one of claims 1 to 42 and their pharmaceutically acceptable salts, which process comprises the general reaction scheme:



wherein R^1 to R^8 are defined as in Claim 1, and, optionally, converting the compound of formula (I) into a pharmaceutically acceptable salt.

44. Compounds of the general formula



(IV)

wherein R^1, R^2, R^3, R^5, R^6 and R^7 are defined as in Claim 1.

45. A process for the manufacture of the compounds claimed in Claim 4, and their pharmaceutically acceptable salts, which process comprises the general reaction scheme:



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wherein R¹ to R⁸ are defined as in Claim 1, and, optionally, converting the compound of formula (I) into a pharmaceutically acceptable salt.

48. Compounds according to any one of claims 1 to 42 and their pharmaceutically acceptable salts, when manufactured according to the process claimed in claim 43 or claim 47 or according to a process equivalent thereto.

49. Compounds according to Claim 4, and their pharmaceutically acceptable salts, when manufactured according to the process claimed in claim 45 or according to a process equivalent thereto.

50. A pharmaceutical composition comprising a compound according to any one of Claims 1 to 42, 48 or 49, or its pharmaceutically acceptable salt, and a pharmaceutically acceptable carrier, diluent or adjuvant, and, optionally, one or more additional therapeutically active substance(s).

51. A pharmaceutical composition according to Claim 50, wherein the one or more additional therapeutically active substance(s) is an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an antibiotic, an anti-parasitic agent, an anti-fungal agent, an anti-inflammatory agent and/or an anti-vascular hyperproliferation agent.

52. A pharmaceutical composition according to Claim 51, wherein the one or more additional therapeutically active substance(s) is interferon or a derivative thereof.

53. A compound according to any one of Claims 1 to 42, 48 or 49, or its pharmaceutically acceptable salt, or a composition according to any one of Claims 50 to 52, for use in therapy.

54. A compound according to Claim 53 for use in monotherapy.

55. A compound according to Claim 53 for use in combination therapy.

56. A process for the production of a medicament, which process comprises bringing a compound according to any one of Claims 1 to 42, 48 or 49, or a pharmaceutically acceptable salt thereof into a galenical administration form together with a pharmaceutically acceptable carrier, diluent or adjuvant and, optionally, one or more additional therapeutically active substance(s).

57. A process according to Claim 56, wherein the one or more additional therapeutically active substance(s) is an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an antibiotic, an anti-parasitic agent, an anti-fungal agent, an anti-inflammatory agent and/or an anti-vascular hyperproliferation agent.

58. A process according to Claim 57, wherein the one or more additional therapeutically active substance(s) is interferon or a derivative thereof.

59. A method of treating an immune mediated condition or disease, a viral disease, a bacterial disease, a parasitic disease, inflammation, an inflammatory disease, a hyperproliferative vascular disease, a tumour, or cancer in a subject, comprising the step of administering to the subject a therapeutically effective amount of a compound according to any one of Claims 1 to 42, 48 or 49, or its pharmaceutically acceptable salt, or a pharmaceutical composition according to any one of Claims 50 to 52.

60. A method of treating an immune mediated condition or disease, a viral disease, a bacterial disease, a parasitic disease, inflammation, an inflammatory disease, a hyperproliferative vascular disease, a tumour, or cancer in a subject, comprising the steps of (a) administering to the subject a therapeutically effective amount of a compound according to any one of Claims 1 to 42, 48 or 49, or its pharmaceutically acceptable salt, and (b) concurrently or sequentially administering to the subject one or more additional therapeutically active substance(s).

61. A method according to Claim 60, wherein the one or more additional therapeutically active substance(s) is selected from the group consisting of an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an antibiotic, an anti-parasitic agent, an anti-fungal agent, an anti-inflammatory agent and an anti-vascular hyperproliferation agent.

62. A method according to Claim 61, wherein the one or more additional therapeutically active substance(s) is interferon or a derivative thereof.

63. The use of a compound according to any one of Claims 1 to 42, 48 or 49, or its pharmaceutically acceptable salt for the treatment or prevention of IMPDH mediated diseases.
- 5 64. The use of a compound according to any one of Claims 1 to 42, 48 or 49, or its pharmaceutically acceptable salt, alone, or concurrently or sequentially, with one or more additional therapeutically active substance(s), in a method of treatment, especially in the treatment of an immune mediated condition or disease, a viral disease, a bacterial disease, a parasitic disease, inflammation, an inflammatory disease, a hyperproliferative vascular disease, a tumour, or cancer.
- 10 65. The use of a compound according to any one of Claims 1 to 42, 48 or 49, for the manufacture of a medicament for use in a method of treatment, especially for use in treating an immune mediated condition or disease, a viral disease, a bacterial disease, a parasitic disease, inflammation, an inflammatory disease, a hyperproliferative vascular disease, a tumour, or cancer.
- 15 66. The use according to Claim 65, wherein the medicament is for concurrent or sequential administration with one or more additional therapeutically active substance(s).
- 20 67. The use of a compound according to any one of Claims 1 to 42, 48 or 49, in combination with one or more additional therapeutically active substance(s) for the manufacture of a medicament for use in a method of treatment, especially for use in treating an immune mediated condition or disease, a viral disease, a bacterial disease, a parasitic disease, inflammation, an inflammatory disease, a hyperproliferative vascular disease, a tumour, or cancer.
- 25 68. The use according to any one of Claims 63 to 67, for treating an immune mediated condition or disease, especially for treating an autoimmune disease, a graft versus host disease, or transplant rejection.
- 30 69. The use according to any one of Claims 63 to 67, for treating a viral disease, especially for treating a viral disease wherein the virus is orthomyxovirus, paramyxovirus, herpesvirus, retrovirus, flavivirus, pestivirus, hepatrophic virus, bunyavirus, Hantaan virus, Caraparu virus, human papilloma virus, encephalitis virus, arena virus, reovirus, vesicular stomatitis virus, rhinovirus, enterovirus, Lassa fever virus, togavirus, poxvirus, adenovirus, rubiola virus, rubella virus, or hepatitis virus.
70. The use according to Claim 69, wherein the virus is hepatitis C.
- 35 71. The use according to Claim 69, wherein the virus is HIV.
72. The use according to any one of Claims 63 to 67, for treating a hyperproliferative vascular disease, especially for treating a hyperproliferative vascular disease wherein the hyperproliferative vascular disease is restenosis, stenosis or arteriosclerosis.
- 40 73. The use of any one of Claims 63 to 67, for the treatment of inflammation or an inflammatory disease, especially for the treatment of an inflammatory disease wherein the inflammatory disease is osteoarthritis, acute pancreatitis, chronic pancreatitis, asthma, or adult respiratory distress syndrome.
- 45 74. The use according to any one of Claims 63 to 67, for the treatment of a tumour or cancer, especially for the treatment of cancer wherein the cancer is lymphoma or leukaemia.
- 50 75. The use according to any one of Claims 63 or 65 to 74, wherein the one or more additional therapeutically active substance(s) is an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an antibiotic, an anti-parasitic agent, an anti-fungal agent, an anti-inflammatory agent and/or an anti-vascular hyperproliferation agent.
- 55 76. The use according to Claim 75, wherein the one or more additional therapeutically active substance(s) is interferon or a derivative thereof.

(19)



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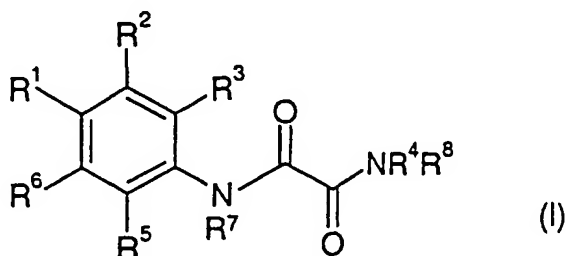
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(54) **Oxamides as IMPDH inhibitors**

(57) Disclosed are compounds of the general formula



wherein

- R¹ represents heterocyclyl;
R² represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, hydroxy or cyano;
R³ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;
R⁴ represents hydrogen, lower alkyl, lower cycloalkyl, aryl, or heterocyclyl;
R⁵ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁶ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁷ represents hydrogen, or unsubstituted lower alkyl;

R⁸ represents hydrogen, or unsubstituted lower alkyl;

or R⁴ and R⁸ together with the nitrogen atom to which they are attached represent heterocyclyl; and pharmaceutically acceptable salts thereof. The disclosed oxamide derivatives are inhibitors of the enzyme inosine monophosphate dehydrogenase (IMPDH). They can be used as medicaments, especially for treating immune mediated conditions or diseases, viral diseases, bacterial diseases, parasitic diseases, inflammation, inflammatory diseases, hyperproliferative vascular diseases, tumours, and cancer. They can be used alone, or in combination with other therapeutically active agents, for example, an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an antibiotic, an antiparasitic agent, an anti-inflammatory agent, an anti-fungal agent and/or an anti-vascular hyperproliferation agent.



European Patent
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PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent Convention EP 01 10 3521 shall be considered, for the purposes of subsequent proceedings, as the European search report

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.7)
X	WO 97 24343 A (BOEHRINGER INGELHEIM CA LTD; BOEHRINGER INGELHEIM PHARMA (US)) 10 July 1997 (1997-07-10) * table 2, entry no. 1 * * claims 1-13,22-39,67 * ---	1,50,53, 56,59, 64,65, 68,69	C07D263/32 C07D413/12 C07D417/12 A61K31/421 A61K31/422 A61K31/4439 A61K31/454
P,X	WO 00 26197 A (SQUIBB BRISTOL MYERS CO) 11 May 2000 (2000-05-11) * page 36, line 5 - page 38, line 11; claims 1,4,7,10,13,16,20-22; examples 1D,4,5-58 * ---	1-4,6, 8-11, 13-16, 43-46, 48-69	A61P29/00 A61P31/00 A61P35/00 A61P37/00
Y	US 4 497 817 A (MURASE KIYOSHI ET AL) 5 February 1985 (1985-02-05) * column 3, line 37 - column 4, line 29; example 76 * ---	1,50,53, 56,59, 64,65, 68,69	
			TECHNICAL FIELDS SEARCHED (Int.Cl.7)
			C07D
<p>INCOMPLETE SEARCH</p> <p>The Search Division considers that the present application, or one or more of its claims, does/do not comply with the EPC to such an extent that a meaningful search into the state of the art cannot be carried out, or can only be carried out partially, for these claims.</p> <p>Claims searched completely :</p> <p>Claims searched incompletely :</p> <p>Claims not searched :</p> <p>Reason for the limitation of the search:</p> <p>see sheet C</p>			
Place of search		Date of completion of the search	Examiner
BERLIN		17 June 2002	Hass, C
<p>CATEGORY OF CITED DOCUMENTS</p> <p>X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document</p> <p>T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons ----- & : member of the same patent family, corresponding document</p>			



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INCOMPLETE SEARCH
SHEET C

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Although claims 59-64, 68, 69 are directed to a method of treatment of the human/animal body (Article 52(4) EPC), the search has been carried out and based on the alleged effects of the compound/composition.

Claim(s) searched completely:
1-68

Claim(s) searched incompletely:
69

Reason for the limitation of the search:

It is noted that the present set of claims contains 69 claims. It appears, however, that claim 69 (page 281 of the application documents as filed) is incomplete and will continue on a further page (further pages) which has (have) not (yet) been filed. Consequently, a complete search could only be carried out for claims 1-68; claim 69 was only searched as far as it could be understood from page 281.



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DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int.Cl.7)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
Y	WO 00 01666 A (KARANEWSKY DONALD S ;IDUN PHARMACEUTICALS INC (US); TERNANSKY ROBE) 13 January 2000 (2000-01-13) * claims 1,20-26; example 112 * ---	1,50,53, 56,59, 64,65, 68,69	
X	WO 98 48804 A (MCCOWAN JEFFERSON R; RICHETT MICHAEL E (US); LIN HO SHEN (US); JOH) 5 November 1998 (1998-11-05) * examples 53,62 * ---	1	
X	EP 0 613 893 A (3V SIGMA SPA) 7 September 1994 (1994-09-07) * example 15 * ---	1	
X	WO 99 46237 A (IVERSEN LARS FOGH; JEPPESEN CLAUS BEKKER (DK); MOELLER NIELS PETER) 16 September 1999 (1999-09-16) * examples 21,22,29 * ---	44	TECHNICAL FIELDS SEARCHED (Int.Cl.7)
X	WO 99 46236 A (NOVONORDISK AS; ONTOGEN CORP (US)) 16 September 1999 (1999-09-16) * examples 21,22,29 * ---	44	
X	EP 0 122 627 A (FUJISAWA PHARMACEUTICAL CO) 24 October 1984 (1984-10-24) * claim 1 * -----	44	

**ANNEX TO THE EUROPEAN SEARCH REPORT
ON EUROPEAN PATENT APPLICATION NO.**

EP 01 10 3521

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report.
The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

17-06-2002

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9724343	A	10-07-1997	AU 1682897 A	28-07-1997
			BG 102583 A	30-06-1999
			BR 9612435 A	13-07-1999
			CA 2192433 A1	30-06-1997
			CZ 9802072 A3	11-11-1998
			EE 9800154 A	15-12-1998
			EP 0871619 A1	21-10-1998
			HU 9902341 A2	28-10-1999
			JP 2000502702 T	07-03-2000
			NO 982950 A	25-06-1998
			NZ 331104 A	27-03-2000
			PL 327582 A1	21-12-1998
			SK 89398 A3	04-11-1998
			TR 9801244 T2	21-12-1998
			WO 9724343 A1	10-07-1997
			US 6348477 B1	19-02-2002
			US 6057451 A	02-05-2000
			ZA 9610850 A	30-06-1997
			US 6288091 B1	11-09-2001
WO 0026197	A	11-05-2000	AU 1707700 A	22-05-2000
			EP 1127054 A1	29-08-2001
			WO 0026197 A1	11-05-2000
US 4497817	A	05-02-1985	JP 1439901 C	19-05-1988
			JP 56030990 A	28-03-1981
			JP 62048672 B	15-10-1987
			JP 1471001 C	14-12-1988
			JP 56068685 A	09-06-1981
			JP 63020236 B	26-04-1988
			JP 1471002 C	14-12-1988
			JP 56071096 A	13-06-1981
			JP 63020237 B	26-04-1988
			AT 425280 A	15-01-1986
			BE 884846 A1	20-02-1981
			CA 1158246 A1	06-12-1983
			DE 3030982 A1	12-03-1981
			ES 494397 D0	01-08-1981
			ES 8106535 A1	01-11-1981
			FR 2463774 A1	27-02-1981
			GB 2056982 A ,B	25-03-1981
			IT 1166483 B	06-05-1987
WO 0001666	A	13-01-2000	US 6197750 B1	06-03-2001
			AU 4856999 A	24-01-2000
			BR 9911675 A	05-02-2002

EPO FORM P0459

For more details about this annex : see Official Journal of the European Patent Office, No. 12/82

**ANNEX TO THE EUROPEAN SEARCH REPORT
ON EUROPEAN PATENT APPLICATION NO.**

EP 01 10 3521

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report.
The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

17-06-2002

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0001666 A			CN 1313846 T	19-09-2001
			EP 1091930 A1	18-04-2001
			NO 20006544 A	28-02-2001
			PL 345350 A1	17-12-2001
			WO 0001666 A1	13-01-2000
			US 2002028774 A1	07-03-2002
			US 2002042376 A1	11-04-2002

WO 9848804 A	05-11-1998	AU 7470098 A	24-11-1998	
		EP 1027051 A1	16-08-2000	
		JP 2002501503 T	15-01-2002	
		WO 9848804 A1	05-11-1998	
		US 6391901 B1	21-05-2002	

EP 0613893 A	07-09-1994	IT 1271973 B	10-06-1997	
		US 5362481 A	08-11-1994	
		DE 613893 T1	14-06-1995	
		EP 0613893 A1	07-09-1994	
		ES 2061419 T1	16-12-1994	
		US 5468470 A	21-11-1995	

WO 9946237 A	16-09-1999	AU 2713999 A	27-09-1999	
		BR 9908723 A	21-11-2000	
		CA 2323472 A1	16-09-1999	
		CN 1300279 T	20-06-2001	
		WO 9946237 A1	16-09-1999	
		EP 1080068 A1	07-03-2001	
		HU 0102612 A2	28-11-2001	
		NO 20004526 A	08-11-2000	
		PL 342851 A1	16-07-2001	
		AU 2713699 A	27-09-1999	
		WO 9946236 A1	16-09-1999	
		EP 1062199 A1	27-12-2000	
		JP 2002506055 T	26-02-2002	
		US 6225329 B1	01-05-2001	
		AU 2713799 A	27-09-1999	
		WO 9946244 A1	16-09-1999	
		EP 1062204 A1	27-12-2000	
		JP 2002506058 T	26-02-2002	
		US 2002002199 A1	03-01-2002	
		ZA 9902032 A	27-09-1999	
		ZA 9902029 A	27-09-1999	
		AU 2713599 A	27-09-1999	
		BR 9908726 A	21-11-2000	
		CA 2323493 A1	16-09-1999	
		CN 1300291 T	20-06-2001	

**ANNEX TO THE EUROPEAN SEARCH REPORT
ON EUROPEAN PATENT APPLICATION NO.**

EP 01 10 3521

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

17-06-2002

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9946237	A		WO 9946267 A1	16-09-1999
			EP 1080095 A1	07-03-2001
			JP 2002506072 T	26-02-2002
			NO 20004527 A	07-11-2000
			PL 342816 A1	02-07-2001
			US 6262044 B1	17-07-2001
			ZA 9902036 A	01-10-1999
			AU 2825899 A	27-09-1999
			WO 9946268 A1	16-09-1999
			EP 1062218 A1	27-12-2000
			JP 2002506073 T	26-02-2002
			US 2002019412 A1	14-02-2002
			ZA 9902038 A	27-09-1999
WO 9946236	A	16-09-1999	AU 2713699 A	27-09-1999
			AU 2713999 A	27-09-1999
			BR 9908723 A	21-11-2000
			CA 2323472 A1	16-09-1999
			CN 1300279 T	20-06-2001
			WO 9946236 A1	16-09-1999
			WO 9946237 A1	16-09-1999
			EP 1062199 A1	27-12-2000
			EP 1080068 A1	07-03-2001
			HU 0102612 A2	28-11-2001
			JP 2002506055 T	26-02-2002
			NO 20004526 A	08-11-2000
			PL 342851 A1	16-07-2001
			US 6225329 B1	01-05-2001
			ZA 9902029 A	27-09-1999
EP 0122627	A	24-10-1984	AU 2701484 A	03-01-1985
			DK 195384 A	19-10-1984
			EP 0122627 A2	24-10-1984
			ES 531792 D0	01-12-1985
			ES 8602705 A1	16-03-1986
			ES 540415 D0	01-06-1986
			ES 8607953 A1	16-11-1986
			FI 841475 A	19-10-1984
			GR 81781 A1	12-12-1984
			HU 34172 A2	28-02-1985
			JP 60001171 A	07-01-1985
			NO 841439 A	19-10-1984
			US 4616015 A	07-10-1986
			ZA 8402695 A	28-11-1984